

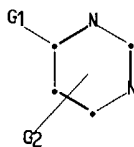
•—•a5

•—•a4

Hy@1

Hy@2

Hy@3



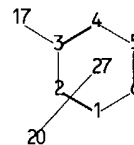
23—2@5

21—2@4

7@1

9@2

13@3



chain nodes :

7 9 13 17 20 21 22 23 24

ring nodes :

1 2 3 4 5 6

chain bonds :

3-17 21-22 23-24

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

3-17

exact bonds :

21-22 23-24

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 :

G1:[*1],[*2],[*3]

G2:Cl,Br,F,I,[*4],[*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 9:Atom 13:Atom 17:CLASS 20:CLASS
 21:CLASS 22:CLASS 23:CLASS 24:CLASS 27:CLASS

Generic attributes :

7:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : 2 or more

Type of Ring System : Monocyclic

9:

Saturation : Unsaturated
Number of Carbon Atoms : 7 or more
Number of Hetero Atoms : 2 or more
Type of Ring System : Polycyclic
13:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic

Element Count :

Node 7: Limited
C,C2-3
N,N2-3
O,O0
S,S0

Node 9: Limited
N,N2
C,C7
O,O0
S,S0

Node 13: Limited
C,C1
N,N4
O,O0
S,S0

=>

Uploading 10642552.str

L1 STRUCTURE UPLOADED

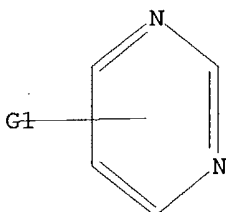
=> d l1

L1 HAS NO ANSWERS

L1 STR

Hy 1

Hy 2



Hy 3

G1 [01],[02],[03]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 17:10:38 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 34035 TO ITERATE

2.9% PROCESSED 1000 ITERATIONS

14 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
 BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 669691 TO 691709

PROJECTED ANSWERS: 8220 TO 10838

L2 14 SEA SSS SAM L1

=>

Uploading 10642552.str

L3 STRUCTURE UPLOADED

=> d l3

L3 HAS NO ANSWERS

L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

10/642,552

=> s l3 sss sam

SAMPLE SEARCH INITIATED 17:14:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 14704 TO ITERATE

6.8% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 286822 TO 301338
PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> s l3 sss ful

FULL SEARCH INITIATED 17:14:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 295351 TO ITERATE

100.0% PROCESSED 295351 ITERATIONS
SEARCH TIME: 00.00.03

155 ANSWERS

L5 155 SEA SSS FUL L3

=> s l5

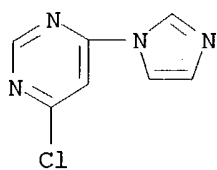
L6 54 L5

=> d l6 1-54 bib,ab,hitstr

L6 ANSWER 1 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:874972 CAPLUS
 DN 139:364960
 TI Composition and antiviral activity of substituted azaindoleoxoacetic
 piperazine derivatives
 IN Wang, Tao; Zhang, Zhongxing; Meanwell, Nicholas A.; Kadow, John F.; Yin,
 Zhiwei; Xue, Qiufen May
 PA USA
 SO U.S. Pat. Appl. Publ., 277 pp., Cont.-in-part of U.S. Ser. No. 38,306.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN. CNT 2

not prior

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | US 2003207910 | A1 | 20031106 | US 2002-214982 | 20020807 |
| | US 2003069266 | A1 | 20030410 | US 2002-38306 | 20020102 |
| | WO 2004014380 | A1 | 20040219 | WO 2003-US24415 | 20030804 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRAI | US 2001-266183P | P | 20010202 | | |
| | US 2001-314406P | P | 20010823 | | |
| | US 2002-38306 | A2 | 20020102 | | |
| | US 2002-214982 | A | 20020807 | | |
| OS | MARPAT 139:364960 | | | | |
| AB | Title compds. I [n = 1 or 2; Q = (un)substituted azaindole heterocycle; A = alkoxy, (un)substituted aryl or heteroaryl; R1-8 are independently selected from H, alkyl or haloalkyl consisting of up to three halogen substituents with same or different halogens] having drug and bio-affecting properties, their pharmaceutical compns., method of use, and synthetic prepn. are disclosed. Thus, e.g., II was prepd. via palladium catalyzed coupling of 1-benzoyl-3-(R)-methyl-4-[(7-(4-fluorophenyl)-6- azaindol-3-yl)oxoacetyl]-piperazine (prepn. given) with 4-fluorophenylboronic acid. II demonstrated 56% inhibition of luciferase expression at 10 .mu.M. These compds. possess unique antiviral activity, whether used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors. More particularly, the present invention relates to the treatment of HIV and AIDS. | | | | |
| IT | 114834-02-5 | | | | |
| | RL: RCT (Reactant); RACT (Reactant or reagent) (starting material; prepn. and antiviral activity of substituted azaindoleoxoacetic piperazine derivs.) | | | | |
| RN | 114834-02-5 CAPLUS | | | | |
| CN | Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME) | | | | |



p

*no polyfluoroalkyl
(R²)*

L6 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:737755 CAPLUS
 DN 139:261318
 TI Preparation of 4-(imidazol-5-yl)-2-(4-sulfoanilino)pyrimidines with CDK
 inhibitory activity
 IN Newcombe, Nicholas John; Thomas, Andrew Peter
 PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SO PCT Int. Appl., 90 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

not prior

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2003076436 | A1 | 20030918 | WO 2003-GB983 | 20030306 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRAI GB 2002-5693 A 20020309

OS MARPAT 139:261318

AB The title compds. [I; R1 = (un)substituted alkyl, alkylamino, heteroarylalkylamino, etc.; R2 = halo, CN, alkoxy, etc.; R3 = H, halo, CN; R4 = Me, Et, iso-Pr, etc.; R5 = H, Me, alkenyl, etc.; p = 0-2], use as medicaments, particularly medicaments for producing a cell cycle inhibitory (anti-cell-proliferation) effect in a warm-blooded animal, such as man, were prepd. and formulated. Thus, treating 2-anilino-4-(1-ethyl-2-methylimidazol-5-yl)pyrimidine (prepn. given) with ClSO₃H in SOCl₂ followed by reacting the resulting intermediate with 2-ethoxyethylamine and diethylmethylamine in MeOH afforded 47% I [R1 = 2-ethoxyethylamino; p = 0; R3 = H; R4 = Et; R5 = Me]. In general activity possessed by compds. I may be demonstrated at IC₅₀ values in the range 250 .mu.M to 1 nM in the in vitro assay.

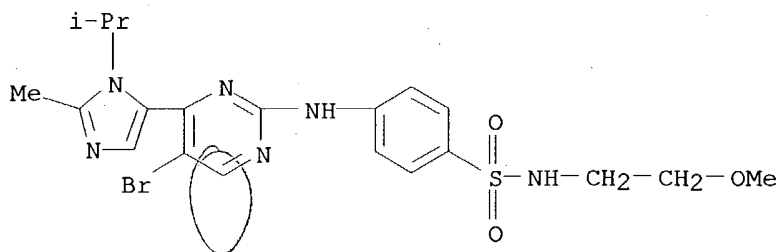
IT 602306-44-5P 602306-49-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-(imidazol-5-yl)-2-(4-sulfoanilino)pyrimidines with CDK inhibitory activity)

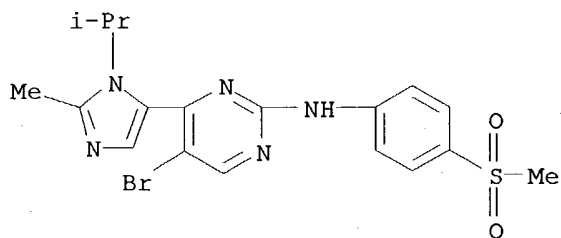
RN 602306-44-5 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



RN 602306-49-0 CAPLUS

CN 2-Pyrimidinamine, 5-bromo-4-[2-methyl-1-(1-methylethyl)-1H-imidazol-5-yl]-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



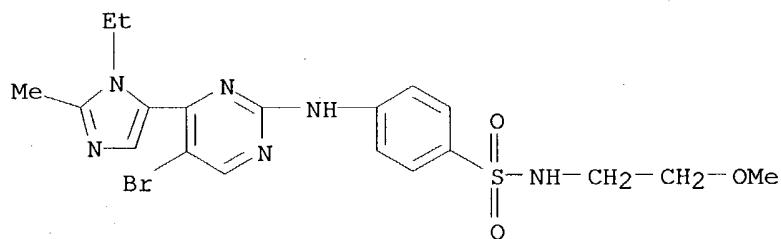
IT 403792-46-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 4-(imidazol-5-yl)-2-(4-sulfoanilino)pyrimidines with CDK inhibitory activity)

RN 403792-46-1 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-(1-ethyl-2-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:76771 CAPLUS
 DN 138:138769
 TI 2-(Heteroaryl)-3,4-diaminopyrazoles and their use in oxidative hair dyes
 IN Fessmann, Thilo; Terranova, Eric
 PA L'oreal, Fr.
 SO PCT Int. Appl., 37 pp.
 CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2003008405 | A1 | 20030130 | WO 2002-FR2397 | 20020709 |
| | W: | | | | |
| | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: | | | | |
| | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | FR 2827603 | A1 | 20030124 | FR 2001-9622 | 20010718 |
| | FR 2827603 | B1 | 20031017 | | |
| PRAI | FR 2001-9622 | A | 20010718 | | |

OS MARPAT 138:138769

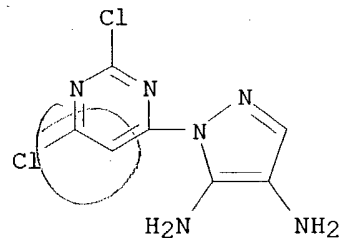
AB The invention concerns diaminopyrazoles and their physiol. acceptable salts. The invention also concerns their use as base components to be used with couplers in oxidative hair dyes. Examples were given in which the beige dihydrochloride of 2-(2-pyridyl)-2H-pyrazole-3,4-diamine was obtained starting from 2-hydrazinopyridine and 3-ethoxyacrylonitrile. Applications of this base under alk. and neutral conditions using various aminophenol deriv. couplers were provided.

IT 491872-36-7

RL: TEM (Technical or engineered material use); USES (Uses)
 (pyrazolediamine heterocyclic derivs. for use in oxidative hair dyes)

RN 491872-36-7 CAPLUS

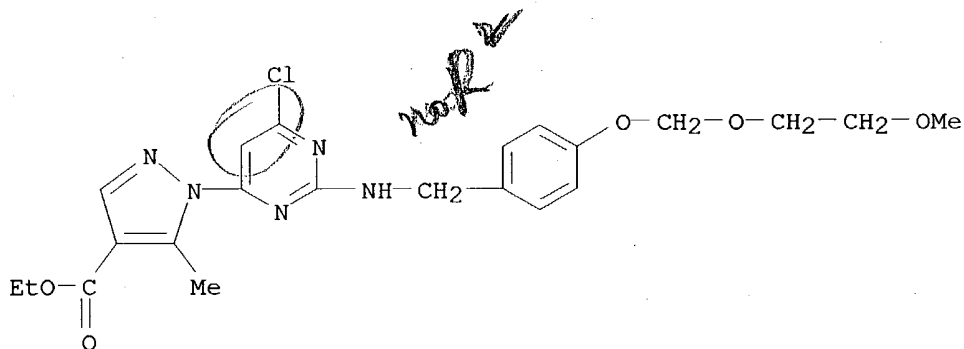
CN 1H-Pyrazole-4,5-diamine, 1-(2,6-dichloro-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



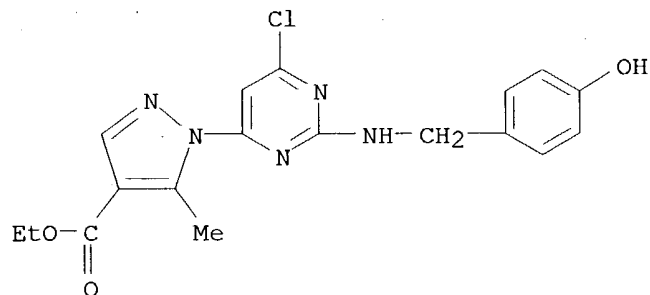
no R²

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:928744 CAPLUS
 DN 138:287622
 TI Solid-phase synthesis of 2-(4-carbamoylpyrazolyl)-4-alkylamino-6-aminopyrimidine derivatives
 AU Haruta, Makoto; Ejima, Akio; Tanaka, Hiroshi; Takahashi, Takashi
 CS Discovery Res. Lab. New Product Res. Lab., Daiichi Pharmaceutical Co. Ltd., 16-13, Kita-Kasai 1-Chome Edogawa-ku, Tokyo, Japan
 SO Heterocycles (2002), 58, 79-83
 CODEN: HTCYAM; ISSN: 0385-5414
 PB Japan Institute of Heterocyclic Chemistry
 DT Journal
 LA English
 OS CASREACT 138:287622
 AB Solid-phase synthesis of 2-(4-carbamoylpyrazolyl)-4-alkylamino-6-aminopyrimidines, e.g. I, was accomplished via amination/amidation of solid supported phenol derivs. II (R = NHCH₂C₆H₄OH-4). The methodol. allows the construction of a library of 2-(1-pyrazolyl)pyrimidines.
 IT **504434-50-8P 504434-52-0P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (solid-phase synthesis of (carbamoylpyrazolyl)(alkylamino)aminopyrimidine derivs. via amination/amidation)
 RN 504434-50-8 CAPLUS
 CN 1H-Pyrazole-4-carboxylic acid, 1-[6-chloro-2-[[[4-[(2-methoxyethoxy)methoxy]phenyl]methyl]amino]-4-pyrimidinyl]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 504434-52-0 CAPLUS
 CN 1H-Pyrazole-4-carboxylic acid, 1-[6-chloro-2-[[[4-(4-hydroxyphenyl)methyl]amino]-4-pyrimidinyl]-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

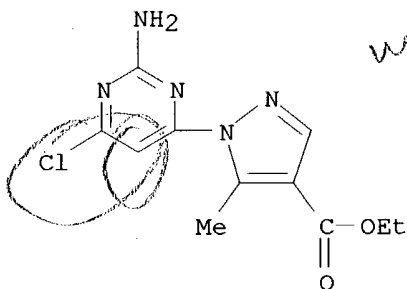


IT 256930-33-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of (carbamoylpyrazolyl)(alkylamino)aminopyrimidine derivs. via amination/amidation)

RN 256930-33-3 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:849629 CAPLUS
 DN 137:337887

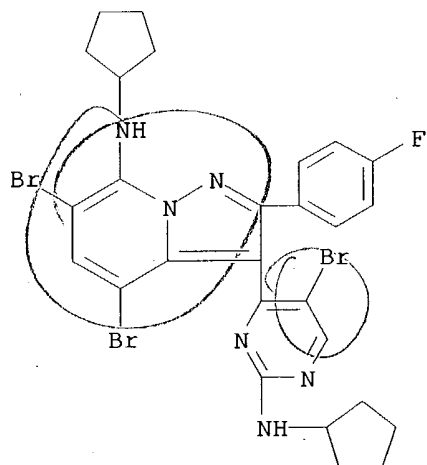
TI Pyrazolopyridines as virucides for herpes virus infections
 IN Gudmundsson, Kristjan; Johns, Brian A.
 PA Smithkline Beecham Corporation, USA
 SO PCT Int. Appl., 150 pp.

CODEN: PIXXD2

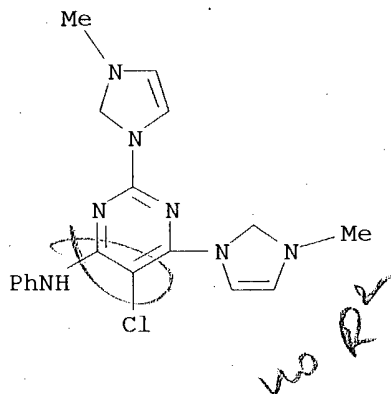
DT Patent
 LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|--|----------|-----------------|----------|
| PI | WO 2002088124 | A2 | 20021107 | WO 2002-US10687 | 20020405 |
| | WO 2002088124 | A3 | 20030508 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | EP 1385847 | A2 | 20040204 | EP 2002-733945 | 20020405 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| PRAI | US 2001-286948P | P | 20010427 | | |
| | WO 2002-US10687 | W | 20020405 | | |
| OS | MARPAT 137:337887 | | | | |
| AB | Pyrazolopyridines I [Y = N, CH; R = (un)substituted Ph; R1 = halo, aryl, heterocyclic, amino; R2 = halo, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclic, (un)substituted OH, SH, S(O)H, SO2H, NH2; R3, R4 = H, halo, alkyl, alkenyl, cycloalkyl, aryl, heterocyclic, (un)substituted OH, CO2H, SO2NH2, NH2, alkyl, acyl; R5 = halo, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclic, (un)substituted alkyl, OH, CO2H, CONH2, CSNH2, c(:NH)NH2, SH, SO2H, SO2NH2, NH2, acyl, CN, NO2, N3; p = 1-3] were prepd. for use in treating herpes virus infections. Thus, the pyrazolopyridine II was prepd. from 4-FC6H4COMe, 2-chloro-5-trifluoromethylpyridine, 1-pyrrolidinocarboximidamide, and cyclopentylamine in 9 steps. II had IC50 against HSV-1 of 5.3 .mu.M. | | | | |
| IT | 474303-98-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of pyrazolopyridines as virucides for herpes virus infections) | | | | |
| RN | 474303-98-5 CAPLUS | | | | |
| CN | Pyrazolo[1,5-a]pyridin-7-amine, 4,6-dibromo-3-[5-bromo-2-(cyclopentylamino)-4-pyrimidinyl]-N-cyclopentyl-2-(4-fluorophenyl)- (9CI) (CA INDEX NAME) | | | | |



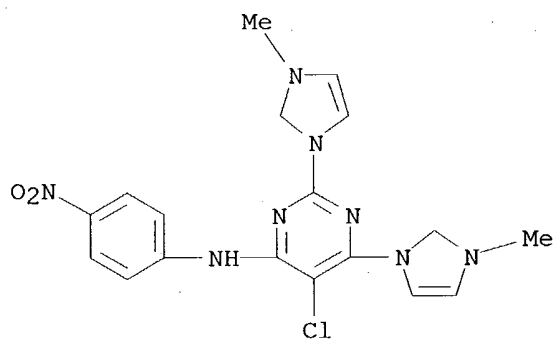
L6 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:805370 CAPLUS
 DN 138:255189
 TI Synthesis and characterization of stable betainic pyrimidinaminides
 AU Schmidt, Andreas
 CS Institute of Organic Chemistry, Technical University of Clausthal,
 Clausthal-Zellerfeld, D-38678, Germany
 SO Journal of Heterocyclic Chemistry (2002), 39(5), 949-956
 CODEN: JHTCAD; ISSN: 0022-152X
 PB HeteroCorporation
 DT Journal
 LA English
 OS CASREACT 138:255189
 AB Depending on electronically or kinetically stabilizing effects detd. by
 the substitution pattern or the reaction conditions, 6-amino substituted
 (5-chloropyrimidine-2,4-diyl)bis(pyridinium) salts I (R1 = H, Ph,
 4-O2NC6H4; R2 = Me2N, pyrrolidino; X = Cl, BPh4) or 5-chloro-2,6-bis-
 (pyridinio)-pyrimidin-4-aminides II were formed on nucleophilic
 substitution of 4-(dimethylamino)pyridine or 4-(1-pyrrolidinyl)pyridine
 with 4-amino substituted 2,5,6-trichloropyrimidines (III). Analogous
 nucleophilic substitution of III with 1-methylimidazole gave the
 corresponding (5-chloropyrimidine-2,4-diyl)bis(1-methylimidazolium) salts.
 IT **502615-92-1P 502615-93-2P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of (pyrimidinediyl)bis[pyridinium] salts and stable betainic
 pyrimidinaminides)
 RN 502615-92-1 CAPLUS
 CN 1H-Imidazolium, 1,1'-[5-chloro-6-(phenylamino)-2,4-pyrimidinediyl]bis[3-
 methyl-, dichloride (9CI) (CA INDEX NAME)



● 2 Cl⁻

*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 502615-93-2 CAPLUS
 CN 1H-Imidazolium, 1,1'-[5-chloro-6-[(4-nitrophenyl)amino]-2,4-
 pyrimidinediyl]bis[3-methyl-, dichloride (9CI) (CA INDEX NAME)



● 2 Cl⁻

*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:777725 CAPLUS
 DN 137:288973
 TI Preparation and use of pyrazolopyridines for treatment or prophylaxis of
 herpes viral infections
 IN Boyd, F. Leslie; Gudmundsson, Kristjan; Johns, Brian A.
 PA Smithkline Beecham Corporation, USA
 SO PCT Int. Appl., 172 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|--|----------|-----------------|----------|
| PI | WO 2002078701 | A1 | 20021010 | WO 2002-US8621 | 20020320 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | EP 1372642 | A1 | 20040102 | EP 2002-723538 | 20020320 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| PRAI | US 2001-280047P | P | 20010330 | | |
| | US 2001-307189P | P | 20010723 | | |
| | WO 2002-US8621 | W | 20020320 | | |
| OS | MARPAT 137:288973 | | | | |
| AB | <p>The present invention provides methods using I (e.g. 4-[2-(4-fluorophenyl)pyrazolo[1,5-a]pyridin-3-yl]-N-[2-(1H-imidazol-5-yl)ethyl]-2-pyridinamine) for the treatment or prophylaxis of viral infections such as herpes viral infections. IC50 values are reported for about 70 of the claimed compds. against HSV-1 (e.g. 0.2 .mu.M for N-cyclopropyl-4-[6-cyano-2-(4-fluorophenyl)pyrazolo[1,5-a]pyridin-3-yl]-2-pyrimidinamine). In I, Z is CH or N; a is 1 or 2; b is 1, 2 or 3; c is 1, 2 or 3; each R1 is independently selected from -(X)d-(CH2)e-R5 wherein d is 0 or 1; e is 0 to 6; X is selected from O, NR6 and S(O)f where f is 0, 1 or 2. R5 is selected from H, halo, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, hydroxy, cyano, nitro, trihalomethyl, NR7R8, C6H4NR7R8, C6H4(CH2)NR7R8, C(O)R7, C(O)NR7R8, OC(O)R7, OC(O)NR7R8, CO2R7, OCO2R7, SO2R7, SO2NR7R8, C(:NR7)NR7R8, N(R7)[(C:NR7)NR7R8], NHC(O)R7 and N(C1-3alkyl)C(O)R7. Each R2 is independently selected from H, cyano, halo, trihalomethyl, OC1-6alkyl, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, S(O)gC1-6alkyl where g is 0, 1 or 2, NC1-6alkyl(C1-6alkyl), hydroxy and nitro. Each R4 is independently selected from -(Y)d-(CH2)e-R3 wherein d is 0 or 1; e is 0 to 6; Y is O or S(O)f where f is 0, 1 or 2. R3 is selected from H, halo, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, hydroxy, cyano, nitro, trihalomethyl, phthalamido, C6H4NR7R8, C6H4(CH2)NR7R8, C(O)R7, C(O)NR7R8, OC(O)R7, OC(O)NR7R8, CO2R7, OCO2R7, SO2R7, SO2NR7R8 and C(:NR7)NR7R8. R6 is selected from H, C1-6alkyl, C2-6alkenyl, heteroaryl, cycloalkyl, and heterocyclyl. R7 and R8 are each independently selected from H, C1-8alkyl, C2-6alkenyl, SO2C1-6alkyl, (CH2)m-cycloalkyl, (CH2)m-aryl, (CH2)m-heterocyclyl and (CH2)m-heteroaryl, wherein m is 0, 1 or 2, or R7</p> | | | | |

and R8 together with the N atom to which they are bound, form a heterocyclyl group. Addnl. conditions for I are stated in the claims. Although neither the methods of prepn. nor the compds. are claimed, .apprx.100 example prepn. are included.

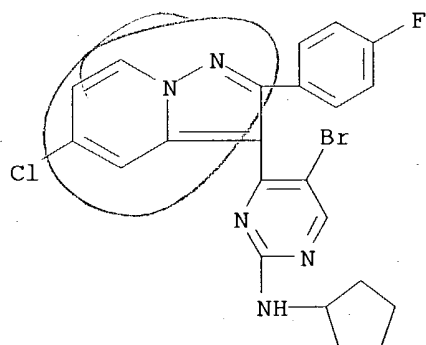
IT **468063-50-5P**, 5-Bromo-4-[5-chloro-2-(4-fluorophenyl)pyrazolo[1,5-a]pyridin-3-yl]-N-cyclopentylpyrimidin-2-amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and use of pyrazolopyridines for treatment or prophylaxis of herpes viral infections)

RN 468063-50-5 CAPLUS

CN 2-Pyrimidinamine, 5-bromo-4-[5-chloro-2-(4-fluorophenyl)pyrazolo[1,5-a]pyridin-3-yl]-N-cyclopentyl- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:658126 CAPLUS
 DN 137:201324
 TI Preparation of 4-(imidazo[1,2-a]pyrid-3-yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylamino-
 pyrimidines for the treatment of GSK3-related disorders
 IN Berg, Stefan; Bhat, Ratan; Hellberg, Sven
 PA Astrazeneca AB, Swed.
 SO PCT Int. Appl., 71 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2002066480 | A2 | 20020829 | WO 2002-SE270 | 20020218 |
| | W: | | | | |
| | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: | | | | |
| | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | NO 2003003677 | A | 20031002 | NO 2003-3677 | 20030819 |
| PRAI | US 2001-269903P | P | 20010220 | | |
| | WO 2002-SE270 | W | 20020218 | | |

OS MARPAT 137:201324

AB The title compds. [I; ring A = imidazo[1,2-a]pyrid-3-yl or pyrazolo[2,3-a]pyrid-3-yl; R2 = halo, NO2, CN, etc.; m = 0-5; R1 = halo, NO2, CN, etc.; n = 0-2; ring B = Ph, Ph fused to cycloalkyl; R3 = halo, NO2, CN, etc.; p = 0-4; R4 = EA (A = H, alkyl, Ph, etc.; E = a direct bond, O, CO, etc.); q = 0-2], useful in the treatment and/or prophylaxis of conditions assocd. with glycogen synthase kinase-3, were prepd. and formulated. Thus, reacting 3-chloroaniline with 4-(2-methylimidazo[1,2-a]pyrid-3-yl)-2-methylthiopyrimidine (prepn. given) in the presence of NaH in NMP afforded 21% II. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,100 nM in human GSK3.beta. assay.

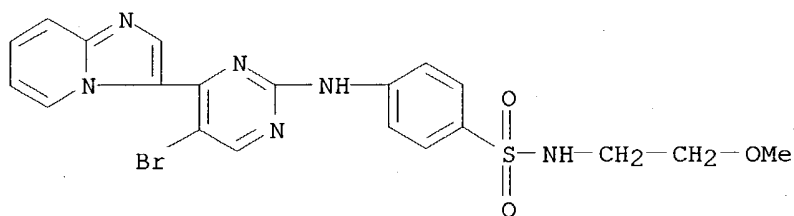
IT 328062-09-5P 328062-26-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of 4-(imidazo[1,2-a]pyrid-3-yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylamino-
 pyrimidines for the treatment of GSK3-related disorders)

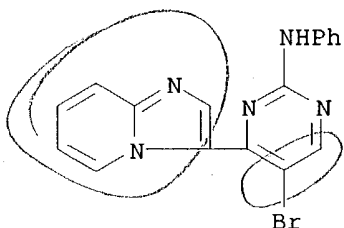
RN 328062-09-5 CAPLUS

CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



RN 328062-26-6 CAPLUS

CN 2-Pyrimidinamine, 5-bromo-4-imidazo[1,2-a]pyridin-3-yl-N-phenyl- (9CI)
(CA INDEX NAME)



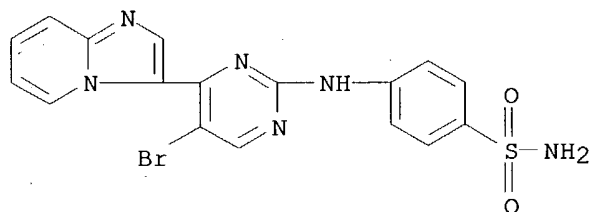
IT 328062-08-4P 328062-10-8P 328062-11-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-(imidazo[1,2-a]pyrid-3-yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylamino pyrimidines for the treatment of GSK3-related disorders)

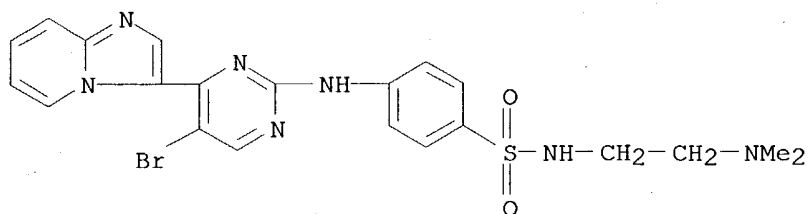
RN 328062-08-4 CAPLUS

CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



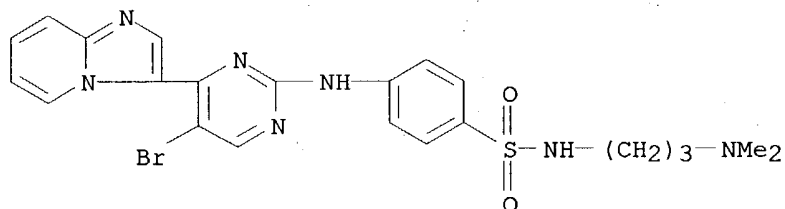
RN 328062-10-8 CAPLUS

CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



RN 328062-11-9 CAPLUS

CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl)-2-pyrimidinyl]amino]-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)



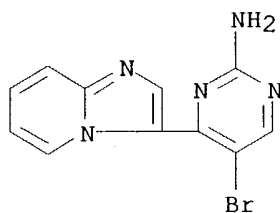
IT 328062-41-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 4-(imidazo[1,2-a]pyrid-3-yl/pyrazolo[2,3-a]pyrid-3-yl)-2-arylaminopyrimidines for the treatment of GSK3-related disorders)

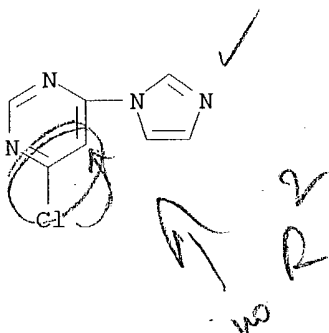
RN 328062-41-5 CAPLUS

CN 2-Pyrimidinamine, 5-bromo-4-imidazo[1,2-a]pyridin-3-yl- (9CI) (CA INDEX NAME)



L6 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:615461 CAPLUS
 DN 137:169502
 TI Preparation and antiviral activity for HIV-1 of substituted
 azaindoleoxoacetylpiperazines
 IN Wang, Tao; Zhang, Zhongxing; Meanwell, Nicholas A.; Kadow, John F.; Yin,
 Zhiwei
 PA Bristol-Myers Squibb Company, USA
 SO PCT Int. Appl., 367 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2002062423 | A1 | 20020815 | WO 2002-US455 | 20020102 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1363705 | A1 | 20031126 | EP 2002-707413 | 20020102 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | NO 2003003436 | A | 20031001 | NO 2003-3436 | 20030801 |
| PRAI | US 2001-266183P | P | 20010202 | | |
| | US 2001-314406P | P | 20010823 | | |
| | WO 2002-US455 | W | 20020102 | | |
| OS | MARPAT 137:169502 | | | | |
| AB | Title compds. Q(CO)nWCOA [Q = (un)substituted azaindolyl; W = (un)substituted piperazino; A = (un)substituted alkoxy, aryl, heteroaryl; n = 1, 2] were prepd. for use as antiviral agents, alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors, in the treatment of HIV and AIDS. Thus, 2-chloro-3- nitropyridine was cyclized with vinylmagnesium bromide to give 7-chloro-6-azaindole which was treated with ClCOCO2Me, followed by ester hydrolysis, amidation with (R)-3-methyl-1-benzoylpiperazine, and substitution with 4-FC6H4B(OH)2 to give the title compd. I which had an EC50 for HIV-1 in vitro of <1 .mu.M. | | | | |
| IT | 114834-02-5 | | | | |
| | RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. and antiviral activity for HIV-1 of substituted azaindoleoxoacetylpiperazines) | | | | |
| RN | 114834-02-5 CAPLUS | | | | |
| CN | Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME) | | | | |



L6 ANSWER 10 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:610405 CAPLUS
 DN 137:169534
 TI Preparation of imidazolyl pyrimidinamines as NOS inhibitors
 IN Arnaiz, Damian O.; Baldwin, John J.; Davey, David D.; Devlin, James J.;
 Dolle, Roland Ellwood, III; Erickson, Shawn David; McMillan, Kirk;
 Morrissey, Michael M.; Ohlmeyer, Michael H. J.; Pan, Gonghua; Paradkar,
 Vidyadhar Madhav; Parkinson, John; Phillips, Gary B.; Ye, Bin; Zhao,
 Zuchun
 PA Berlex Laboratories, Inc., USA; Pharmacopeia, Inc.
 SO U.S., 132 pp., Cont.-in-part of U.S. Ser. No. 25,124, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-----------------|--|----------|-----------------|----------|
| PI | US 6432947 | B1 | 20020813 | US 1999-383813 | 19990826 |
| | CN 1100777 | B | 20030205 | CN 1998-804281 | 19980219 |
| | WO 2001014371 | A1 | 20010301 | WO 2000-US23173 | 20000824 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| | BR 2000014144 | A | 20020521 | BR 2000-14144 | 20000824 |
| | EP 1206467 | A1 | 20020522 | EP 2000-959333 | 20000824 |
| | EP 1206467 | B1 | 20031217 | | |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | |
| | SI 20818 | C | 20020831 | SI 2000-20040 | 20000824 |
| | EE 200200091 | A | 20030415 | EE 2002-91 | 20000824 |
| | NZ 517411 | A | 20030926 | NZ 2000-517411 | 20000824 |
| | AT 256681 | E | 20040115 | AT 2000-959333 | 20000824 |
| | ZA 2002001485 | A | 20030521 | ZA 2002-1485 | 20020221 |
| | NO 2002000925 | A | 20020416 | NO 2002-925 | 20020226 |
| | BG 106440 | A | 20021129 | BG 2002-106440 | 20020226 |
| | LT 4982 | B | 20030127 | LT 2002-28 | 20020315 |
| | US 2002165203 | A1 | 20021107 | US 2002-121886 | 20020412 |
| | US 2002183323 | A1 | 20021205 | US 2002-121659 | 20020412 |
| | US 2003004137 | A1 | 20030102 | US 2002-121379 | 20020412 |
| | US 2003027794 | A1 | 20030206 | US 2002-121758 | 20020412 |
| | US 2003060452 | A1 | 20030327 | US 2002-121212 | 20020412 |
| | US 2003069210 | A1 | 20030410 | US 2002-122072 | 20020412 |
| | US 2003073669 | A1 | 20030417 | US 2002-121682 | 20020412 |
| | US 2003078265 | A1 | 20030424 | US 2002-121808 | 20020412 |
| | US 6670473 | B2 | 20031230 | | |
| | US 2003083332 | A1 | 20030501 | US 2002-122047 | 20020412 |
| | US 2003092678 | A1 | 20030515 | US 2002-122006 | 20020412 |
| PRAI | US 1997-808975 | B2 | 19970219 | | |
| | US 1998-25124 | B2 | 19980217 | | |
| | WO 1998-US3176 | A | 19980219 | | |
| | US 1999-383813 | A1 | 19990826 | | |
| | WO 2000-US23173 | W | 20000824 | | |

OS MARPAT 137:169534

AB The title compds. [I; U = N, CR5 (R5 = H, halo, alkyl, optionally substituted aralkyl or aryl, etc.); V = NR4, S, O, CHR4 (R4 = H, alkyl, aryl, aralkyl, cycloalkyl); W = N, CH; X, Y, Z = N, CR19 (R19 = H, alkyl, cyclopropyl, halo, haloalkyl); A = R1, OR1, CONR1R2, PO(NR1R2)2, NR1COR2, etc. (R1, R2 = H, optionally substituted alkyl or cycloalkyl, etc. or NR1R2 = N-heterocyclyl); B = CR17(CHR15)mQR3 (m = 1-4, R3 = H, alkyl, cycloalkyl, optionally substituted aryl, etc.; R15, R17 = H, alkyl; Q = CO, O, C:NR1, etc.); C = (CHR12)q(CHR13)r (q, r = 0-1; R12, R13 = H, alkyl); or B = C = null; R14, R20 = H, alkyl; n = 1-3], useful as inhibitors of nitric oxide synthase, were prepd. Thus, N-[(1,3-benzodioxol-5-yl)methyl]-1-[3-(1H-imidazol-1-yl)phenyl]piperidine-2-acetamide was prepd. by reaction of 1-(3-aminophenyl)imidazole, Et 7-chloro-3-oxoheptanoate, and piperonylamine. All exemplified compds. I showed iNOS inhibitory activity at concns. less than 25 .mu.M.

IT 212635-74-0P

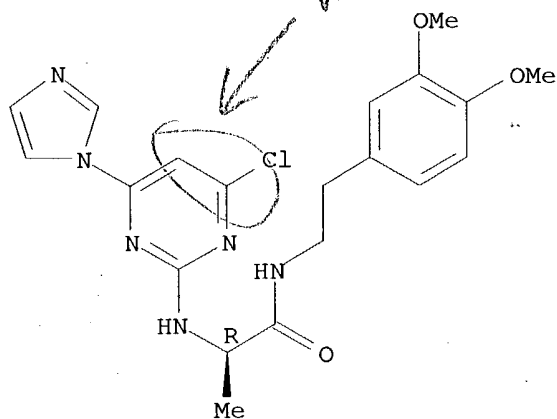
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazolyl pyrimidinamines as NOS inhibitors)

RN 212635-74-0 CAPLUS

CN Propanamide, 2-[[4-chloro-6-(1H-imidazol-1-yl)-2-pyrimidinyl]amino]-N-[2-(3,4-dimethoxyphenyl)ethyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:185108 CAPLUS
 DN 136:247599
 TI Preparation of imidazolo-5-yl-2-anilino-pyrimidines as agents for the inhibition of the cell proliferation
 IN Breault, Gloria Anne; Newcombe, Nicholas John; Thomas, Andrew Peter
 PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SO PCT Int. Appl., 108 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

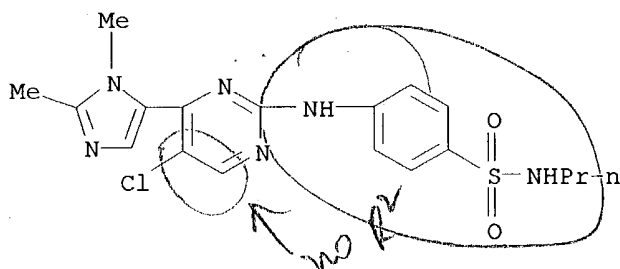
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|--|----------|-----------------|----------|
| PI | WO 2002020512 | A1 | 20020314 | WO 2001-GB3864 | 20010830 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
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| | AU 2001084192 | A5 | 20020322 | AU 2001-84192 | 20010830 |
| | BR 2001013496 | A | 20030701 | BR 2001-13496 | 20010830 |
| | EP 1351958 | A1 | 20031015 | EP 2001-963159 | 20010830 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| | BG 107579 | A | 20031031 | BG 2003-107579 | 20030221 |
| | NO 2003001006 | A | 20030304 | NO 2003-1006 | 20030304 |
| | US 2004014776 | A1 | 20040122 | US 2003-363655 | 20030304 |
| PRAI | GB 2000-21726 | A | 20000905 | | |
| | WO 2001-GB3864 | W | 20010830 | | |
| OS | MARPAT 136:247599 | | | | |
| AB | Title compds. I [R1 = halo, nitro, cyano, hydroxy, amino, carboxy, carbamoyl, mercapto, alk(en/yn)yl, alkoxy; p = 0-4; R2 = sulfamoyl, Ra-Rb; q = 0-2; p + q = 0-5; R3 = halo, nitro, cyano, hydroxy, trifluoromethyl, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulfamoyl, alk(en/yn)yl, alkoxy, alkanoyl, etc.; n = 0-2, R4 = H, alk(en/yn)yl, cycloalkyl, Ph, etc.; R5-6 = H, halo, nitro, cyano, hydroxy, trifluoromethoxy, amino, carboxy, carbamoyl, mercapto, sulfamoyl, alk(en/yn)yl, alkoxy, etc.; Ra = alk(en/yn)yl, cycloalkyl, Ph, heterocyclyl, phenyl-alkyl, etc.; Rb = C(O), amido, carboxamido, etc.] were prepd. For instance, phenylguanidine hydrogen carbonate was condensed with 5-(3-dimethylaminoprop-2-en-1-oyl)-1-methylimidazole (i-PrOH, NaOMe, reflux, 3 h) to give II in 64% yield. The CDK2 inhibitory activity of II was measured as IC50 = 0.146 .mu.M. | | | | |
| IT | 403791-57-1P , 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-propylsulfamoyl)anilino)pyrimidine 403791-58-2P , 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(cyclopropylmethyl)sulfamoyl)anilino)pyrimidine 403791-59-3P , 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(3-methoxypropyl)sulfamoyl)anilino)pyrimidine 403791-60-6P , 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(tert-butyl)sulfamoyl)anilino)pyrimidine 403791-63-9P , 2-Anilino-5-bromo-4-(1,2-dimethylimidazol-5-yl)pyrimidine 403791-71-9P , 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-tert- | | | | |

butyl-N-methylsulfamoyl)anilino)pyrimidine **403792-09-6P**,
 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine
403792-10-9P, 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-
 propylsulfamoyl)anilino)pyrimidine **403792-11-0P**,
 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(3-
 methoxypropyl)sulfamoyl)anilino)pyrimidine **403792-12-1P**,
 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-
 methylsulfamoyl)anilino)pyrimidine **403792-13-2P**,
 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-
 (cyclopropylmethyl)sulfamoyl)anilino)pyrimidine **403792-45-0P**,
 5-Bromo-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(2-
 methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-46-1P**,
 5-Bromo-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-
 methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-47-2P**,
 5-Bromo-4-(1-(2-methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(2-
 methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-48-3P**,
 5-Bromo-4-(1-(2-methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(3-
 methoxypropyl)sulfamoyl)anilino)pyrimidine **403792-49-4P**,
 5-Chloro-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-(2-
 methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-50-7P**,
 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-(2-
 methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-51-8P**,
 5-Chloro-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-(tetrahydrofuran-2-
 ylmethyl)sulfamoyl)anilino)pyrimidine **403792-52-9P**,
 5-Chloro-4-(1-ethyl-2-methylimidazol-5-yl)-2-(4-(N-
 cyclopropylsulfamoyl)anilino)pyrimidine **403792-53-0P**,
 5-Chloro-4-(1-(2-methoxyethyl)-2-methylimidazol-5-yl)-2-(4-(N-(2-
 methoxyethyl)sulfamoyl)anilino)pyrimidine **403792-57-4P**,
 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine
403792-59-6P, 5-Chloro-4-(1,2-dimethylimidazol-5-yl)-2-(4-(N-
 methylsulfamoyl)anilino)pyrimidine **403792-61-0P**,
 5-Bromo-4-(1-methylimidazol-5-yl)-2-(4-sulfamoylanilino)pyrimidine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug; imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of
 cell proliferation)

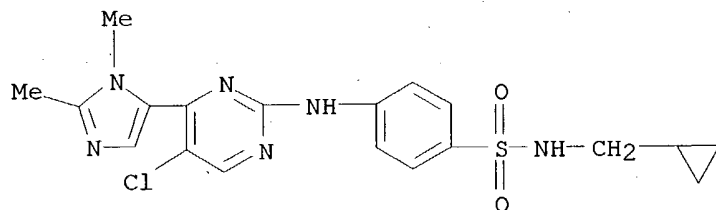
RN 403791-57-1 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-
 pyrimidinyl]amino]-N-propyl- (9CI) (CA INDEX NAME)



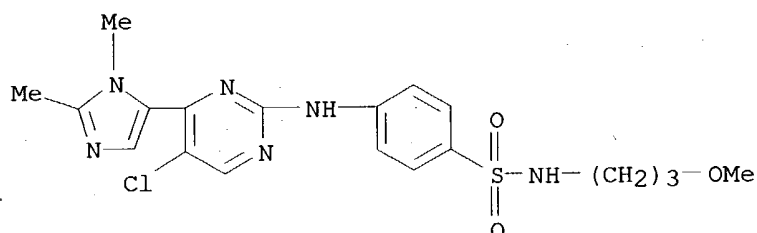
RN 403791-58-2 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-
 pyrimidinyl]amino]-N-(cyclopropylmethyl)- (9CI) (CA INDEX NAME)



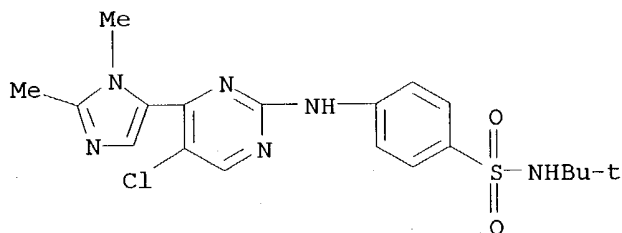
RN 403791-59-3 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)



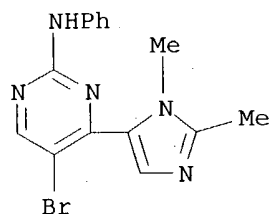
RN 403791-60-6 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



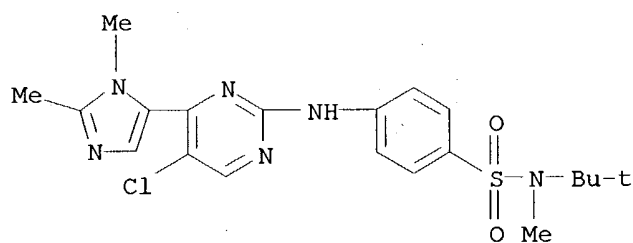
RN 403791-63-9 CAPLUS

CN 2-Pyrimidinamine, 5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-N-phenyl- (9CI) (CA INDEX NAME)



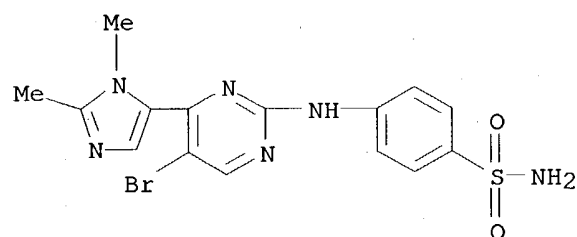
RN 403791-71-9 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(1,1-dimethylethyl)-N-methyl- (9CI) (CA INDEX NAME)



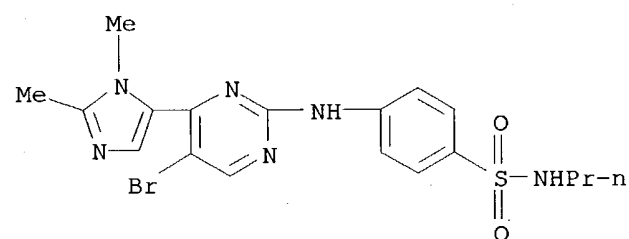
RN 403792-09-6 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



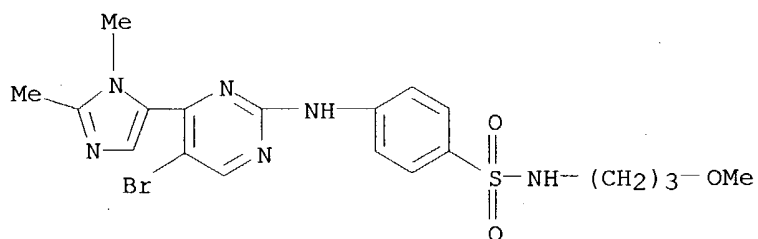
RN 403792-10-9 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-propyl- (9CI) (CA INDEX NAME)



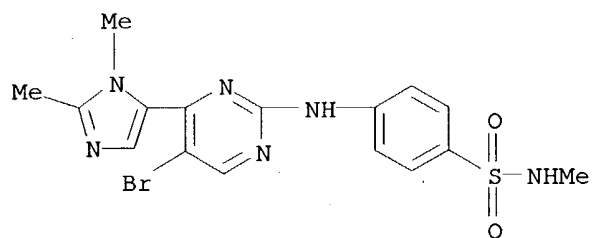
RN 403792-11-0 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)



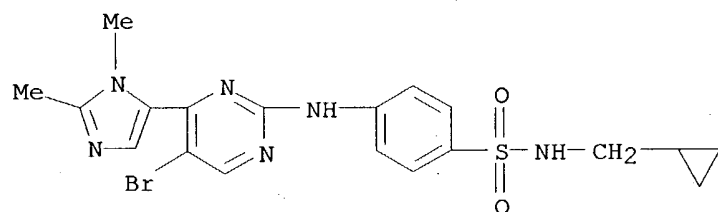
RN 403792-12-1 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



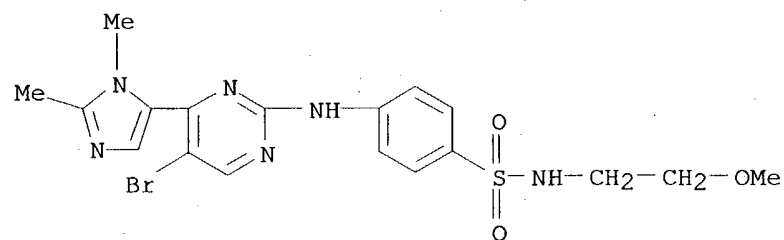
RN 403792-13-2 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(cyclopropylmethyl)- (9CI) (CA INDEX NAME)



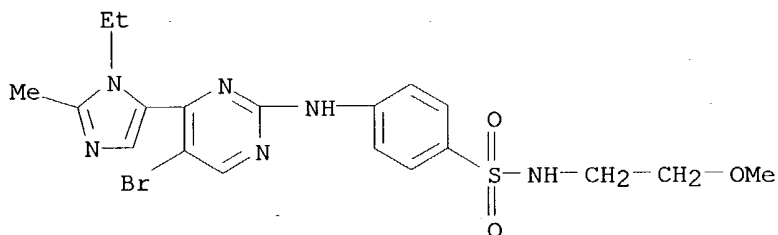
RN 403792-45-0 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



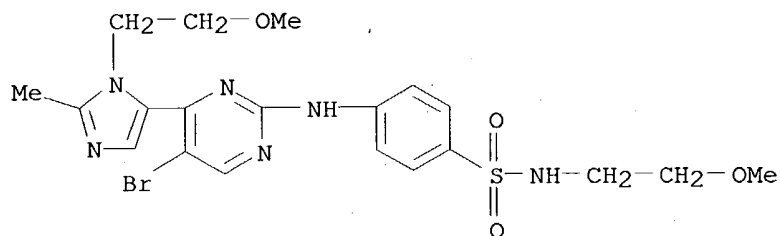
RN 403792-46-1 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-(1-ethyl-2-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



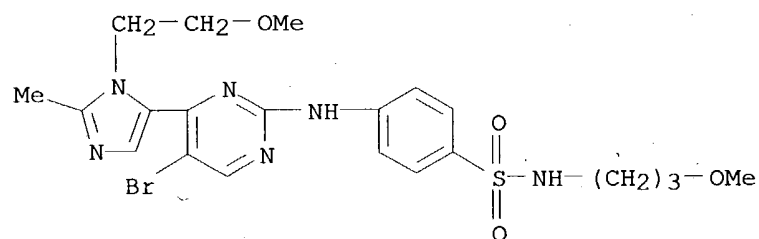
RN 403792-47-2 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-[1-(2-methoxyethyl)-2-methyl-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



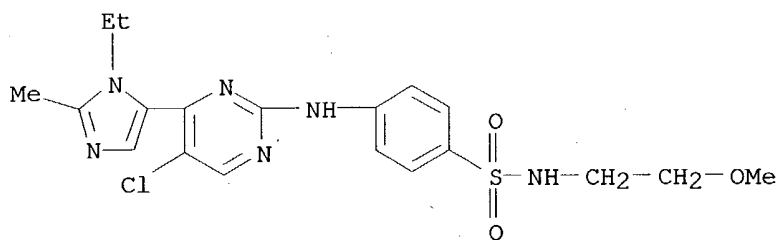
RN 403792-48-3 CAPLUS

CN Benzenesulfonamide, 4-[[5-bromo-4-[1-(2-methoxyethyl)-2-methyl-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)



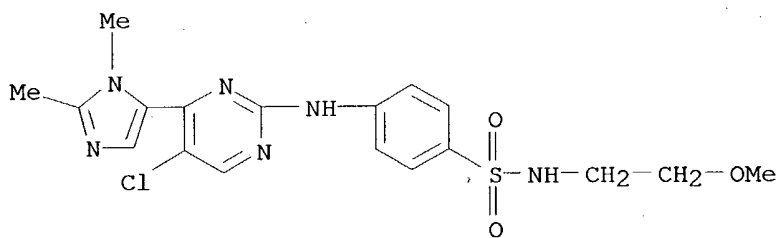
RN 403792-49-4 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(1-ethyl-2-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



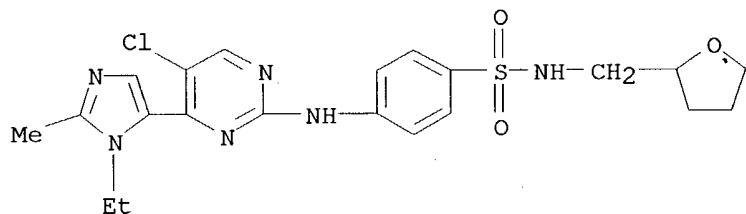
RN 403792-50-7 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



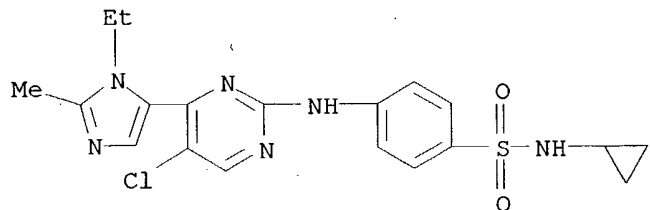
RN 403792-51-8 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(1-ethyl-2-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



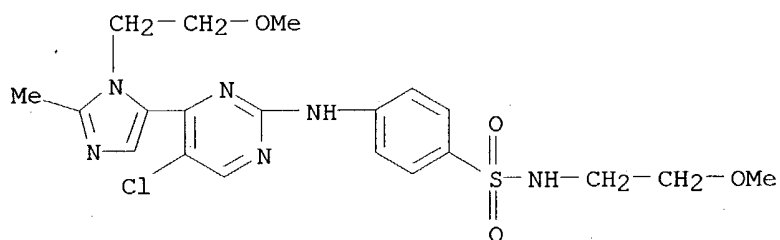
RN 403792-52-9 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(1-ethyl-2-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-cyclopropyl- (9CI) (CA INDEX NAME)



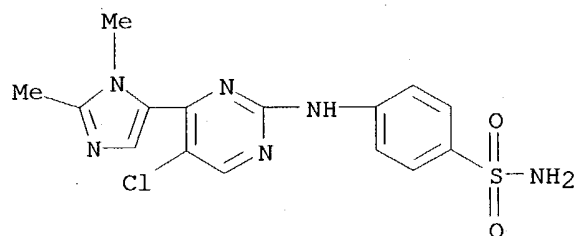
RN 403792-53-0 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-[1-(2-methoxyethyl)-2-methyl-1H-imidazol-5-yl]-2-pyrimidinyl]amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



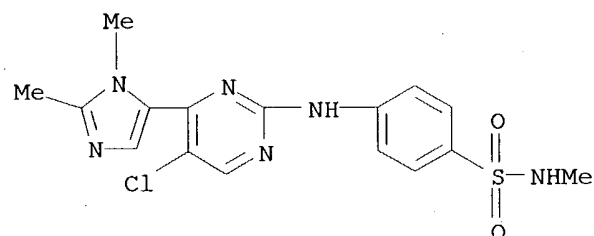
RN 403792-57-4 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



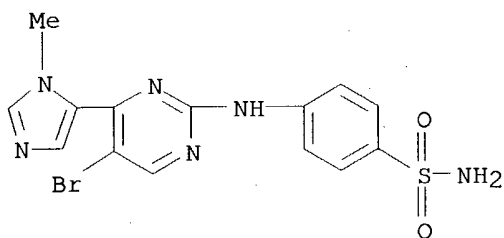
RN 403792-59-6 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)

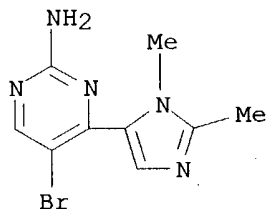


RN 403792-61-0 CAPLUS

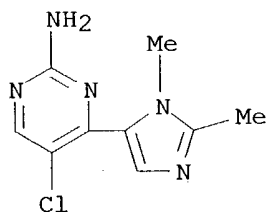
CN Benzenesulfonamide, 4-[[5-bromo-4-(1-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



IT **403793-19-1P**, 2-Amino-5-bromo-4-(1,2-dimethylimidazol-5-yl)pyrimidine **403793-50-0P**, 2-Amino-4-(1,2-dimethylimidazol-5-yl)-5-chloropyrimidine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; imidazolo-5-yl-2-anilino-pyrimidines as agents for inhibition of cell proliferation)
 RN 403793-19-1 CAPLUS
 CN 2-Pyrimidinamine, 5-bromo-4-(1,2-dimethyl-1H-imidazol-5-yl)- (9CI) (CA INDEX NAME)



RN 403793-50-0 CAPLUS
 CN 2-Pyrimidinamine, 5-chloro-4-(1,2-dimethyl-1H-imidazol-5-yl)- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:148739 CAPLUS
 DN 136:205403
 TI DDS compounds of drugs having hydroxy groups
 IN Ousu, Satoru; Oki, Hitoshi; Naito, Hiroyuki; Hirotsani, Kenji
 PA Daiichi Seiyaku Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 24 pp.
 CODEN: JKXXAF

DT Patent
 LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---------------|------|----------|-----------------|----------|
| PI | JP 2002060351 | A2 | 20020226 | JP 2001-80188 | 20010321 |
| PRAI | JP 2000-79655 | A | 20000322 | | |

OS MARPAT 136:205403

AB The DDS (drug delivery system) compds. are represented by the formula
 A_WN(R₁)C(R₂)(R₃)OQ or PZ_N(R₁)C(R₂)(R₃)OQ [A = polymeric carrier for drugs;
 W = spacer contg. amino acid or oligopeptide residue linked to N at the
 C-terminal; P = protective group for H or NH₂; Z = amino acid residue or
 oligopeptide residue linked to N at the C-terminal; R₁-R₃ = H,
 (substituted) alkyl, (substituted) aryl, carboxyl, alkoxy carbonyl; 2 of
 R₁-R₃ may form 4- to 8-membered ring; OQ = residue of OH-contg. drugs].
 Tert-Bu 13-[[1-[2-amino-6-[4-[(E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]-4-pyrimidinyl]-3-azetidinyl]oxy]-7-benzyl-2,5,8,11-tetraoxo-3,6,9,12-tetraazatri-1-decylcarbamate (prepn. given) showed 89% release of 1-[2-amino-6-[4-[(E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-1H-pyrazol-1-yl]-4-pyrimidinyl]-3-azetidinol (I) in murine fibrosarcoma Meth-A cell homogenate at 40.degree. and pH 4.5 and <1% release of I in a buffer at pH 4.5. I.v. administration of a carboxymethyl dextran polyol deriv. of I (linked through an oligopeptide and aminomethylene linker) at 10 mg/kg as I showed significant antitumor effect and did not cause diarrhea in mice.

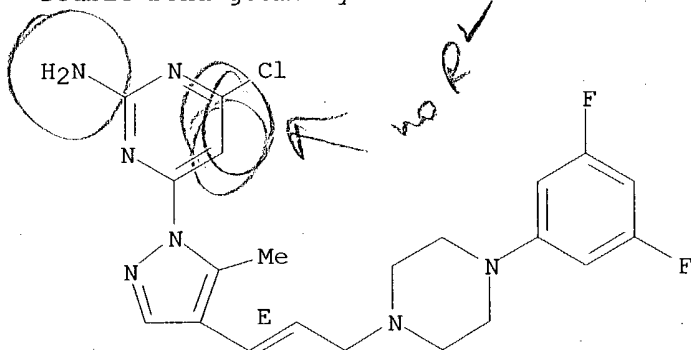
IT 256930-32-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of amino acid or peptide derivs. of hydroxy-contg. drugs for DDS)

RN 256930-32-2 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L6 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:792334 CAPLUS
 DN 135:344480
 TI Preparation of benzimidazole cyclooxygenase-2 inhibitors
 IN Okumura, Yoshiyuki; Murata, Yoshinori; Mano, Takashi
 PA Pfizer Inc., USA
 SO U.S., 29 pp.
 CODEN: USXXAM

DT Patent
 LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|----------------|------|----------|-----------------|----------|
| PI | US 6310079 | B1 | 20011030 | US 1999-244875 | 19990205 |
| | US 2003013886 | A1 | 20030116 | US 2001-924351 | 20010808 |
| PRAI | WO 1998-IB164 | W | 19980211 | | |
| | US 1999-244875 | A3 | 19990205 | | |

OS MARPAT 135:344480

AB The title compds. [I; Ar = 6-membered monocyclic heteroaryl having one N atom; X1, X2 = halo, alkyl, OH, etc.; R1 = (un)substituted Ph, 5-membered monocyclic heteroaryl; R2, R3 = H, halo, alkyl, etc.; or R1 and R2 can form, together with the carbon atom to which they are attached, a cycloalkyl ring; m = 0-5; n = 0-4] and their pharmaceutically acceptable salts, useful as analgesics and anti-inflammatory agents, were prepd. Thus, refluxing N-(2-pyridyl)-o-phenylenediamine with (E)-cinnamoyl chloride in PhMe afforded 41% (E)-I [Ar = 2-pyridyl; X1, X2 = H; R1 = Ph; R1, R2 = H]. Some compds. I showed low IC50 values of 0.01-1.0 .mu.M against COX-2.

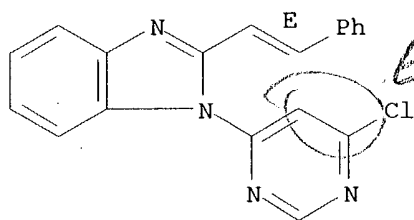
IT **371110-27-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of benzimidazole cyclooxygenase-2 inhibitors)

RN 371110-27-9 CAPLUS

CN 1H-Benzimidazole, 1-(6-chloro-4-pyrimidinyl)-2-[(1E)-2-phenylethenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

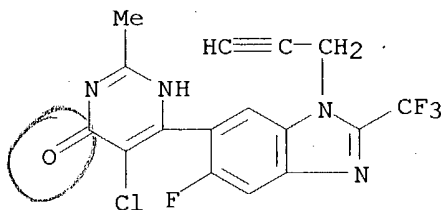


● HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:693290 CAPLUS
 DN 135:257254
 TI Preparation of pyrimidinone derivatives as herbicides or pesticides
 IN Kudo, Yoshihiro; Katsumata, Akira; Maeda, Kazushige; Akiyama, Shigeaki;
 Yaosaka, Manabu; Morimoto, Katsushi; Nakahira, Kunimitsu; Ohki, Tooru;
 Hamada, Nobuyuki; Yano, Tetsuhiko; Noguchi, Junko; Watanabe, Shigeomi
 PA Nissan Chemical Industries, Ltd., Japan
 SO PCT Int. Appl., 186 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2001068613 | A1 | 20010920 | WO 2001-JP2158 | 20010319 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | JP 2002220377 | A2 | 20020809 | JP 2001-76067 | 20010316 |
| PRAI | JP 2000-76493 | A | 20000317 | | |
| | JP 2000-357541 | A | 20001124 | | |
| OS | MARPAT 135:257254 | | | | |
| AB | Title compds. [I; R = H, CHF ₂ , CH ₃ , (CH ₃) ₂ CH, CHCCH ₂ , CH ₃ SCH ₂ , CH ₃ SO ₂ CH ₂ , CH ₃ OCH ₂ , CH ₂ F(CH ₂) ₂ ; Y = O, S; X = H, C1-4 alkyl; Z1 = N, CR1; R1 = H, Cl, CN, CHCCH ₂ O; Z2 = CH, N; Q = aryl, benzoheterocycle] and salts are prepd. as herbicides or pesticides. Thus, the title compd. II was prepd. and tested for herbicidal effect. | | | | |
| IT | 361430-18-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of pyrimidinone derivs. as herbicides or pesticides) | | | | |
| RN | 361430-18-4 CAPLUS | | | | |
| CN | 4(1H)-Pyrimidinone, 5-chloro-6-[5-fluoro-1-(2-propynyl)-2- (trifluoromethyl)-1H-benzimidazol-6-yl]-2-methyl- (9CI) (CA INDEX NAME) | | | | |



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:531965 CAPLUS
 DN 135:107340
 TI Preparation of (6-heteroarylpyrimidin-4-yl)oxyacetamides or
 -aminoacetamides having selective affinity to peripheral benzodiazepine
 receptor BZ.omega.3
 IN Murata, Akiya; Kondo, Masanori; Furukawa, Kiyoshi; Oka, Makoto
 PA Dainippon Pharmaceutical Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 12 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|------|----------|-----------------|----------|
| PI | JP 2001199982 | A2 | 20010724 | JP 2000-5585 | 20000114 |
| PRAI | JP 2000-5585 | | 20000114 | | |
| OS | MARPAT 135:107340 | | | | |

AB The title compds. [I; X = O, NR₄; R₁ = H, lower alkyl, lower alkenyl, cycloalkyl-lower alkyl; R₂ = Ala, cycloalkyl, (un)substituted Ph-lower alkyl, (un)substituted Ph, (un)substituted heteroaryl; R₃, R₄ = H, lower alkyl; R₅ = H, lower alkyl, halo; R₆ = (un)substituted heteroaryl; A = (un)substituted heteroaryl or Ph] are prepd. These compds. are useful for the treatment and prevention of anxiety-related diseases, depression, and epilepsy. Thus, a mixt. of 4-chloro-2-phenyl-6-(3-pyridyl)pyrimidine, 2-amino-N-methyl-N-phenylacetamide, and Et₃N was stirred under reflux at 150.degree. for 3 h to give N-methyl-N-phenyl-2-[2-phenyl-6-(3-pyridyl)-4-pyrimidinylamino]acetamide (II). 2-[6-(2-Furyl)-2-(4-pyridyl)-4-pyrimidinylamino]-N,N-dipropylacetamide showed IC₅₀ of 2.9 .mu.g/mL for inhibiting the binding of [3H]4'-chlorodiazepam to benzodiazepine receptor BZ.omega.3. Pharmaceutical formulations, e.g. tablet contg. II, were described.

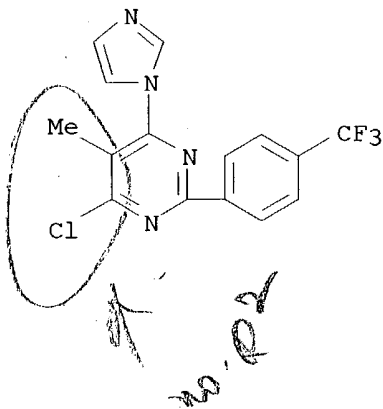
IT **350490-80-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (heteroarylpyrimidinyl)oxyacetamides or -aminoacetamides having selective affinity to peripheral benzodiazepine receptor BZ.omega.3 for treatment and prevention of anxiety-related diseases, depression, and epilepsy)

RN 350490-80-1 CAPLUS

CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)-5-methyl-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:152681 CAPLUS
 DN 134:193444
 TI Preparation of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases.
 IN Thomas, Andrew Peter; Breault, Gloria Anne; Beattie, John Franklin; Jewsbury, Phillip John
 PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SO PCT Int. Appl., 81 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2001014375 | A1 | 20010301 | WO 2000-GB3139 | 20000815 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| BR 2000013476 | A | 20020430 | BR 2000-13476 | 20000815 |
| EP 1214318 | A1 | 20020619 | EP 2000-953319 | 20000815 |
| EP 1214318 | B1 | 20031008 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| JP 2003507478 | T2 | 20030225 | JP 2001-518706 | 20000815 |
| AU 757639 | B2 | 20030227 | AU 2000-65833 | 20000815 |
| EE 200200080 | A | 20030616 | EE 2002-80 | 20000815 |
| AT 251623 | E | 20031015 | AT 2000-953319 | 20000815 |
| ZA 2002000028 | A | 20030402 | ZA 2002-28 | 20020102 |
| BG 106383 | A | 20020930 | BG 2002-106383 | 20020204 |
| NO 2002000832 | A | 20020412 | NO 2002-832 | 20020220 |
| PRAI GB 1999-19778 | A | 19990821 | | |
| WO 2000-GB3139 | W | 20000815 | | |

OS MARPAT 134:193444

AB Title compds. [I; A = imidazo[1,2-a]pyrid-3-yl, pyrazolo[2,3-a]pyrid-3-yl; R1 = halo, NO2, cyano, OH, CF3, OCF3, amino, CO2H, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, alkanoyloxy, Ph, heterocyclyl, etc.; R2 = halo, NO2, cyano, OH, CF3, OCF3, amino, CO2H, SH, carbamoyl, sulfamoyl, (substituted) alkyl, alkenyl, alkynyl, alkoxy, Ph, heterocyclyl, PhS, etc.; R3 = halo, NO2, cyano, OH, amino, CO2H, carbamoyl, SH, sulfamoyl, alkenyl, alkynyl; m = 0-5; n = 0-2; Ring B = Ph or Ph fused to a C5-7 cycloalkyl ring; p = 0-4; R4 = AE; A = (substituted) alkyl, Ph, heterocyclyl, cycloalkyl, phenylalkyl, heterocyclylalkyl, cycloalkylcycloalkyl; E = bond, O, CO, CO2, NRaCO, NRa, S, SO, SO2, SO2NRa; q = 0-2; p+q<5], were prepd. Thus, NaH was added to 3-chloroaniline in N-methylpyrrolidone; after 30 min. 4-(2-methylimidazo[1,2-a]pyridin-3-yl)-2-methylthiopyrimidine (prepn. given) in N-methylpyrrolidone was added and the mixt. was heated at 150.degree. for 3 h to give 21% 2-(3-chloroanilino)-4-(2-methylimidazo[1,2-a]pyrid-3-yl)pyrimidine. 2-[4-(2-Diethylaminoethoxy)anilino]-4-(imidazo[1,2-a]pyrid-3-yl)pyrimidine showed CDK2 inhibitory activity with IC50 = 0.17 .mu.M.

IT 328062-08-4P 328062-09-5P 328062-10-8P

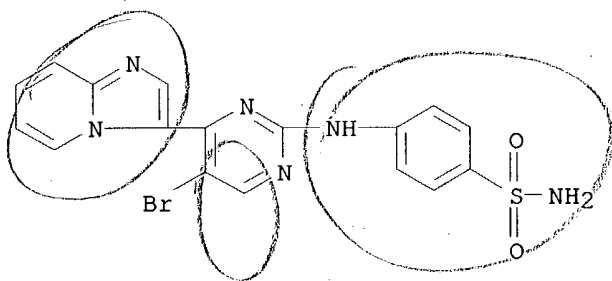
328062-11-9P 328062-26-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle kinases)

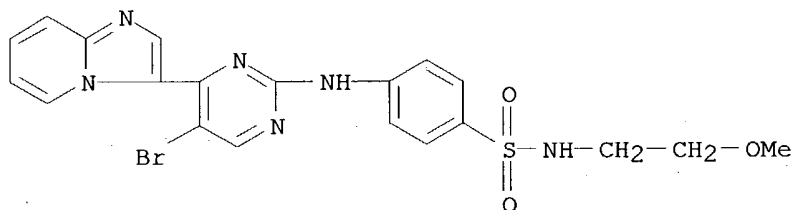
RN 328062-08-4 CAPLUS

CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]- (9CI) (CA INDEX NAME)



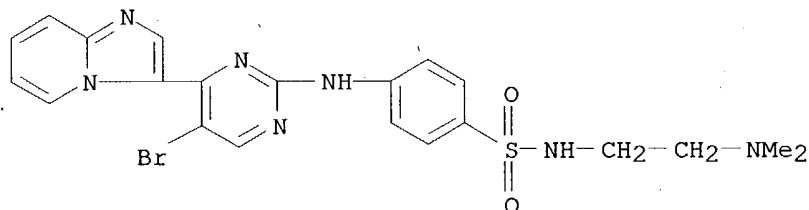
RN 328062-09-5 CAPLUS

CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



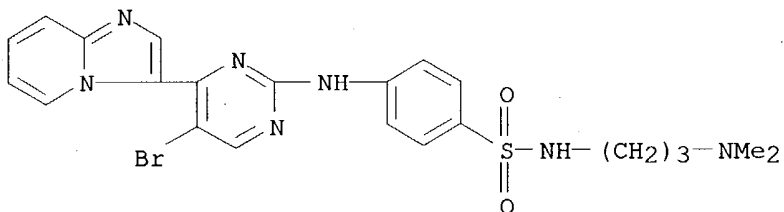
RN 328062-10-8 CAPLUS

CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[2-(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)



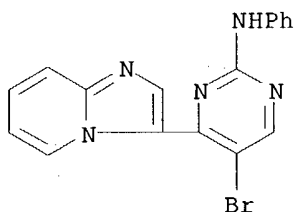
RN 328062-11-9 CAPLUS

CN Benzenesulfonamide, 4-[(5-bromo-4-imidazo[1,2-a]pyridin-3-yl-2-pyrimidinyl)amino]-N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)



RN 328062-26-6 CAPLUS

CN 2-Pyrimidinamine, 5-bromo-4-imidazo[1,2-a]pyridin-3-yl-N-phenyl- (9CI)
(CA INDEX NAME)



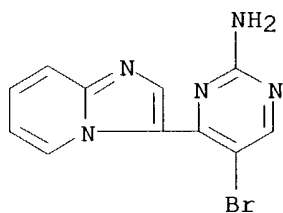
IT 328062-41-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. of imidazo[1,2-a]pyridinylpyrimidines and pyrazolo[2,3-
a]pyridinylpyrimidines as inhibitors of CDK2, CDK4, and CDK6 cell cycle
kinases)

RN 328062-41-5 CAPLUS

CN 2-Pyrimidinamine, 5-bromo-4-imidazo[1,2-a]pyridin-3-yl- (9CI) (CA INDEX
NAME)



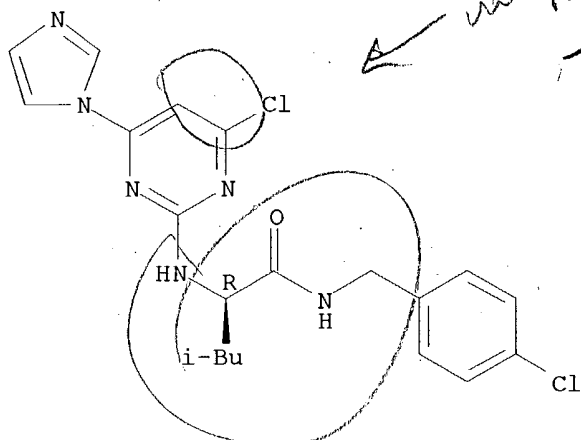
RE.CNT 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:63992 CAPLUS
 DN 134:116237
 TI Preparation of bradykinin B1 receptor antagonists
 IN Ohlmeyer, Michael H. J.; Baldwin, John J.; Dolle, Roland E., III;
 Paradkar, Vidyadhar; Quintero, Jorge Gabriel; Pan, Gonghua
 PA Pharmacopeia, Inc., USA
 SO PCT Int. Appl., 231 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|--|----------|-----------------|----------|
| PI | WO 2001005783 | A1 | 20010125 | WO 2000-US19185 | 20000714 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| | EP 1196411 | A1 | 20020417 | EP 2000-950343 | 20000714 |
| | EP 1196411 | B1 | 20030917 | | |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| | JP 2003505384 | T2 | 20030212 | JP 2001-511442 | 20000714 |
| | AT 250053 | E | 20031015 | AT 2000-950343 | 20000714 |
| | US 2003229092 | A1 | 20031211 | US 2002-46616 | 20020114 |
| PRAI | US 1999-143990P | P | 19990715 | | |
| | WO 2000-US19185 | W | 20000714 | | |
| OS | MARPAT 134:116237 | | | | |
| AB | Compds. I [X, Y, Z = CH or N; A = A1 or A2, where A1 is R4R5NCO (R4 = H, aryl, heteroaryl, substituted alkyl; R5 = H, alkyl), 5-aryl-1,2,4-triazol-3-yl, 2-aryl-4-imidazolyl, or 2-aryl-5-thiazolyl and A2 is R7CONH (R7 = aryl or alkylaryl), R7SO2NH, R4NH, R4O; Q = heteroaryl, aryl, CH2R13 (R13 = OH, OTHP, 1-imidazolyl, 1-pyrrolyl), CH:NOMe, or 1,3-dithian-2-yl; W = H, Cl, F, alkyl, aryl, heteroaryl, alkoxy, alkylthio, an amino group, arylcarbonyl, etc.; R1 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; R2 = H or alkyl or R1R2C is a ring optionally contg. O, S or N; R3 = H or alkyl, or when n is zero, R2 and R3 taken together form a 6-membered ring (with provisos)] were prepd. as bradykinin B1 receptor antagonists. Thus, D-leucine deriv. II was prepd. by substitution reaction of D-leucine 4-chlorobenzylamide with 2,4-dichloro-(or difluoro)-6-(1H-imidazol-1-yl)pyrimidine and then 3-chlorobenzylamine. Pharmaceutical formulations contg. II are described. | | | | |
| IT | 321328-31-8P 321328-39-6P 321328-43-2P RL: BYP (Byproduct); PREP (Preparation) (prepn. of bradykinin B1 receptor antagonists) | | | | |
| RN | 321328-31-8 CAPLUS | | | | |
| CN | Pentanamide, 2-[[4-chloro-6-(1H-imidazol-1-yl)-2-pyrimidinyl]amino]-N-[(4-chlorophenyl)methyl]-4-methyl-, (2R)- (9CI) (CA INDEX NAME) | | | | |

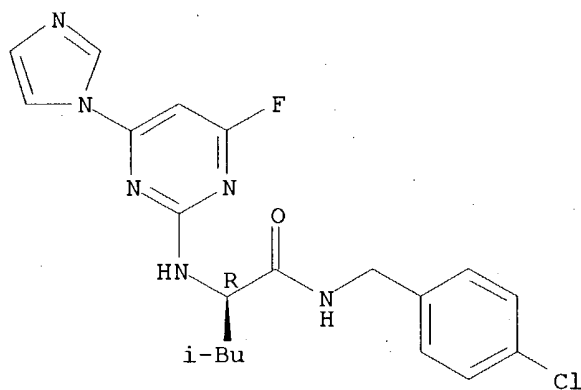
Absolute stereochemistry.



RN 321328-39-6 CAPLUS

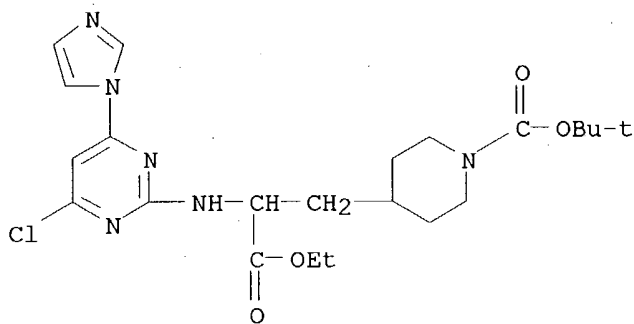
CN Pentanamide, N-[(4-chlorophenyl)methyl]-2-[[4-fluoro-6-(1H-imidazol-1-yl)-2-pyrimidinyl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 321328-43-2 CAPLUS

CN 4-Piperidinepropanoic acid, .alpha.-[[4-chloro-6-(1H-imidazol-1-yl)-2-pyrimidinyl]amino]-1-[(1,1-dimethylethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

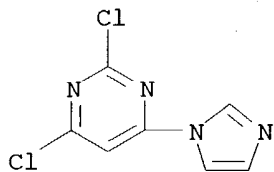


IT **212636-73-2 321328-37-4 321328-88-5**

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of bradykinin B1 receptor antagonists)

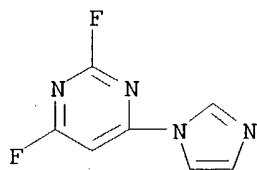
RN 212636-73-2 CAPLUS

CN Pyrimidine, 2,4-dichloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



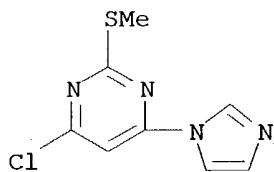
RN 321328-37-4 CAPLUS

CN Pyrimidine, 2,4-difluoro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



RN 321328-88-5 CAPLUS

CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)-2-(methylthio)- (9CI) (CA INDEX NAME)



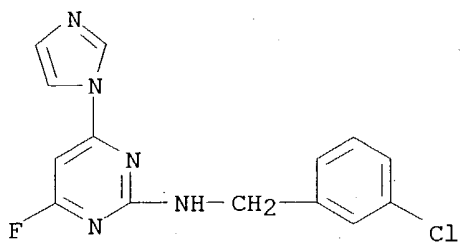
IT **321328-99-8P 321329-18-4P 321329-31-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

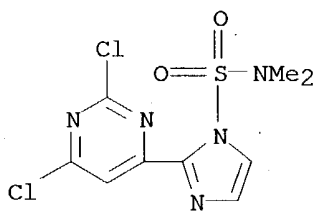
(prepn. of bradykinin B1 receptor antagonists)

RN 321328-99-8 CAPLUS

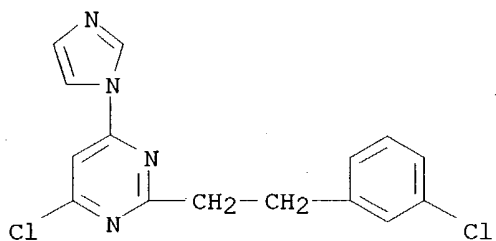
CN 2-Pyrimidinamine, N-[(3-chlorophenyl)methyl]-4-fluoro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



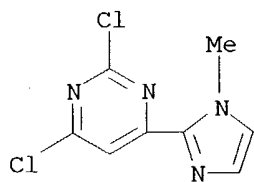
RN 321329-18-4 CAPLUS
 CN 1H-Imidazole-1-sulfonamide, 2-(2,6-dichloro-4-pyrimidinyl)-N,N-dimethyl-
 (9CI) (CA INDEX NAME)



RN 321329-31-1 CAPLUS
 CN Pyrimidine, 4-chloro-2-[2-(3-chlorophenyl)ethyl]-6-(1H-imidazol-1-yl)-
 (9CI) (CA INDEX NAME)



IT **321329-24-2P**
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of bradykinin B1 receptor antagonists)
 RN 321329-24-2 CAPLUS
 CN Pyrimidine, 2,4-dichloro-6-(1-methyl-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:12274 CAPLUS
 DN 134:86272
 TI Preparation of pyrimidine derivatives as Src-family protein tyrosine
 kinase inhibitor compounds
 IN Hunt, Julianne A.; Mills, Sander G.; Sinclair, Peter J.; Zaller, Dennis M.
 PA Merck & Co., Inc., USA
 SO PCT Int. Appl., 181 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2001000214 | A1 | 20010104 | WO 2000-US17472 | 20000626 |
| | W: | | | | |
| | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | |
| | CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, | | | | |
| | HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, | | | | |
| | LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, | | | | |
| | SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, | | | | |
| | ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: | | | | |
| | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, | | | | |
| | DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, | | | | |
| | CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 6316444 | B1 | 20011113 | US 2000-603699 | 20000626 |
| | EP 1194152 | A1 | 20020410 | EP 2000-944858 | 20000626 |
| | R: | | | | |
| | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |
| | IE, SI, LT, LV, FI, RO | | | | |
| | JP 2003503354 | T2 | 20030128 | JP 2001-505923 | 20000626 |
| PRAI | US 1999-141597P | P | 19990630 | | |
| | WO 2000-US17472 | W | 20000626 | | |
| OS | MARPAT 134:86272 | | | | |
| AB | What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-assocd. disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, alkoxycarbonylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered arom. ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl (Ph or naphthyl unsubstituted or substituted with 1-3 substituents), or R3 and R5 taken together can represent :O. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl, or R4 and X can join together to form a 5- or 6-membered ring with substituted methylene or ethylene. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5 = N, CH. R7 = H, alkyl, alkoxy, amino. X = O, S, SO, SO2, imino. Z = C:O, SO2, substituted P(:O)(OH) or a single bond. 44 Example preps. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given. | | | | |
| IT | 293292-31-6P, 2-Chloro-4-(benzimidazol-1-yl)-5-bromopyrimidine | | | | |

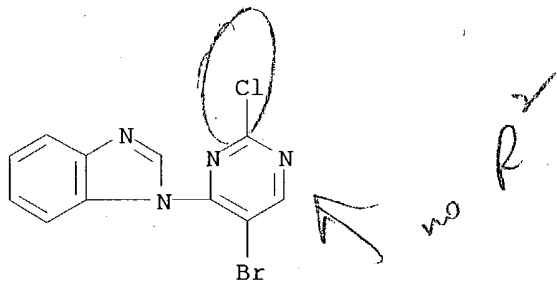
317365-54-1P, 2-[1-(1-(Benzyloxycarbonyl)-4-(tert-butylloxycarbonyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)-5-bromopyrimidine **317365-56-3P**, 2-[1-(1-(Benzyloxycarbonyl)-4-(N-naphth-1-ylcarbamoyl)piperazin-2-yl)ethylamino]-4-(benzimidazol-1-yl)-5-bromopyrimidine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of pyrimidine derivs. acting as inhibitors of Src-family protein tyrosine kinases)

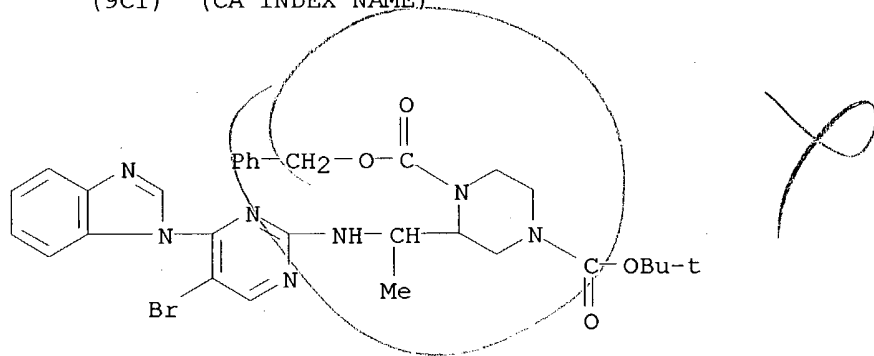
RN 293292-31-6 CAPLUS

CN 1H-Benzimidazole, 1-(5-bromo-2-chloro-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



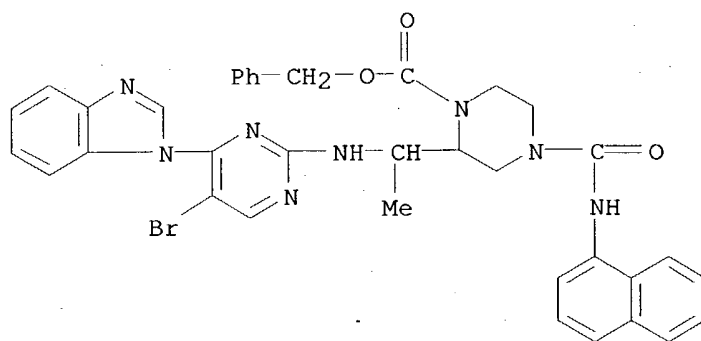
RN 317365-54-1 CAPLUS

CN 1,4-Piperazinedicarboxylic acid, 2-[1-[[4-(1H-benzimidazol-1-yl)-5-bromo-2-pyrimidinyl]amino]ethyl]-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



RN 317365-56-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 2-[1-[[4-(1H-benzimidazol-1-yl)-5-bromo-2-pyrimidinyl]amino]ethyl]-4-[(1-naphthalenylamino)carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:12273 CAPLUS

DN 134:86271

TI Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds

IN Armstrong, Helen M.; Beresis, Richard; Goulet, Joung L.; Holmes, Mark A.; Hong, Xingfang; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Steiner, Mark G.; Wong, Frederick; Zaller, Dennis M.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 470 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2001000213 | A1 | 20010104 | WO 2000-US17443 | 20000626 |
| | W: | | | | |
| | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: | | | | |
| | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | EP 1206265 | A1 | 20020522 | EP 2000-941701 | 20000626 |
| | EP 1206265 | B1 | 20031112 | | |
| | R: | | | | |
| | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| | US 6498165 | B1 | 20021224 | US 2000-604305 | 20000626 |
| | JP 2003523942 | T2 | 20030812 | JP 2001-505922 | 20000626 |
| | AT 253915 | E | 20031115 | AT 2000-941701 | 20000626 |
| PRAI | US 1999-141639P | P | 19990630 | | |
| | WO 2000-US17443 | W | 20000626 | | |

OS MARPAT 134:86271

AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-assocd. disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxy-carbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxy-carbonyl, carbamoyl, amino, acylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered arom. ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl, or R3 and R5 taken together can represent :O; R3 or R5 can represent a 2 or 3 C methylene bridge forming a ring of 5-8 atoms fused to the A ring. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted or unsubstituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5, X6 = independently N, C, optionally substituted CH. A ring = Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl, pyrrolyl, thienyl,

oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, triazolyl, tetrazolyl, furanyl, benzothienyl, benzofuranyl, indolyl, imidazolyl, benzimidazolyl, thiadiazolyl. R7, R8, R9, R10 = independently H, halo, OH, SH, CN, NO2, N3, N2+BF4-, alkyl, alkoxy, alkylthio, sulfinyl, sulfonyl, C1-C6-alkyl, C1-C6-perfluoroalkyl, acyl, alkoxycarbonyl, carbamoyl, acyloxy, alkoxycarbonyloxy, carbamoyloxy, amino, acylamino, ureido, sulfamoyl, sulfonylamino, two of R7, R8, R9, and R10 when on adjacent carbons join together to form a methylenedioxy bridge. N = 0-2. More than 500 example preps. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.

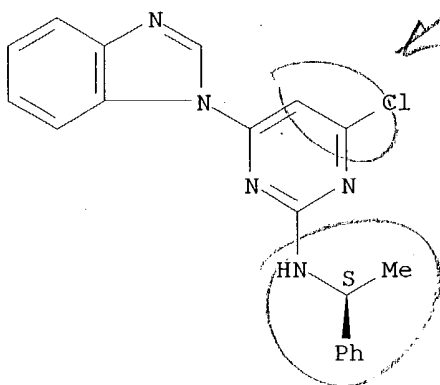
IT **317830-12-9P**, 2-[(S)-1-Phenylethylamino]-4-[benzimidazol-1-yl]-6-chloropyrimidine **317830-13-0P**, 2-[(S)-1-Phenylethylamino]-4-[5-methylbenzimidazol-1-yl]-6-chloropyrimidine **317830-14-1P**, 2-[(S)-1-Phenylethylamino]-4-[6-methylbenzimidazol-1-yl]-6-chloropyrimidine **317830-16-3P**, 2-[1-(3-Nitrophenyl)ethylamino]-4-[benzimidazol-1-yl]-6-chloropyrimidine **317830-54-9P**, 2-[(S)-1-Phenylethylamino]-4-[5-(pyridin-4-yl)benzimidazol-1-yl]-6-chloropyrimidine **317830-56-1P**, 2-[(S)-1-Phenylethylamino]-4-[6-(pyridin-4-yl)benzimidazol-1-yl]-6-chloropyrimidine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

RN 317830-12-9 CAPLUS

CN 2-Pyrimidinamine, 4-(1H-benzimidazol-1-yl)-6-chloro-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

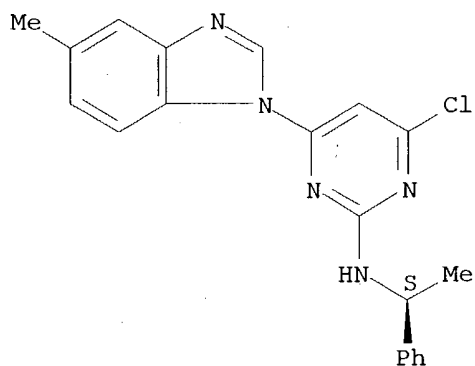
Absolute stereochemistry.



RN 317830-13-0 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-6-(5-methyl-1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

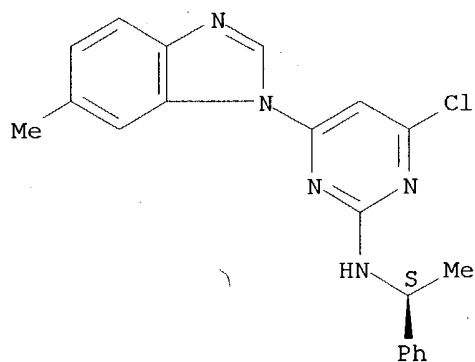
Absolute stereochemistry.



RN 317830-14-1 CAPLUS

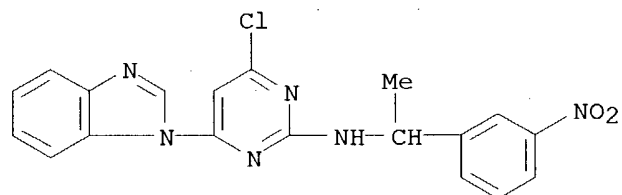
CN 2-Pyrimidinamine, 4-chloro-6-(6-methyl-1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 317830-16-3 CAPLUS

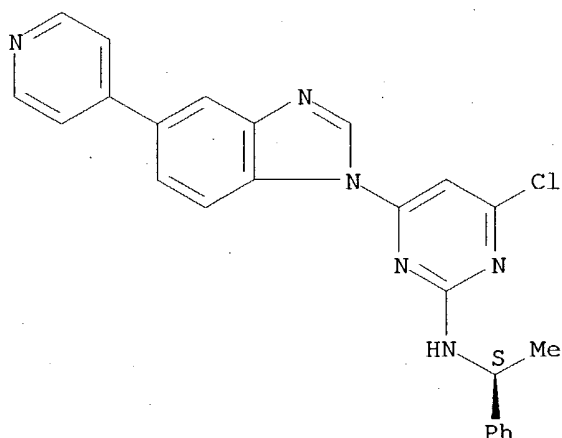
CN 2-Pyrimidinamine, 4-(1H-benzimidazol-1-yl)-6-chloro-N-[1-(3-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



RN 317830-54-9 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-N-[(1S)-1-phenylethyl]-6-[5-(4-pyridinyl)-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

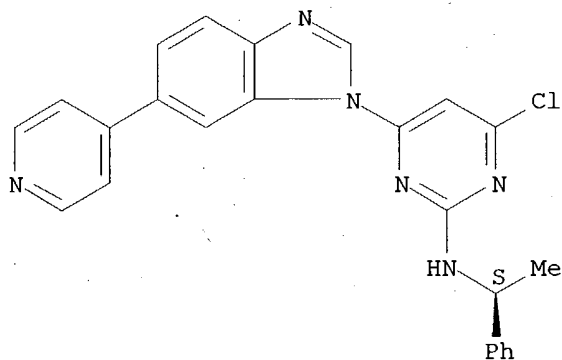
Absolute stereochemistry.



RN 317830-56-1 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-N-[(1S)-1-phenylethyl]-6-[6-(4-pyridinyl)-1H-benzimidazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

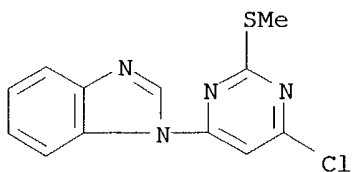


IT **317826-69-0P**, 2-Methylthio-4-(benzimidazol-1-yl)-6-chloropyrimidine **317827-13-7P**, 2-[(S)-1-Phenylethylamino]-4-[benzimidazol-1-yl]-5-fluoropyrimidine **317828-05-0P**, 2-[(S)-1-Phenylethylamino]-4-[5-(5-amino-6-chloropyrimidin-4-yl)benzimidazol-1-yl]pyrimidine **317828-07-2P**, 2-[(S)-1-Phenylethylamino]-4-[5-(2-amino-6-chloropyrimidin-4-yl)benzimidazol-1-yl]pyrimidine **317828-78-7P**, 2-[(S)-1-Phenylethylamino]-4-[5-(2-benzyl-6-chloropyrimidin-4-yl)benzimidazol-1-yl]pyrimidine

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

RN 317826-69-0 CAPLUS

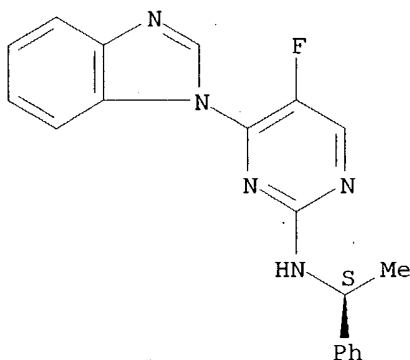
CN 1H-Benzimidazole, 1-[6-chloro-2-(methylthio)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 317827-13-7 CAPLUS

CN 2-Pyrimidinamine, 4-(1H-benzimidazol-1-yl)-5-fluoro-N-[(1S)-1-phenylethyl]-
(9CI) (CA INDEX NAME)

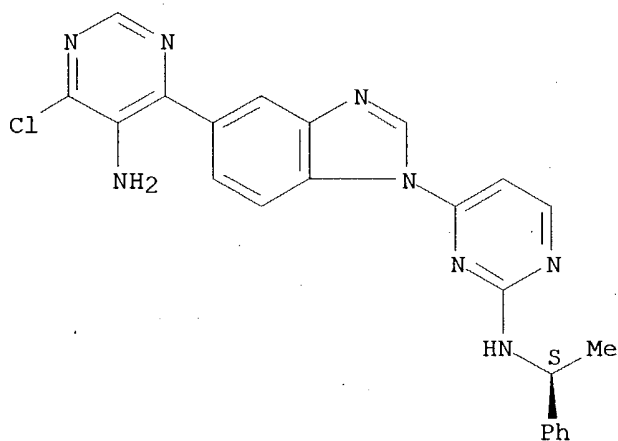
Absolute stereochemistry.



RN 317828-05-0 CAPLUS

CN 2-Pyrimidinamine, 4-[5-(5-amino-6-chloro-4-pyrimidinyl)-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

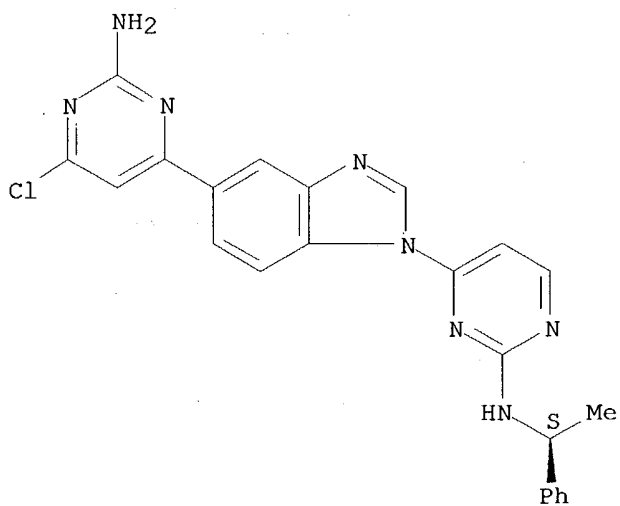
Absolute stereochemistry.



RN 317828-07-2 CAPLUS

CN 2-Pyrimidinamine, 4-[5-(2-amino-6-chloro-4-pyrimidinyl)-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

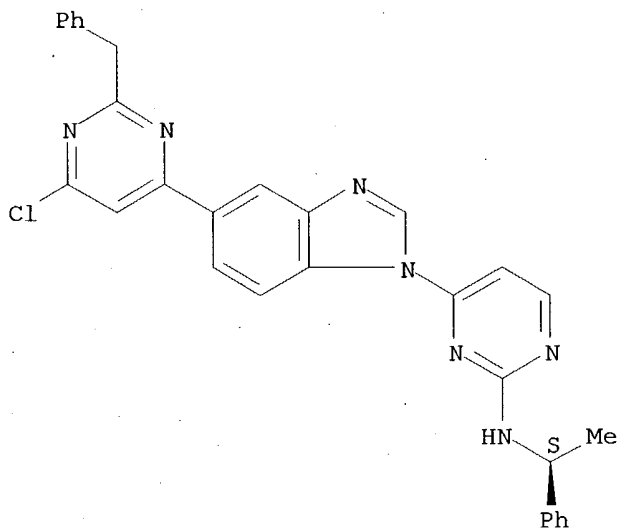
Absolute stereochemistry.



RN 317828-78-7 CAPLUS

CN 2-Pyrimidinamine, 4-[5-[6-chloro-2-(phenylmethyl)-4-pyrimidinyl]-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:10085 CAPLUS

DN 134:86238

TI Preparation of pyrazole derivatives as antitumor agents

IN Ejima, Akio; Ohsuki, Satoru; Ohki, Hitoshi; Naito, Hiroyuki

PA Daiichi Pharmaceutical Co., Ltd., Japan

SO U.S., 51 pp., Cont.-in-part of Appl. No. PCT/JP98/00300.

CODEN: USXXAM

DT Patent

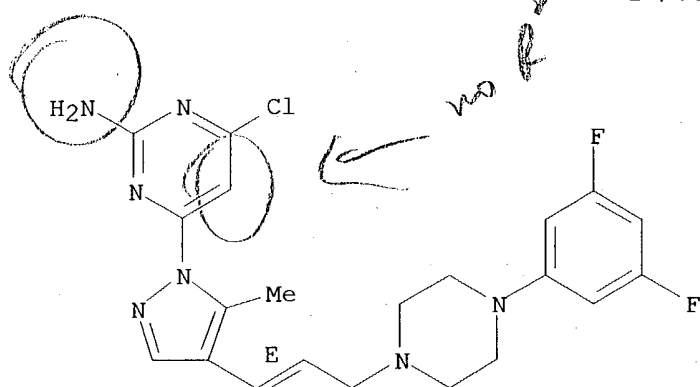
LA English

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | US 6169086 | B1 | 20010102 | US 1999-359419 | 19990723 |
| | WO 9832739 | A1 | 19980730 | WO 1998-JP300 | 19980126 |
| | W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GM, GW, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | US 6552018 | B1 | 20030422 | US 2000-688787 | 20001017 |
| PRAI | JP 1997-12116 | A | 19970127 | | |
| | WO 1998-JP300 | A2 | 19980126 | | |
| | JP 1998-208807 | A | 19980724 | | |
| | US 1999-359419 | A3 | 19990723 | | |
| OS | MARPAT 134:86238 | | | | |
| AB | Title compds. [I; R = CR3:CR4CHR5GZ; R1,R2 = H, halo, OH, alkoxy, NH2, alkylamino, aryl, alkyl; R3,R4 = H, halo, alkoxy, NH2, alkylamino, aryl, alkyl; R5 = H, alkyl, alkenyl, alkynyl, aryl(alkyl); Q = C(:NH)NH2, cycloalkyl, Ph, or monocyclic heterocycle (excluding pyrimidinyl bonded at the 2-position); G = at CHR5-N-attached azacycloalkylidene or -N-Z-attached diazacycloalkylidene; Z = Ph, heterocyclyl, etc.] were prepd. Thus, 2-amino-4,6-dichloropyrimidine was aminated by H2NH2 and the product cyclocondensed with MeCOC(:CHOEt)CO2Et to give I (Q = Z1R6, R1 = H, R2 = Me, Z1 = 2-aminopyrimidine-4,6-diyl) (II; R = CO2Et, R6 = Cl) which was converted in 5 steps to II [R = (E)-CH:CHCHO, R6 = NMe2]. The latter was reductively aminated by 1-(3,5-difluorophenyl)piperazine to give title compd. III. Data for biol. activity of I were given. | | | | |
| IT | 256930-32-2 | | | | |
| | RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of pyrazole derivs. as antitumor agents) | | | | |
| RN | 256930-32-2 CAPLUS | | | | |
| CN | 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME) | | | | |

Double bond geometry as shown.

10/642,552



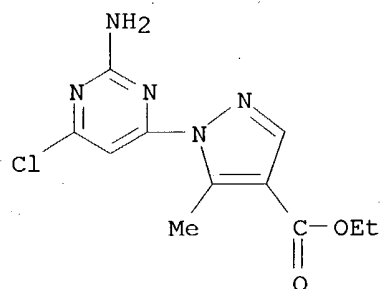
IT 256930-33-3P 256930-34-4P 256930-35-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyrazole derivs. as antitumor agents)

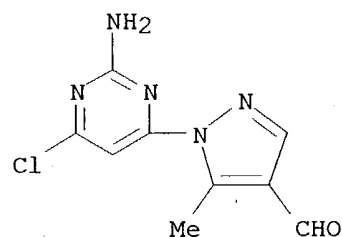
RN 256930-33-3 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 256930-34-4 CAPLUS

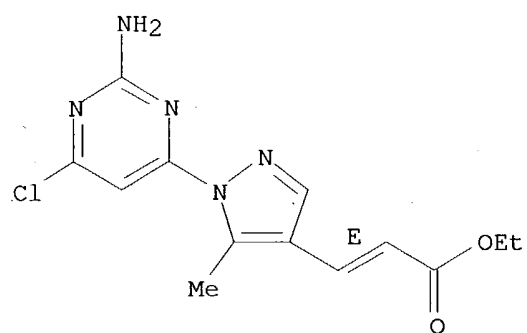
CN 1H-Pyrazole-4-carboxaldehyde, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl- (9CI) (CA INDEX NAME)



RN 256930-35-5 CAPLUS

CN 2-Propenoic acid, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-1H-pyrazol-4-yl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:646004 CAPLUS
 DN 133:238016
 TI Preparation of pyrimidinamines as anti-cancer agents
 IN Breault, Gloria Anne; James, Stewart Russell; Pease, Jane Elizabeth
 PA Astrazeneca AB, Swed.
 SO PCT Int. Appl., 75 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|------|---------------|------|----------|--|----------|--|
| PI | WO 2000053595 | A1 | 20000914 | WO 2000-GB737 | 20000302 | |
| | W: | | | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | |
| | RW: | | | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | |
| | NZ 513893 | A | 20010928 | NZ 2000-513893 | 20000302 | |
| | EP 1161428 | A1 | 20011212 | EP 2000-906531 | 20000302 | |
| | EP 1161428 | B1 | 20030528 | | | |
| | R: | | | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | |
| | BR 2000008770 | A | 20020108 | BR 2000-8770 | 20000302 | |
| | JP 2002539120 | T2 | 20021119 | JP 2000-604033 | 20000302 | |
| | AU 754967 | B2 | 20021128 | AU 2000-28187 | 20000302 | |
| | AT 241617 | E | 20030615 | AT 2000-906531 | 20000302 | |
| | PT 1161428 | T | 20031031 | PT 2000-906531 | 20000302 | |
| | ZA 2001007252 | A | 20021202 | ZA 2001-7252 | 20010831 | |
| | NO 2001004317 | A | 20011101 | NO 2001-4317 | 20010905 | |
| PRAI | GB 1999-5075 | A | 19990306 | | | |
| | WO 2000-GB737 | W | 20000302 | | | |

OS MARPAT 133:238016

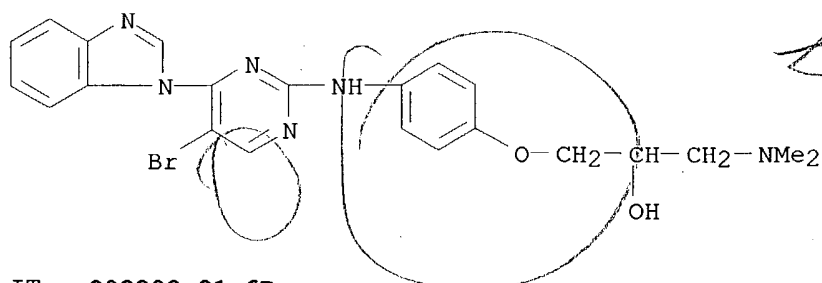
AB The title compds. [I or II; R1 = H, halo, OH, etc.; Q1 = (un)substituted Ph; Q1 bears X(CH₂)_nCY1Y2(CH₂)_mZ (wherein X = CH₂, O, NH, etc.; Y1 = H, alkyl, Z; Y2 = H, alkyl; Z = RaO, RbRcN, RdS, etc.; Ra-Rd = H, alkyl, alkenyl, etc.; n, m = 1-3); NQ2 = (un)substituted heterocyclic moiety contg. one N atom and optionally contg. a further heteroatom] and their pharmaceutically acceptable salts, useful as anti-cancer agents, were prepd. E.g., a multi-step synthesis of the pyrimidinamine III was given. CDK4 and FAK inhibitory activity of compds. I and II was tested.

IT 293292-16-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of pyrimidinamines as anti-cancer agents)

RN 293292-16-7 CAPLUS

CN 2-Propanol, 1-[4-[[4-(1H-benzimidazol-1-yl)-5-bromo-2-pyrimidinyl]amino]phenoxy]-3-(dimethylamino)- (9CI) (CA INDEX NAME)



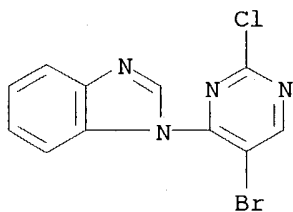
IT 293292-31-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyrimidinamines as anti-cancer agents)

RN 293292-31-6 CAPLUS

CN 1H-Benzimidazole, 1-(5-bromo-2-chloro-4-pyrimidinyl)- (9CI) (CA INDEX NAME)



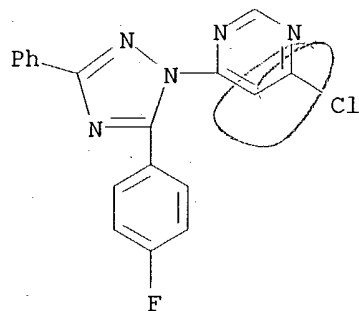
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:144732 CAPLUS
 DN 132:180581
 TI Preparation of novel substituted triazoles as CSBP/p38 kinase inhibitors
 IN Adams, Jerry L.; Lee, Dennis
 PA SmithKline Beecham Corporation, USA
 SO PCT Int. Appl., 66 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 2000010563 | A1 | 20000302 | WO 1999-US18640 | 19990817 |
| | W: CA, JP, US | | | | |
| | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | CA 2341370 | AA | 20000302 | CA 1999-2341370 | 19990817 |
| | EP 1112070 | A1 | 20010704 | EP 1999-942232 | 19990817 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | JP 2003525201 | T2 | 20030826 | JP 2000-565884 | 19990817 |
| | US 6599910 | B1 | 20030729 | US 2001-762809 | 20010611 |
| | US 2003229110 | A1 | 20031211 | US 2003-401249 | 20030326 |
| PRAI | US 1998-97300P | P | 19980820 | | |
| | US 1998-97302P | P | 19980820 | | |
| | US 1998-97322P | P | 19980820 | | |
| | WO 1999-US18640 | W | 19990817 | | |
| | US 2001-762809 | A3 | 20010611 | | |
| OS | MARPAT 132:180581 | | | | |
| AB | The title compds. [I; R1 = (un)substituted 4-pyridyl, 4-pyrimidinyl, etc.; R2 = H, alkyl, aryl, etc.; R4 = (un)substituted Ph, naphthyl, heteroaryl], useful in therapy as CSBP/p38 kinase inhibitors, were prepd. Thus, reacting 4-fluorobenzoyl chloride with thiobenzamide in the presence of pyridine in Me2CO followed by treatment of the resulting N-(4-fluorobenzoyl)thiobenzamide with (4-pyridyl)hydrazine hydrochloride afforded triazole I [R1 = 4-pyridyl; R2 = Ph; R4 = 4-FC6H4] which found to be active in interleukin-1 (IL-1) assay having IC50 of < 7 .mu.M. | | | | |
| IT | 259523-23-4P | | | | |
| | RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) | | | | |
| | (prepn. of novel substituted triazoles as CSBP/p38 kinase inhibitors) | | | | |
| RN | 259523-23-4 CAPLUS | | | | |
| CN | Pyrimidine, 4-chloro-6-[5-(4-fluorophenyl)-3-phenyl-1H-1,2,4-triazol-1-yl]- (9CI) (CA INDEX NAME) | | | | |



RE.CNT 1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:84798 CAPLUS
 DN 132:137383
 TI Preparation of pyrazole derivatives as antitumor agents
 IN Ejima, Akio; Ohsuki, Satoru; Ohki, Hitoshi; Naito, Hiroyuki; Makino, Chie
 PA Daiichi Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 189 pp.
 CODEN: PIXXD2

DT Patent
 LA Japanese

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 2000005230 | A1 | 20000203 | WO 1999-JP3962 | 19990723 |
| | W: | | | | |
| | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: | | | | |
| | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9948002 | A1 | 20000214 | AU 1999-48002 | 19990723 |
| | EP 1103551 | A1 | 20010530 | EP 1999-931515 | 19990723 |
| | R: | | | | |
| | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | JP 2000169475 | A2 | 20000620 | JP 1999-211211 | 19990726 |
| | NO 2001000405 | A | 20010322 | NO 2001-405 | 20010123 |
| | US 6573377 | B1 | 20030603 | US 2001-744428 | 20010124 |
| PRAI | JP 1998-208807 | A | 19980724 | | |
| | JP 1998-274459 | A | 19980929 | | |
| | WO 1999-JP3962 | W | 19990723 | | |

OS MARPAT 132:137383

AB The title compds. I [R1 = H, halo, etc.; R2 = H, halo, OH, etc.; R3 = H, amino, alkoxy, etc.; R4 = H, halo, alkylamino, etc.; R5 = H, alkyl, etc.; Q = heterocyclic ring, etc.; G = heterocyclic ring (further details on said ring are given)] are prepd. Compds. of this invention in vitro showed IC50 values of 0.6 ng/mL to 35 ng/mL against the growth of lung tumor cells.

IT 256928-80-0P 256928-82-2P 256928-84-4P
 256928-85-5P 256930-32-2P 256930-33-3P
 256930-34-4P 256930-35-5P 256930-59-3P
 256930-74-2P 256930-75-3P 256930-76-4P
 256930-80-0P 256930-81-1P 256930-83-3P
 256931-00-7P 256931-05-2P 256931-29-0P
 256931-30-3P 256931-31-4P 256931-32-5P
 256931-33-6P 256931-34-7P 256931-35-8P

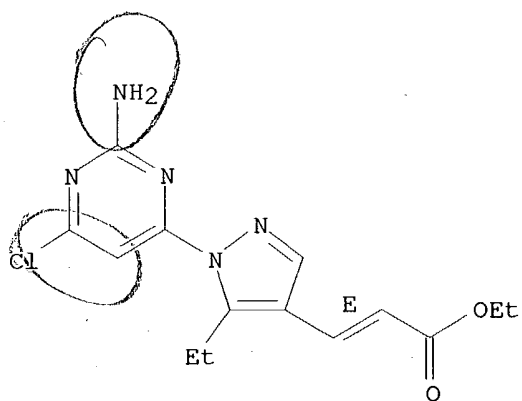
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyrazole derivs. as antitumor agents)

RN 256928-80-0 CAPLUS

CN 2-Propenoic acid, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-ethyl-1H-pyrazol-4-yl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

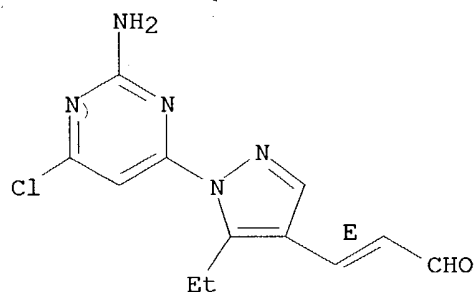
Double bond geometry as shown.



RN 256928-82-2 CAPLUS

CN 2-Propenal, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-ethyl-1H-pyrazol-4-yl]-
, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

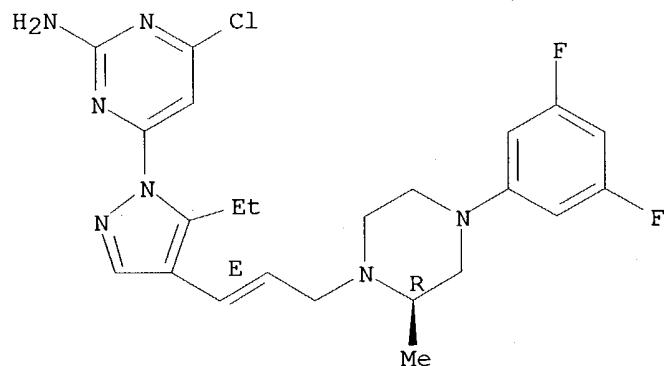


RN 256928-84-4 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(2R)-4-(3,5-difluorophenyl)-2-methyl-1-piperazinyl]-1-propenyl]-5-ethyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

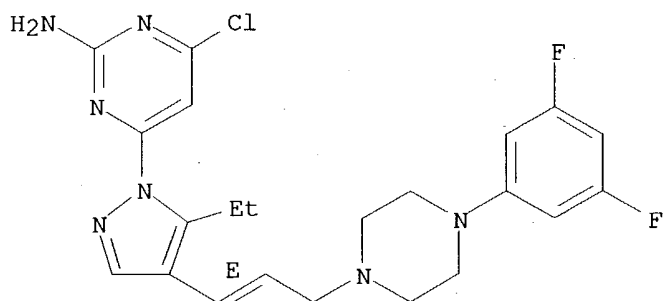


RN 256928-85-5 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-

piperazinyl]-1-propenyl]-5-ethyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

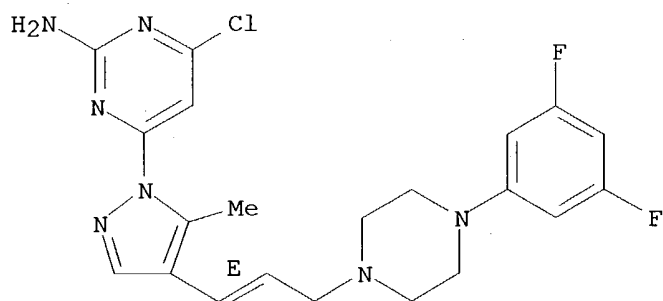
Double bond geometry as shown.



RN 256930-32-2 CAPLUS

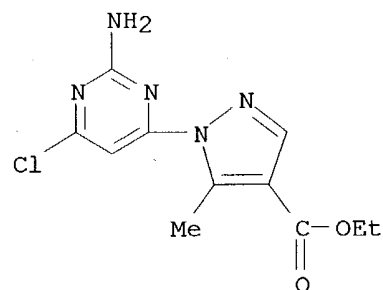
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



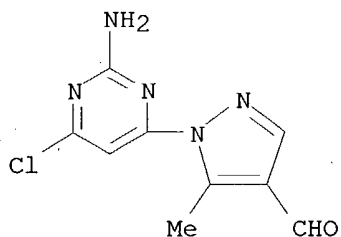
RN 256930-33-3 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 256930-34-4 CAPLUS

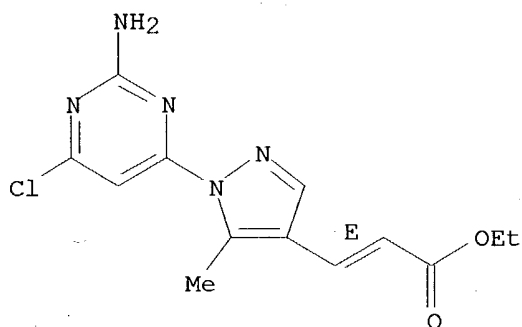
CN 1H-Pyrazole-4-carboxaldehyde, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl- (9CI) (CA INDEX NAME)



RN 256930-35-5 CAPLUS

CN 2-Propenoic acid, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-1H-pyrazol-4-yl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

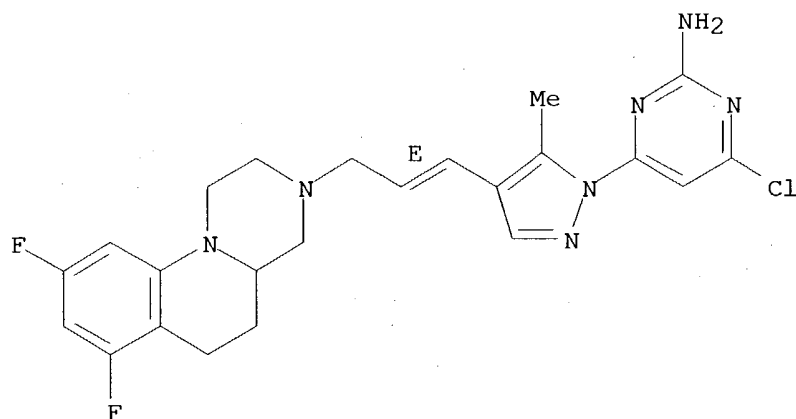
Double bond geometry as shown.



RN 256930-59-3 CAPLUS

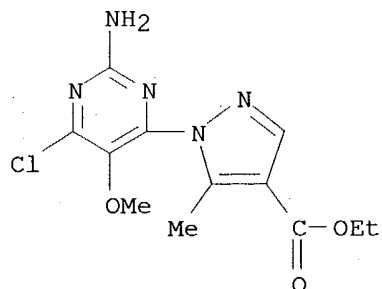
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-(7,9-difluoro-1,2,4,4a,5,6-hexahydro-3H-pyrazino[1,2-a]quinolin-3-yl)-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



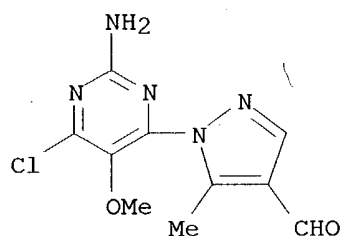
RN 256930-74-2 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-5-methoxy-4-pyrimidinyl)-5-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 256930-75-3 CAPLUS

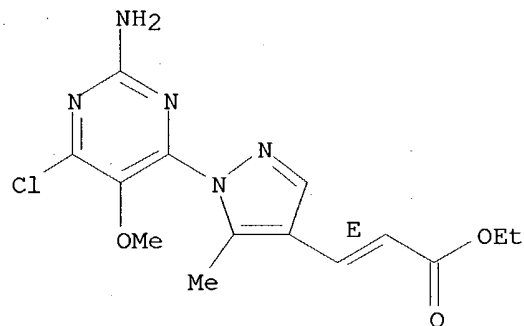
CN 1H-Pyrazole-4-carboxaldehyde, 1-(2-amino-6-chloro-5-methoxy-4-pyrimidinyl)-5-methyl- (9CI) (CA INDEX NAME)



RN 256930-76-4 CAPLUS

CN 2-Propenoic acid, 3-[1-(2-amino-6-chloro-5-methoxy-4-pyrimidinyl)-5-methyl-1H-pyrazol-4-yl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

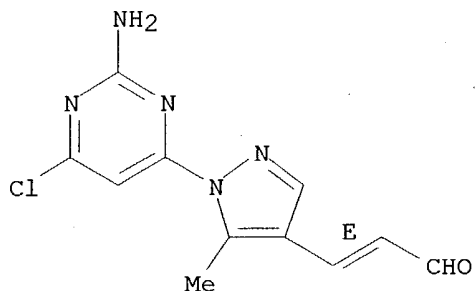
Double bond geometry as shown.



RN 256930-80-0 CAPLUS

CN 2-Propenal, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-methyl-1H-pyrazol-4-yl]-, (2E)- (9CI) (CA INDEX NAME)

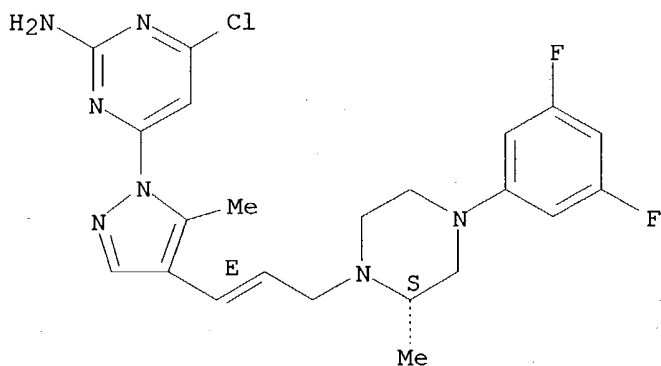
Double bond geometry as shown.



RN 256930-81-1 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(2S)-4-(3,5-difluorophenyl)-2-methyl-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

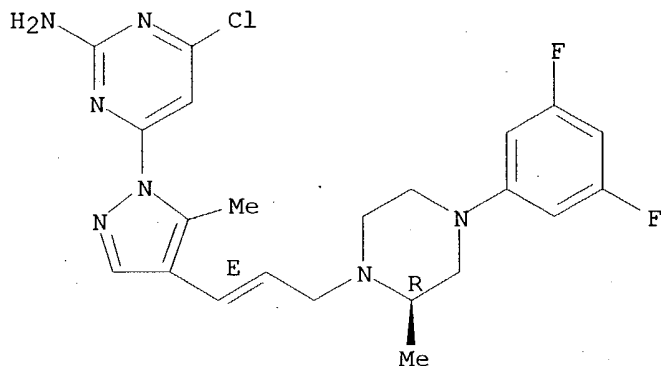
Absolute stereochemistry.
Double bond geometry as shown.



RN 256930-83-3 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(2R)-4-(3,5-difluorophenyl)-2-methyl-1-piperazinyl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

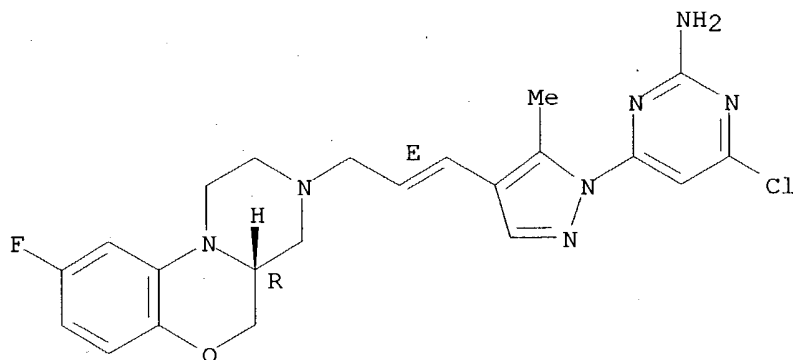


RN 256931-00-7 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(4aR)-9-fluoro-1,2,4a,5-tetrahydropyrazino[2,1-c][1,4]benzoxazin-3(4H)-yl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

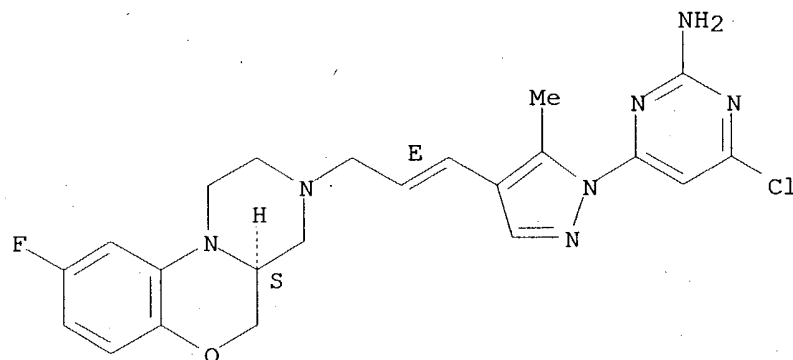


RN 256931-05-2 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(4aS)-9-fluoro-1,2,4a,5-tetrahydropyrazino[2,1-c][1,4]benzoxazin-3(4H)-yl]-1-propenyl]-5-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

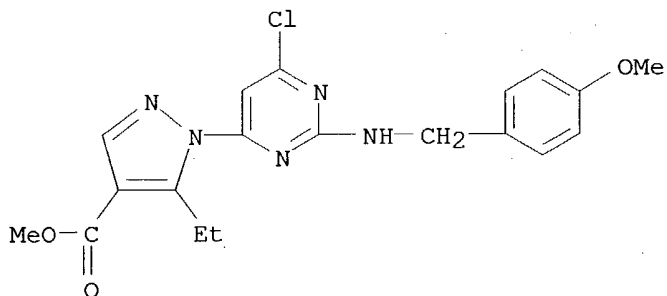
Absolute stereochemistry.

Double bond geometry as shown.



RN 256931-29-0 CAPLUS

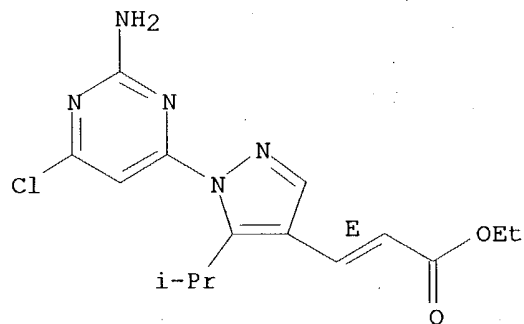
CN 1H-Pyrazole-4-carboxylic acid, 1-[6-chloro-2-[[[(4-methoxyphenyl)methyl]amino]-4-pyrimidinyl]-5-ethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 256931-30-3 CAPLUS

CN 2-Propenoic acid, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-(1-methylethyl)-1H-pyrazol-4-yl]-, ethyl ester, (2E)-(9CI) (CA INDEX NAME)

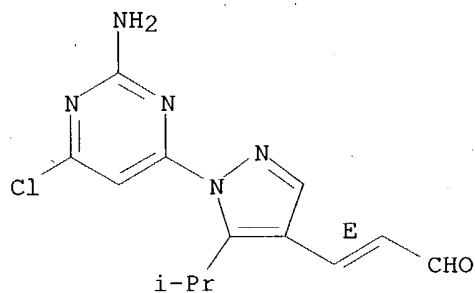
Double bond geometry as shown.



RN 256931-31-4 CAPLUS

CN 2-Propenal, 3-[1-(2-amino-6-chloro-4-pyrimidinyl)-5-(1-methylethyl)-1H-pyrazol-4-yl]-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

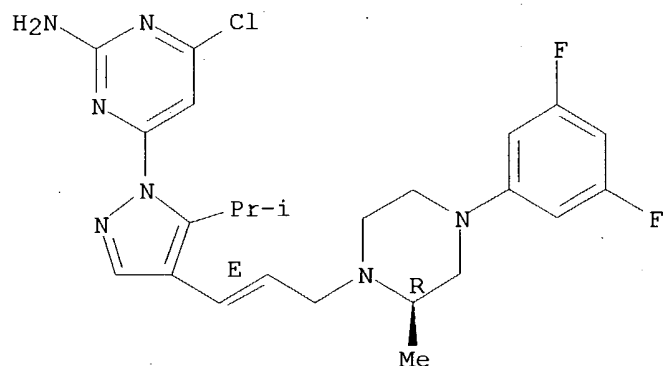


RN 256931-32-5 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[(2R)-4-(3,5-difluorophenyl)-2-methyl-1-piperazinyl]-1-propenyl]-5-(1-methylethyl)-1H-pyrazol-1-yl]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

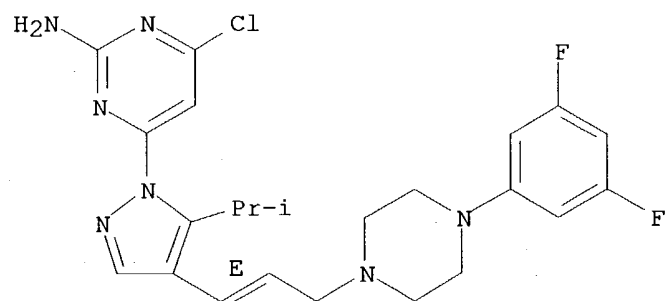
Double bond geometry as shown.



RN 256931-33-6 CAPLUS

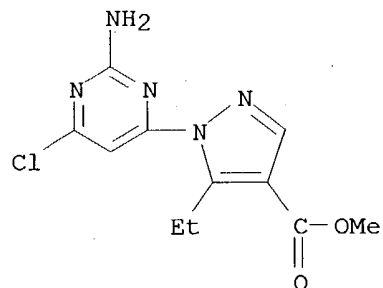
CN 2-Pyrimidinamine, 4-chloro-6-[4-[(1E)-3-[4-(3,5-difluorophenyl)-1-piperazinyl]-1-propenyl]-5-(1-methylethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



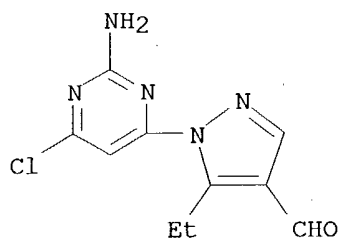
RN 256931-34-7 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-ethyl-, methyl ester (9CI) (CA INDEX NAME)



RN 256931-35-8 CAPLUS

CN 1H-Pyrazole-4-carboxaldehyde, 1-(2-amino-6-chloro-4-pyrimidinyl)-5-ethyl-, methyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 24 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:764033 CAPLUS

DN 132:12319

TI Preparation of heterocyclic indole derivatives and mono- or diazaindole derivatives as cyclooxygenase-2 (COX-2) inhibitors

IN Matsuoka, Hiroharu; Kato, Nobuaki; Takahashi, Tadakatsu; Maruyama, Noriaki; Ishizawa, Takenori; Suzuki, Yukio

PA Chugai Seiyaku Kabushiki Kaisha, Japan

SO PCT Int. Appl., 106 pp.

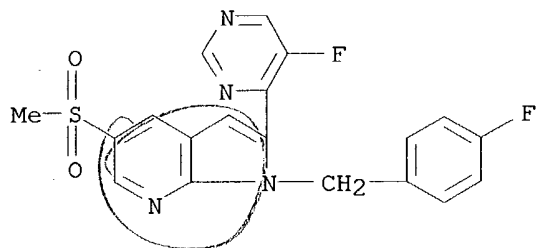
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|--|----------|-----------------|----------|
| PI | WO 9961436 | A1 | 19991202 | WO 1999-JP2718 | 19990525 |
| | W: | AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| | AU 9938511 | A1 | 19991213 | AU 1999-38511 | 19990525 |
| | EP 1086950 | A1 | 20010328 | EP 1999-921245 | 19990525 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | |
| | US 6673797 | B1 | 20040106 | US 2000-701188 | 20001127 |
| PRAI | JP 1998-143957 | A | 19980526 | | |
| | JP 1998-323553 | A | 19981113 | | |
| | WO 1999-JP2718 | W | 19990525 | | |
| OS | MARPAT 132:12319 | | | | |
| AB | Indole derivs. and mono- or diazaindole derivs. represented by general formula (I; wherein Het represents an optionally substituted heterocycle; A1 and A2 independently represent each CH or N; A3 represents CH2, CO, or SO2; R1 represents 4-fluorophenyl, 5-methyl-4H-1,2,4-triazol-3-yl, 5-methylpyridin-2-yl, 4-methylpiperazin-1-yl, cyclohexyl, pyridin-2-yl, 3,4-dichlorophenyl, 2,4-difluorophenyl, or Q; wherein A4 = O, S, or NH; R2 represents linear or branched C1-3 alkyl; and n is 0, 1 or 2, provided that when A1 and A2 are both CH, then A3 is CH2 or SO2), pharmaceutically acceptable acid-addn. salts or base-addn. salts thereof or hydrates of the same, which have a COX-2 inhibitory activity and are useful as drugs such as anti-inflammatory agents, are prepd. Thus, 2-(2-furyl)-5-(methanesulfonyl)-1H-pyrrolo[2,3-b]pyridine (prepn. given) was stirred with NaH in DMF at 0.degree. for 30 min and then stirred with 4-fluorobenzyl bromide for 1 h to give the title compd. (II). II showed IC50 of 0.15 and >20 .mu.M against COX-2 and COX-1, resp. | | | | |
| IT | 251548-69-3P | | | | |
| | RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) | | | | |
| | (prepn. of heterocyclic indole derivs. and mono- or diazaindole derivs. as cyclooxygenase-2 (COX-2) inhibitors and anti-inflammatory agents) | | | | |
| RN | 251548-69-3 CAPLUS | | | | |
| CN | 1H-Pyrrolo[2,3-b]pyridine, 1-[(4-fluorophenyl)methyl]-2-(5-fluoro-4-pyrimidinyl)-5-(methylsulfonyl)- (9CI) (CA INDEX NAME) | | | | |



no R²

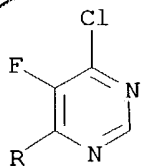
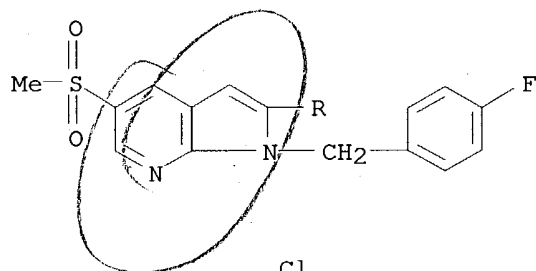
IT 251549-52-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heterocyclic indole derivs. and mono- or diazaindole derivs. as cyclooxygenase-2 (COX-2) inhibitors and anti-inflammatory agents)

RN 251549-52-7 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-(6-chloro-5-fluoro-4-pyrimidinyl)-1-[(4-fluorophenyl)methyl]-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)



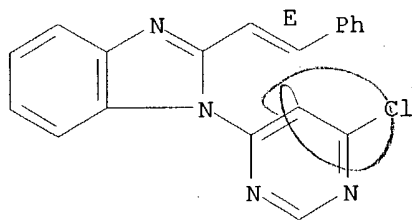
RE.CNT 11

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1999:618811 CAPLUS
 DN 131:252564
 TI Cyclooxygenase 2-inhibiting benzimidazoles and pharmaceutical compositions containing them
 IN Shinno, Takashi; Murata, Yoshinori; Okumura, Yoshimasa
 PA Pfizer Pharmaceutical Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 48 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|------------------|----------|
| PI | JP 11263788 | A2 | 19990928 | JP 1999-24907 | 19990202 |
| | JP 3256513 | B2 | 20020212 | | |
| | EP 937722 | A1 | 19990825 | EP 1999-300824 | 19990204 |
| | EP 937722 | B1 | 20020703 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | AT 220066 | E | 20020715 | AT 1999-300824 | 19990204 |
| | PT 937722 | T | 20021031 | PT 1999-99300824 | 19990204 |
| | ES 2175901 | T3 | 20021116 | ES 1999-300824 | 19990204 |
| | CA 2261426 | C | 20020924 | CA 1999-2261426 | 19990209 |
| | CA 2261426 | AA | 19990811 | | |
| | BR 9900565 | A | 20000502 | BR 1999-565 | 19990210 |
| PRAI | WO 1998-IB164 | A | 19980211 | | |
| OS | MARPAT 131:252564 | | | | |
| AB | Title compns., useful as inflammation inhibitors, analgesics, etc., contain benzimidazoles I [Ar = monocyclic 5- or 6-membered N-contg. heteroaryl; X1, X2 = halo, C1-4 alkyl, OH, C1-4 alkoxy, amino, C1-4 alkanoyl, etc.; R1 = H, (substituted) C1-4 alkyl, (substituted) C3-8 cycloalkyl, (substituted) C4-8 cycloalkenyl, (substituted) Ph, heteroaryl; R2, R3 = H, halo, C1-4 alkyl, (substituted) Ph; m = 0-5; n = 0-4] or their salts, and their carriers. N-(2-pyridyl)-o-phenylenediamine was cyclocondensed with (E)-cinnamoyl chloride in PhMe under reflux for 13 h to give 41% (E)-1-(2-pyridyl)-2-styryl-1H-benzimidazole. | | | | |
| IT | 244758-37-0P | | | | |
| | RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of benzimidazoles as cyclooxygenase 2 inhibitors) | | | | |
| RN | 244758-37-0 CAPLUS | | | | |
| CN | 1H-Benzimidazole, 1-(6-chloro-4-pyrimidinyl)-2-[(1E)-2-phenylethenyl]-, hydrochloride (9CI) (CA INDEX NAME) | | | | |

Double bond geometry as shown.



● x HCl

L6 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1999:387716 CAPLUS
 DN 131:78466
 TI Adenosine A3 antagonists
 IN Sugiura, Yoshihiro; Miwatari, Seiji; Kimura, Hiroyuki; Knzaki, Naoyuki
 PA Takeda Chemical Industries, Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 30 pp.
 CODEN: JKXXAF

DT Patent
 LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------------|------|----------|-----------------|----------|
| PI | JP 11158073 | A2 | 19990615 | JP 1998-270755 | 19980925 |
| PRAI | JP 1997-262525 | | 19970926 | | |
| OS | MARPAT 131:78466 | | | | |

AB Adenosine A3 receptor antagonists contain (un)substituted amino-substituted N2-3-contg. heterocyclic [5-8 ring-contg.] compds. such as 2-chloro-4-ethylamino-6-phenylamino-1,3,5-triazine and 2,4-bis[phenylamino]-6-cyclohexylamino-1,3,5-triazine. Of 6 compds. tested, the IC50 values of adenosine A3 receptor antagonist activities ranged from 0.7 to 285.9 nM as detd. in human adenosine A3 receptor-expressing plasmid-transformed CHO (dhfr-) cell cultures. Tablets were formulated contg. 2,4-bis[phenylamino]-6-cyclohexylamino-1,3,5-triazine 50, lactose 34, corn starch 10.6, corn starch paste 5, magnesium stearate 0.4 and calcium CM-cellulose 20 mg. The drugs are useful for treating e.g. brain ischemic disease.

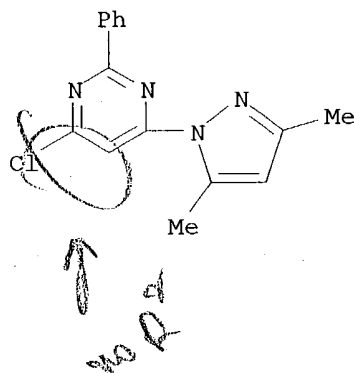
IT 228575-11-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(adenosine A3 receptor antagonists and pharmaceutical compns.)

RN 228575-11-9 CAPLUS

CN Pyrimidine, 4-chloro-6-(3,5-dimethyl-1H-pyrazol-1-yl)-2-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 27 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1998:604917 CAPLUS
 DN 129:231019
 TI Preparation of N-heterocyclic derivatives as NOS inhibitors
 IN Arnaiz, Damian O.; Baldwin, John J.; Davey, David D.; Devlin, James J.;
 Dolle, Roland Ellwood, III; Erickson, Shawn David; McMillan, Kirk;
 Morrissey, Michael M.; Ohlmeyer, Michael H. J.; Pan, Gonghua; Paradkar,
 Vidyadhar Madhav; Parkinson, John; Phillips, Gary B.; Ye, Bin; Zhao,
 Zuchun; et al.
 PA Berlex Laboratories, Inc., USA; Pharmacopeia, Inc.; et al.
 SO PCT Int. Appl., 358 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | WO 9837079 | A1 | 19980827 | WO 1998-US3176 | 19980219 |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9861749 | A1 | 19980909 | AU 1998-61749 | 19980219 |
| | AU 732969 | B2 | 20010503 | | |
| | EP 968206 | A1 | 20000105 | EP 1998-906555 | 19980219 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | GB 2338957 | A1 | 20000112 | GB 1999-19686 | 19980219 |
| | NZ 337861 | A | 20010223 | NZ 1998-337861 | 19980219 |
| | NO 9903996 | A | 19991018 | NO 1999-3996 | 19990819 |
| | HK 1025952 | A1 | 20020412 | HK 2000-104236 | 20000711 |
| | US 2003027794 | A1 | 20030206 | US 2002-121758 | 20020412 |
| | US 2003060452 | A1 | 20030327 | US 2002-121212 | 20020412 |
| | US 2003069210 | A1 | 20030410 | US 2002-122072 | 20020412 |
| PRAI | US 1997-808975 | A2 | 19970219 | | |
| | US 1998-25124 | A | 19980217 | | |
| | WO 1998-US3176 | W | 19980219 | | |
| | US 1999-383813 | A3 | 19990826 | | |
| OS | MARPAT 129:231019 | | | | |
| AB | N-Heterocyclic derivs. I [U = N, CR5 (R5 = H, halo, alkyl, optionally substituted aralkyl or aryl, etc.); V = NR4, S, O, CHR4 (R4 = H, alkyl, aryl, aralkyl, cycloalkyl); W = N, CH; X, Y, Z = N, CR19 (R19 = H, alkyl, cyclopropyl, halo, haloalkyl); A = R1, OR1, CONR1R2, PO(NR1R2)2, NR1COR2, etc. (R1, R2 = H, optionally substituted alkyl or cycloalkyl, etc. or R1R2N = N-heterocyclyl); B = CR17(CHR15)mQR3 (m = 1-4, R3 = H, alkyl, cycloalkyl, optionally substituted aryl, etc.; R15, R17 = H, alkyl; Q = CO, O, C:NR1, etc.); N-heterocyclyl; C = (CHR12)q(CHR13)r (q, r = 0 or 1; R12, R13 = H, alkyl); or B = C = null; R14, R20 = H, alkyl; n = 1-3] were prepd. as inhibitors of nitric oxide synthase. Thus, N-[(1,3-benzodioxol-5-yl)methyl]-1-[3-(1H-imidazol-1-yl)phenyl]piperidine-2-acetamide was prepd. by reaction of 1-(3-aminophenyl)imidazole, 7-chloro-3-oxoheptanoic acid Et ester, and piperonylamine. | | | | |
| IT | 212635-74-0P 212636-73-2P | | | | |
| | RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological | | | | |

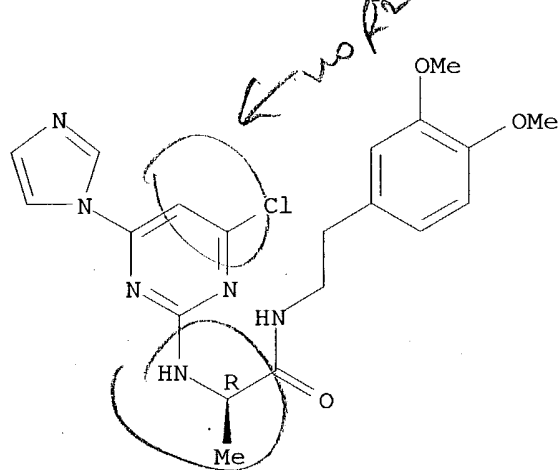
study); PREP (Preparation); USES (Uses)

(prepn. of N-heterocyclic derivs. as NOS inhibitors)

RN 212635-74-0 CAPLUS

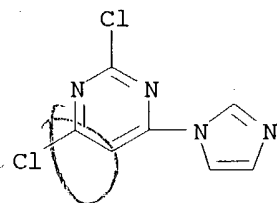
CN Propanamide, 2-[[4-chloro-6-(1H-imidazol-1-yl)-2-pyrimidinyl]amino]-N-[2-(3,4-dimethoxyphenyl)ethyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 212636-73-2 CAPLUS

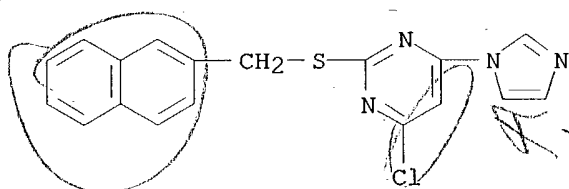
CN Pyrimidine, 2,4-dichloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



RE.CNT 2

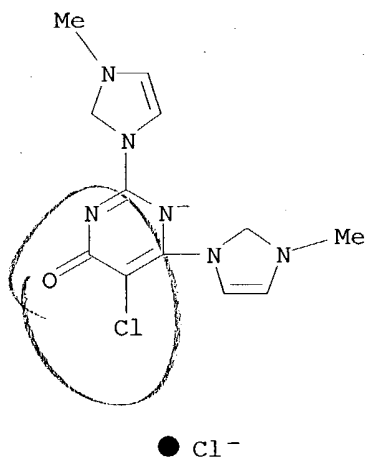
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1998:572926 CAPLUS
 DN 129:302607
 TI Pyrimidine thioethers: A novel class of HIV-1 reverse transcriptase inhibitors with activity against BHAP-resistant HIV
 AU Nugent, Richard A.; Schlachter, Stephen T.; Murphy, Michael J.; Cleek, Gary J.; Poel, Toni J.; Wishka, Donn G.; Graber, David R.; Yagi, Yoshihiko; Keiser, Barbara J.; Olmsted, Robert A.; Kopta, Laurie A.; Swaney, Steven M.; Poppe, Susan M.; Morris, Joel; Tarpley, W. Gary; Thomas, Richard C.
 CS Departments of Medicinal Chemistry Discovery Technology and Infectious Diseases, Pharmacia Upjohn, Kalamazoo, MI, 49001-0199, USA
 SO Journal of Medicinal Chemistry (1998), 41(20), 3793-3803
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 AB A series of pyrimidine thioethers, e.g., I (R = 2-naphthyl, cyclohexyl, CONEt₂, etc.), was synthesized and evaluated for inhibitory properties against wild-type HIV-1 reverse transcriptase (RT) and an RT carrying the resistance-conferring mutation P236L. Modifications of both the pyrimidine and the functionality attached through the thioether yielded several analogs, which demonstrated activity against both enzyme types, with IC₅₀ values as low as 190 nM against wild-type and 66 nM against P236L RT. Evaluation of a select no. of pyrimidine thioethers in cell culture showed that these compds. have excellent activity against HIV-1_{IIIB}-WT and retain good activity against a lab.-derived HIV-1_{MF} delavirdine-resistant variant.
 IT **214417-49-9P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and HIV-1 reverse transcriptase inhibitory activity of pyrimidine thioethers)
 RN 214417-49-9 CAPLUS
 CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)-2-[(2-naphthalenylmethyl)thio]- (9CI) (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1998:446690 CAPLUS
 DN 129:148956
 TI Heteroaromatic Tripoles. 2,6-Bis(hetarenio)pyrimidin-4-olates: Hybrids
 between Hetarenium Salts and Cross-Conjugated Mesomeric Betaines
 AU Schmidt, Andreas; Kindermann, Markus Karl
 CS Ernst-Moritz-Arndt-Universitaet Greifswald, Greifswald, D-17487, Germany
 SO Journal of Organic Chemistry (1998), 63(14), 4636-4644
 CODEN: JOCEAH; ISSN: 0022-3263
 PB American Chemical Society
 DT Journal
 LA English
 AB A novel tandem nucleophilic displacement reaction on 2,4,5,6-
 tetrachloropyrimidine leads to mols. with two delocalized pos. and one
 delocalized neg. charge, which comprise a common .pi.-electron system,
 plus one external anion. Thus, treatment of 2,4,5,6-tetrachloropyrimidine
 with an excess of heteroarom. nucleophiles such as 4-
 (dimethylamino)pyridine, 4-(pyrrolidin-1-yl)pyridine, and
 1-methylimidazole, resp., followed by the addn. of water formed the
 tripolar bis(pyridinium)pyrimidin-4-olates I (R = Me₂N, 1-pyrrolidinyl; R₁
 = O⁻; X = Cl, BPh₄, I) and bis(methylimidazolium)pyrimidin-4-olates II (R₁
 = O⁻; X = Cl, BPh₄, I). Addn. of anhyd. alcs. furnished the O-alkylated
 dicationic species I (R = Me₂N, 1-pyrrolidinyl; R₁ = MeO, EtO, Me₂CHO; X =
 BPh₄) and II (R₁ = MeO, EtO, Me₂CHO; X = BPh₄). We contrast the
 spectroscopic features of the monocationic I and II (R₁ = O⁻) and the
 dicationic I and II (R₁ = MeO, EtO, Me₂CHO) and performed a conformational
 study on I (R = Me₂N; R₁ = O⁻; X = no anion) (PM3). The HOMO/LUMO profile
 of I (R = Me₂N; R₁ = O⁻; X = no anion) was calcd. to evaluate our
 classification of I and II as cross-conjugated mesomeric betaine (CCMB)
 derivs.
 IT 210833-74-2P 210833-76-4P 210833-77-5P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of bis(pyridinium)pyrimidinolates and
 bis(imidazolium)pyrimidinolates as tripolar mesoionic compds.)
 RN 210833-74-2 CAPLUS
 CN 1H-Imidazolium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[3-
 methyl-, inner salt, chloride (9CI) (CA INDEX NAME)

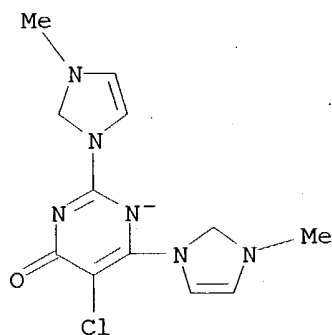


*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 210833-76-4 CAPLUS
 CN 1H-Imidazolium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[3-methyl-, inner salt, tetraphenylborate(1-) (9CI) (CA INDEX NAME)

CM 1

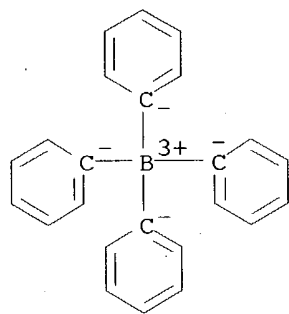
CRN 210833-75-3
 CMF C12 H12 Cl N6 O



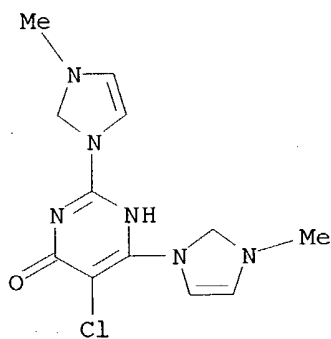
*** FRAGMENT DIAGRAM IS INCOMPLETE ***

CM 2

CRN 4358-26-3
 CMF C24 H20 B
 CCI CCS



RN 210833-77-5 CAPLUS
 CN 1H-Imidazolium, 1,1'-(5-chloro-1,6-dihydro-6-oxo-2,4-pyrimidinediyl)bis[3-methyl-, diiodide (9CI) (CA INDEX NAME)



●2 I⁻

*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:405781 CAPLUS

DN 127:17695

TI Preparation of 1-azinyl-4-carbamoyl-5(4H)-tetrazolinone herbicides

IN Goto, Toshio; Ito, Seishi; Minegishi, Natsuko; Yamaoka, Tatsuya; Ueno, Chieko; Moriya, Koichi; Maurer, Fritz; Watanabe, Ryo

PA Nihon Bayer Agrochem K.K., Japan

SO Eur. Pat. Appl., 30 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---------------------------------------|------|----------|-----------------|----------|
| PI | EP 771797 | A1 | 19970507 | EP 1996-116754 | 19961018 |
| | R: BE, CH, DE, ES, FR, GB, IT, LI, NL | | | | |
| | JP 09183770 | A2 | 19970715 | JP 1996-78069 | 19960307 |
| | CN 1155540 | A | 19970730 | CN 1996-111754 | 19960802 |
| | CN 1064679 | B | 20010418 | | |
| | AU 9670381 | A1 | 19970508 | AU 1996-70381 | 19961024 |
| | US 5776858 | A | 19980707 | US 1996-736867 | 19961025 |
| | CA 2188990 | AA | 19970501 | CA 1996-2188990 | 19961028 |
| | CN 1153173 | A | 19970702 | CN 1996-119238 | 19961031 |
| | BR 9605387 | A | 19980728 | BR 1996-5387 | 19961031 |
| PRAI | JP 1995-305187 | A | 19951031 | | |
| | JP 1996-78069 | A | 19960307 | | |

OS MARPAT 127:17695

AB The title compds. [I; R1, R2 = alkyl, haloalkyl, cycloalkyl, etc.; R1R2, together with the nitrogen atom to which they are bonded, may form a (un)substituted 5- or 6-membered heterocyclic ring; R3 = (un)substituted 6-membered heterocyclic arom. group consisting of carbon atoms and 2 or 3 nitrogen atoms] which exhibit an excellent herbicidal activity, were prepd. Thus, reaction of 1-(5-pyrimidyl)-5(4H)-tetrazolinone with Et2NCOCl in the presence of 4-dimethylaminopyridine in MeCN afforded I [R1 = R2 = Et; R3 = 5-pyrimidyl]. Compd. I [R1 = Et; R2 = cyclohexyl; R3 = 5-pyrimidyl] showed 100% herbicidal activity against Echinochloa crusgalli and Amaranthus Lividus at 1.0 kg/ha.

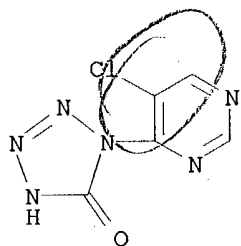
IT 190592-41-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 1-azinyl-4-carbamoyl-5(4H)-tetrazolinone herbicides)

RN 190592-41-7 CAPLUS

CN 5H-Tetrazol-5-one, 1-(5-chloro-4-pyrimidinyl)-1,2-dihydro- (9CI) (CA INDEX NAME)



Handwritten mark resembling a stylized 'P' or a signature.

L6 ANSWER 31 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:743723 CAPLUS
 DN 126:18874
 TI Preparation of benzimidazoles as modulators of the GABAA receptor complex
 IN Teuber, Lene; Waetjen, Frank; Fukuda, Yoshimasa; Ushiroda, Osamu; Sasaki, Toshiro
 PA Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.; Teuber, Lene; Waetjen, Frank; Fukuda, Yoshimasa; Ushiroda, Osamu; Sasaki, Toshiro
 SO PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|--|----------|-----------------|----------|
| PI | WO 9633194 | A1 | 19961024 | WO 1996-EP1606 | 19960417 |
| | W: | AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | |
| | RW: | KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN | | | |
| | CA 2218493 | AA | 19961024 | CA 1996-2218493 | 19960417 |
| | AU 9656891 | A1 | 19961107 | AU 1996-56891 | 19960417 |
| | AU 695957 | B2 | 19980827 | | |
| | EP 821684 | A1 | 19980204 | EP 1996-914932 | 19960417 |
| | EP 821684 | B1 | 20011205 | | |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI | | | |
| | CN 1182427 | A | 19980520 | CN 1996-193419 | 19960417 |
| | CN 1072669 | B | 20011010 | | |
| | JP 11501320 | T2 | 19990202 | JP 1996-531464 | 19960417 |
| | JP 3342874 | B2 | 20021111 | | |
| | RU 2135493 | C1 | 19990827 | RU 1997-119173 | 19960417 |
| | BR 9608048 | A | 19991130 | BR 1996-8048 | 19960417 |
| | CZ 287545 | B6 | 20001213 | CZ 1997-3292 | 19960417 |
| | AT 210132 | E | 20011215 | AT 1996-914932 | 19960417 |
| | EP 1164134 | A1 | 20011219 | EP 2001-112476 | 19960417 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | |
| | SK 282425 | B6 | 20020107 | SK 1997-1399 | 19960417 |
| | PL 183853 | B1 | 20020731 | PL 1996-322892 | 19960417 |
| | CA 2217601 | AA | 19961024 | CA 1996-2217601 | 19960419 |
| | CA 2217601 | C | 20020416 | | |
| | CN 1182426 | A | 19980520 | CN 1996-193420 | 19960419 |
| | NO 9704844 | A | 19971216 | NO 1997-4844 | 19971020 |
| | US 5922724 | A | 19990713 | US 1998-945023 | 19980205 |
| | HK 1015674 | A1 | 20021011 | HK 1998-111156 | 19981009 |
| PRAI | DK 1995-460 | A | 19950421 | | |
| | EP 1996-914932 | A3 | 19960417 | | |
| | WO 1996-EP1606 | W | 19960417 | | |
| OS | MARPAT 126:18874 | | | | |
| AB | The title compds. [I; R1, R2 = H, (un)substituted furanyl, isoxazolyl; R3 = II (wherein A, B, D = each CH, or one or two of A, B and D = N and the others are CH; R4 = (un)substituted Ph, benzimidazolyl, or monocyclic heteroaryl)], useful for the treatment of various CNS disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders, were prepd. Thus, cyclization of N-[3-(1- | | | | |

imidazolyl)phenyl]-2-amino-4-(3-furanyl)aniline with HCOOH afforded 84% I
[R1 = 3-furanyl; R2 = H; A, B, D = CH; R4 = 1-imidazolyl] which showed
IC50 of 0.4 nM against the specific binding of 3H-FNM.

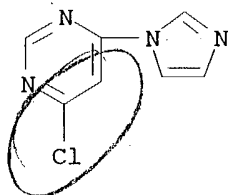
IT **114834-02-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

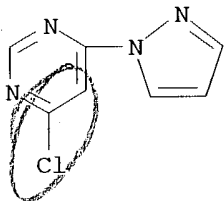
(prepn. of benzimidazoles as modulators of the GABAA receptor complex)

RN 114834-02-5 CAPLUS

CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

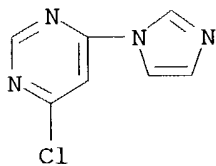


L6 ANSWER 32 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:596983 CAPLUS
 DN 126:8058
 TI Synthesis and cytoprotective antiulcer activity of 2- or
 4-(1H-pyrazol-1-yl)pyrimidine derivatives related to mepirizole and
 dulcerozine
 AU Ikeda, Masazumi; Maruyama, Kazumi; Nobuhara, Youichi; Yamada, Toshihiro;
 Okabe, Susumu
 CS Kyoto Pharmaceutical Univ., Kyoto, 607, Japan
 SO Chemical & Pharmaceutical Bulletin (1996), 44(9), 1700-1706
 CODEN: CPBTAL; ISSN: 0009-2363
 PB Pharmaceutical Society of Japan
 DT Journal
 LA English
 AB A variety of (1H-pyrazol-1-yl)-, (1H-imidazol-1-yl)-, and
 (1H-1,2,4,-triazol-1-yl)pyrimidines were prepd. and evaluated for
 cytoprotective antiulcer activity. The compds. include members of
 structures I [R = pyrazol-1-yl, 3-methylpyrazol-1-yl, imidazol-1-yl,
 1,2,4-triazol-1-yl; R1 = H, OMe, OEt; R2 = H, Me] and II [R =
 pyrazol-1-yl, imidazol-1-yl; R1 = OMe, OEt, OPh, NH2, NHMe, pyrrolidino,
 piperidino, H, SMe, etc.]. Among them, 4-methoxy-6-methyl-2-(1H-pyrazol-1-
 yl)pyrimidine (III) showed potent inhibition of HCl-EtOH-induced and
 water-immersion stress-induced ulcers in rats, as well as low acute
 toxicity.
 IT **114833-95-3P**, 4-Chloro-6-(1H-pyrazol-1-yl)pyrimidine
114834-02-5P, 4-Chloro-6-(1H-imidazol-1-yl)pyrimidine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; prepn. of azolylpyrimidines as cytoprotective antiulcer
 agents)
 RN 114833-95-3 CAPLUS
 CN Pyrimidine, 4-chloro-6-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



no R²

RN 114834-02-5 CAPLUS
 CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



L6 ANSWER 33 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:349673 CAPLUS
 DN 125:10818
 TI Preparation of 2-imidazoline-5-ones as agrochemical fungicides
 IN Emeric, Gilbert; Hutt, Jean; Perez, Joseph
 PA Rhone Poulenc Agrochimie, Fr.
 SO PCT Int. Appl., 57 pp.
 CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | WO 9602538 | A1 | 19960201 | WO 1995-FR920 | 19950710 |
| | W: AU, BG, BY, CA, CZ, HU, PL, RO, RU, SI, SK, UA, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | FR 2722499 | A1 | 19960119 | FR 1994-8935 | 19940713 |
| | FR 2722499 | B1 | 19960823 | | |
| | AU 9529311 | A1 | 19960216 | AU 1995-29311 | 19950710 |
| PRAI | FR 1994-8935 | | 19940713 | | |
| | WO 1995-FR920 | | 19950710 | | |

OS MARPAT 125:10818

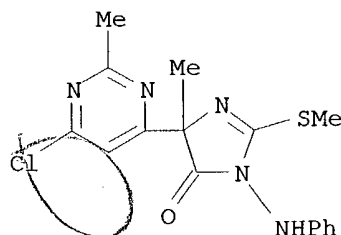
AB Title compds. [I; R1 = H, vinyl, allyl, haloalkyl, etc.; R2 = heteroaryl; R3 = H (n = 0), (halo)alkyl, cyclopropyl; R4 = (hetero)aryl; R5 = H, alkyl, acyl, etc.; W = O, S, SO; Z = O or S; n = 0 or 1] were prepd. Thus, MeCR(CN)NCS (R = 2-phenyl-4-thiazolyl)(prepn. from 4-acetyl-2-phenylthiazole given) was cyclocondensed with PhNHNH2 and the product treated with MeI/KOCMe3 to give iminoimidazoline II (W = NH) which was hydrolyzed to II (W = O). Data for fungicidal activity of selected I were given.

IT 177487-67-1P 177487-68-2P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 2-imidazoline-5-ones as agrochem. fungicides)

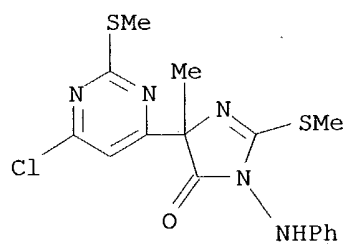
RN 177487-67-1 CAPLUS

CN 4H-Imidazol-4-one, 5-(6-chloro-2-methyl-4-pyrimidinyl)-3,5-dihydro-5-methyl-2-(methylthio)-3-(phenylamino)- (9CI) (CA INDEX NAME)

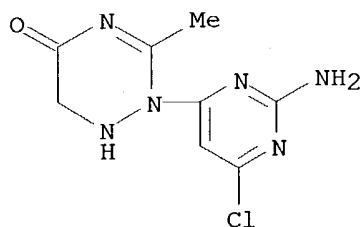


RN 177487-68-2 CAPLUS

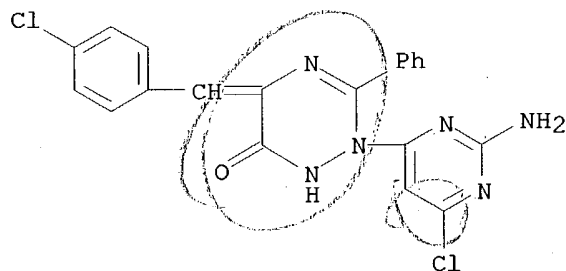
CN 4H-Imidazol-4-one, 5-[6-chloro-2-(methylthio)-4-pyrimidinyl]-3,5-dihydro-5-methyl-2-(methylthio)-3-(phenylamino)- (9CI) (CA INDEX NAME)



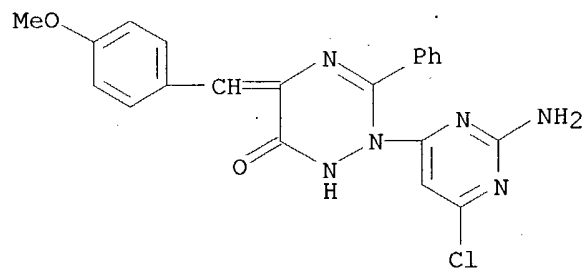
L6 ANSWER 34 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:323511 CAPLUS
 DN 120:323511
 TI Synthesis of some new 1,2,4-triazines containing aminopyrimidine moiety
 AU Seada, M.; Abdel-Rahman, R. M.; Hanafy, F.
 CS Fac. Educ., Ain Shams Univ., Cairo, Egypt
 SO Journal of the Indian Chemical Society (1992), 69(12), 882-4
 CODEN: JICSAH; ISSN: 0019-4522
 DT Journal
 LA English
 AB A series of 1,2,4-triazino[4,3-c]pyrimidinones I (R = Ph, aryl) and
 pyrazolo[4,3-e][1,2,4]triazines II (same R) were prepd. starting from
 2-amino-4-hydrazino-6-chloropyrimidine. Some (pyrimidinyl)triazinones III
 (same R) were also prepd.
 IT **154989-44-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 154989-44-3 CAPLUS
 CN 1,2,4-Triazin-5(2H)-one, 2-(2-amino-6-chloro-4-pyrimidinyl)-1,6-dihydro-3-
 methyl- (9CI) (CA INDEX NAME)



IT **154989-34-1P 154989-35-2P 154989-36-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for (pyrimidinyl)triazine)
 RN 154989-34-1 CAPLUS
 CN 1,2,4-Triazin-6(1H)-one, 2-(2-amino-6-chloro-4-pyrimidinyl)-5-[(4-
 chlorophenyl)methylene]-2,5-dihydro-3-phenyl- (9CI) (CA INDEX NAME)

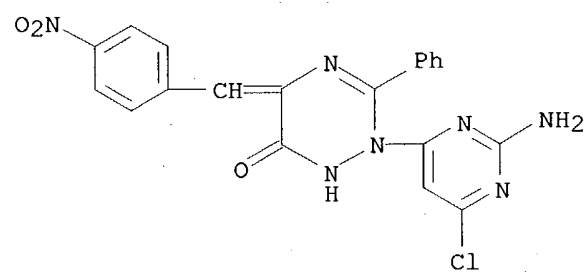


RN 154989-35-2 CAPLUS
 CN 1,2,4-Triazin-6(1H)-one, 2-(2-amino-6-chloro-4-pyrimidinyl)-2,5-dihydro-5-
 [(4-methoxyphenyl)methylene]-3-phenyl- (9CI) (CA INDEX NAME)



RN 154989-36-3 CAPLUS

CN 1,2,4-Triazin-6(1H)-one, 2-(2-amino-6-chloro-4-pyrimidinyl)-2,5-dihydro-5-[(4-nitrophenyl)methylene]-3-phenyl- (9CI) (CA INDEX NAME)



L6 ANSWER 35 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1993:101947 CAPLUS
 DN 118:101947
 TI Preparation of 3,4,N-triaryl-4,5-dihydro-1H-pyrazole-1-carboxamides as insecticides
 IN McLaren, Kevin L.; Hertlein, Mark B.; Pechacek, James T.; Ricks, Michael J.; Tong, Yulan C.; Karr, Laura L.
 PA DowElanco, USA
 SO Eur. Pat. Appl., 36 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | EP 508469 | A1 | 19921014 | EP 1992-106270 | 19920410 |
| | EP 508469 | B1 | 19990303 | | |
| | R: CH, DE, ES, FR, GB, GR, IT, LI, NL, PT | | | | |
| | US 5250532 | A | 19931005 | US 1992-842834 | 19920227 |
| | JP 06025225 | A2 | 19940201 | JP 1992-113255 | 19920407 |
| | CA 2065746 | AA | 19921012 | CA 1992-2065746 | 19920410 |
| | AU 9214825 | A1 | 19921015 | AU 1992-14825 | 19920410 |
| | AU 646942 | B2 | 19940310 | | |
| | HU 60729 | A2 | 19921028 | HU 1992-1236 | 19920410 |
| | BR 9201305 | A | 19921201 | BR 1992-1305 | 19920410 |
| | CN 1067426 | A | 19921230 | CN 1992-102609 | 19920410 |
| | US 5493024 | A | 19960220 | US 1993-71870 | 19930602 |
| PRAI | US 1991-684525 | | 19910411 | | |
| | US 1992-842834 | | 19920227 | | |

OS MARPAT 118:101947

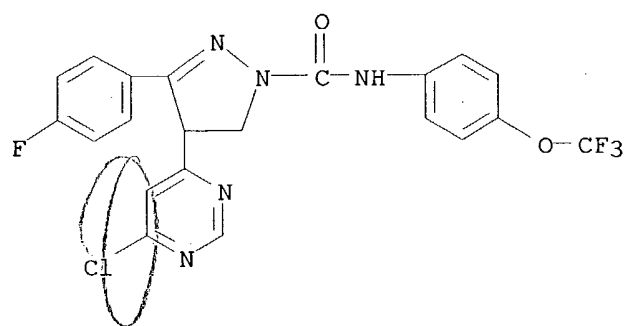
AB Title compds. [I; R = C(:W)NTZ; Y = pyridyl, quinolyl, pyrimidyl, etc.; X = groups cited for Y, Ph, etc.; Z = groups cited for X, etc.; T = H, alkanoyl, alkoxycarbonyl, PhS, etc.; W = O, S] were prepd. Thus, 4-ClC6H4COMe was condensed with 2-fluoro-5-(trifluoromethyl)pyridine and the product condensed with CH₂(NMe₂)₂ to give 4-ClC6H4COCY:CH₂ (Y = 5-trifluoromethyl-2-pyridyl) which was cyclocondensed with H₂NNH₂ to give I (X = 4-ClC6H₅, Y = 5-trifluoromethyl-2-pyridyl) (II; R = H). The latter was condensed with 4-(MeS)C6H₄NCO to give II [R = CONHC6H₄(SMe)-4]. I [X = Ph, Y = 5-pyrimidinyl, R = CONHC6H₄(OCF₃)-4] had LC₅₀ of 0.9 and 1.2 ppm in contact and ingestion test against *Spodoptera exigua* and *Heliothis virescens*, resp.

IT 145834-10-2P

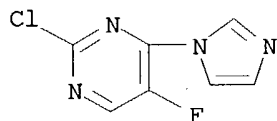
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as insecticide)

RN 145834-10-2 CAPLUS

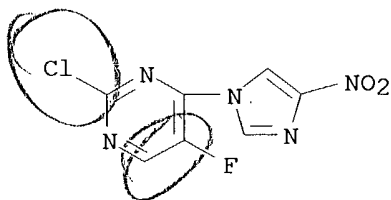
CN 1H-Pyrazole-1-carboxamide, 4-(6-chloro-4-pyrimidinyl)-3-(4-fluorophenyl)-4,5-dihydro-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



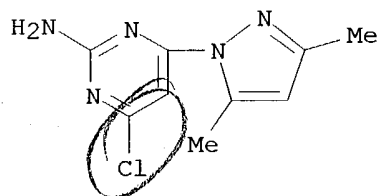
L6 ANSWER 36 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1993:101909 CAPLUS
 DN 118:101909
 TI Synthesis and amination of 2,4-dichloro-5-fluoropyrimidine
 AU Kovalenko, A. L.; Krutikov, V. I.; Zolotukhina, M. M.; Alekseeva, L. E.
 CS VNItekhrol. Inst. Antibiot. Ferment. Med. Naznachen., St. Petersburg,
 Russia
 SO Zhurnal Obshchei Khimii (1992), 62(6), 1363-6
 CODEN: ZOKHA4; ISSN: 0044-460X
 DT Journal
 LA Russian
 AB Chlorination of 5-fluorouracil by PCl5 in the absence of solvent gave 92%
 dichlorofluoropyrimidine I which underwent amination by primary,
 secondary, and heterocyclic amines in aq. soln. to give 42-100% amines II
 [R = NH2, H2NC(:NH)NH, CH2:CHCH2NH, piperidino, 1-propylpiperazinyl,
 morpholino, imidazol-1-yl]. Amines II were fungicidal at 100 .mu.g/mL
 against Candida, Cryptococcus, Aspergillus et al.
 IT **145694-79-7P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and fungicidal activity activity of)
 RN 145694-79-7 CAPLUS
 CN Pyrimidine, 2-chloro-5-fluoro-4-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



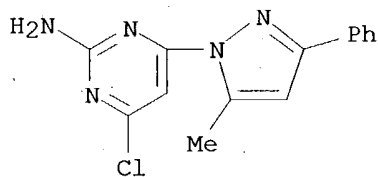
IT **139336-22-4P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 139336-22-4 CAPLUS
 CN Pyrimidine, 2-chloro-5-fluoro-4-(4-nitro-1H-imidazol-1-yl)- (9CI) (CA
 INDEX NAME)



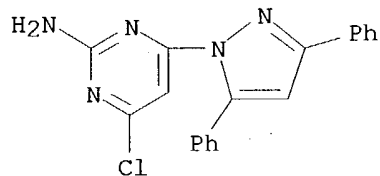
L6 ANSWER 37 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1992:448481 CAPLUS
 DN 117:48481
 TI Synthesis of some new heterocyclic compounds derived from
 2-amino-4-hydrazino-6-substituted pyrimidines
 AU Seada, M.; Abdel-Rahman, R. M.; El-Behairy, M.; Hanafy, Fatin
 CS Fac. Educat., Ain Shams Univ., Roxy, Egypt
 SO Asian Journal of Chemistry (1992), 4(3), 604-14
 CODEN: AJCHEW; ISSN: 0970-7077
 DT Journal
 LA English
 AB A no. of new heterocyclic compds. contg. 2-amino-6-substituted
 pyrimidin-4-yl moiety were prepd. from the reactions of
 2-amino-4-hydrazinopyrimidines I (R = Cl, Me). The structures of the
 prepd. compds. were established by elemental and spectral anal.
 IT **142077-26-7P 142077-27-8P 142077-28-9P**
142077-31-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 142077-26-7 CAPLUS
 CN 2-Pyrimidinamine, 6-chloro-4-(3,5-dimethyl-1H-pyrazol-1-yl)- (9CI) (CA
 INDEX NAME)



RN 142077-27-8 CAPLUS
 CN 2-Pyrimidinamine, 6-chloro-4-(5-methyl-3-phenyl-1H-pyrazol-1-yl)- (9CI)
 (CA INDEX NAME)



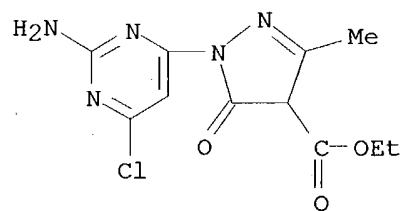
RN 142077-28-9 CAPLUS
 CN 2-Pyrimidinamine, 6-chloro-4-(3,5-diphenyl-1H-pyrazol-1-yl)- (9CI) (CA
 INDEX NAME)



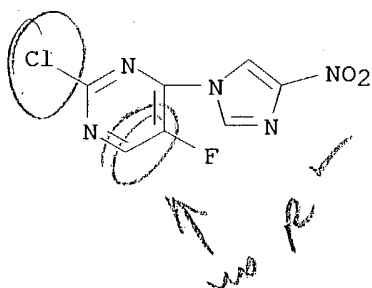
10/642,552

RN 142077-31-4 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-(2-amino-6-chloro-4-pyrimidinyl)-4,5-dihydro-3-methyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 38 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:128855 CAPLUS
DN 116:128855
TI Reaction of 5-nitroimidazole with 2,4-dichloro-5-fluoropyrimidine
AU Kovalenko, A. L.; Smirnov, S. N.; Alekseeva, L. E.; Gindin, V. A.
CS Vses. Nauchno-Issled. Inst. Antibiot. Ferment. Med. Naznachen., Leningrad, USSR
SO Zhurnal Obshchei Khimii (1991), 61(9), 2126-7
CODEN: ZOKHA4; ISSN: 0044-460X
DT Journal
LA Russian
AB The title reaction gives only one of the 2 possible regioisomeric products, I, in 26% yield.
IT **139336-22-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 139336-22-4 CAPLUS
CN Pyrimidine, 2-chloro-5-fluoro-4-(4-nitro-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



L6 ANSWER 39 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1991:536117 CAPLUS
 DN 115:136117
 TI Preparation of 2-(2-pyrimidinyloxyphenyl)-3-methoxypropenoic acid methyl esters as agrochemical fungicides
 IN Clough, John Martin; Godfrey, Christopher Richard Ayles; Streeting, Ian Thomas; Bacon, David Philip
 PA Imperial Chemical Industries PLC, UK
 SO Eur. Pat. Appl., 29 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | EP 430471 | A1 | 19910605 | EP 1990-312244 | 19901108 |
| | EP 430471 | B1 | 19940907 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | ES 2058818 | T3 | 19941101 | ES 1990-312244 | 19901108 |
| | AU 9066531 | A1 | 19910718 | AU 1990-66531 | 19901113 |
| | US 5179098 | A | 19930112 | US 1990-616454 | 19901120 |
| | JP 03220178 | A2 | 19910927 | JP 1990-315675 | 19901122 |
| | JP 3025001 | B2 | 20000327 | | |
| | US 5314892 | A | 19940524 | US 1992-957232 | 19921006 |
| PRAI | GB 1989-26630 | A | 19891124 | | |
| | US 1990-616454 | A1 | 19901120 | | |

OS MARPAT 115:136117

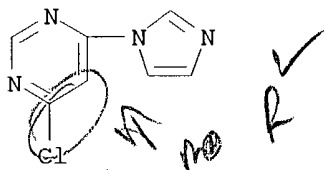
AB The title compds. [I; any 2 of K, L, and M = N and the other = CH; X = (un)substituted 3- to 6-membered heterocyclyl] are prepd. Thus, to a soln. of 4,6-dichloropyrimidine in DMF was added K₂CO₃ at 0.degree. followed by a soln. of (E)-Me 2-(2-hydroxyphenyl)-3-methoxypropenoate in DMF and the mixt. was stirred at room temp. over the weekend to give (E)-I (K = L = N, M = CH) (II; X = Cl) which was condensed with 3-methyl-2-pyridone and Ag₂CO₃ in refluxing PhMe to give II (X = 3-methyl-2-oxopyridinyl). II (X = imidazol-1-yl) at 10 ppm foliar spray controlled 60-100% 5 fungi, e.g. Erysiphe graminis in barley plants and Pyricularia oryzae in rice plants. Addnl. 4 I were prepd. and tested for antifungal activities.

IT 114834-02-5P 135948-75-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for (pyrimidinyloxyphenyl)propenoate fungicide)

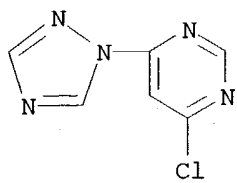
RN 114834-02-5 CAPLUS

CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



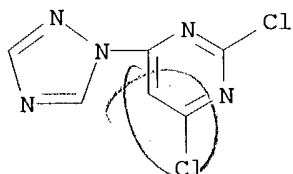
RN 135948-75-3 CAPLUS

CN Pyrimidine, 4-chloro-6-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)

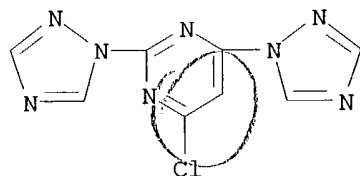


L6 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1991:466812 CAPLUS
 DN 115:66812
 TI Synergistic herbicides containing benzothiadiazine and azolylpyrimidine and/or azolyltriazine derivatives.
 IN Zipplies, Matthias; Sauter, Hubert; Tuerk, Wolfgang; Moore, Barbara Auxier; Carlson, Dale R.; Wuerzer, Bruno; Zorner, Paul Steffen; Westphalen, Karl Otto
 PA BASF A.-G., Germany
 SO Eur. Pat. Appl., 25 pp.
 CODEN: EPXXDW
 DT Patent
 LA German
 FAN.CNT 1

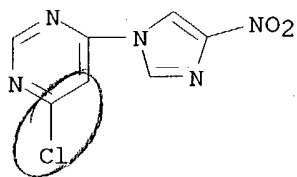
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | EP 421266 | A1 | 19910410 | EP 1990-118529 | 19900927 |
| | R: BE, CH, DE, FR, GB, IT, LI, NL | | | | |
| | CA 2027187 | AA | 19910411 | CA 1990-2027187 | 19901009 |
| PRAI | US 1989-418222 | | 19891006 | | |
| OS | MARPAT 115:66812 | | | | |
| AB | Synergistic herbicide compns. contain I (R3 = H, halo, C1-4 alkyl or alkoxy; R4 = H or cyano) or a I salt, and II [e.g. 2-(1-imidazolyl)pyrimidine, prepn. given] and/or III (R1 = substituted 5 membered-heterocyclyl, contg. N and bound over N; R2 = halo, OH, C1-4 alkyl, haloalkyl, alkoxy, etc.) or their salt. The herbicides are useful for crops, such as rice, wheat, bean, etc. | | | | |
| IT | 135052-29-8P 135052-30-1P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, synergistic herbicide contg. benzothiadiazine and) | | | | |
| RN | 135052-29-8 CAPLUS | | | | |
| CN | Pyrimidine, 2,4-dichloro-6-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME) | | | | |



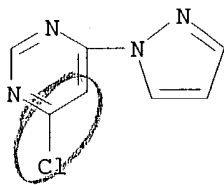
RN 135052-30-1 CAPLUS
 CN Pyrimidine, 4-chloro-2,6-bis(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)



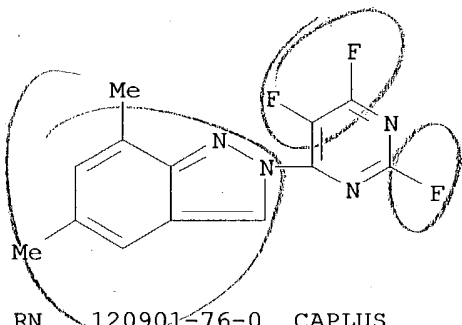
L6 ANSWER 41 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:178783 CAPLUS
DN 112:178783
TI Improved syntheses of N-substituted nitroimidazoles
AU Searcey, M.; Pye, P. L.; Lee, J. B.
CS Chem. Sci. Div., Hatfield Polytech., Hatfield, AL10 9AB, UK
SO Synthetic Communications (1989), 19(7-8), 1309-15
CODEN: SYNCAV; ISSN: 0039-7911
DT Journal
LA English
OS CASREACT 112:178783
AB The prepn. of 1-substituted 4-nitroimidazoles by reaction of
tetrabutylammonium 4(5)-nitroimidazole (I, R = Bu₄N) with toluenesulfonyl,
aryl and alkyl halides is reported. Thus, I (R = Bu₄N) was treated with
PhCH₂Br in DMF at ambient temp. for 7 days to give 70% I (R = PhCH₂).
IT **126401-83-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 126401-83-0 CAPLUS
CN Pyrimidine, 4-chloro-6-(4-nitro-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



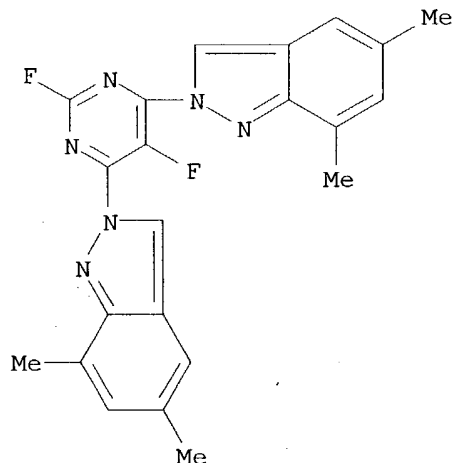
L6 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:594717 CAPLUS
DN 111:194717
TI Syntheses of new pyrazole-derived chelating ligands
AU Steel, Peter J.; Constable, Edwin C.
CS Chem. Dep., Univ. Canterbury, Christchurch, N. Z.
SO Journal of Chemical Research, Synopses (1989), (7), 189.
CODEN: JRPSDC; ISSN: 0308-2342
DT Journal
LA English
OS CASREACT 111:194717
AB The title compds. I (R = 2-pyrimidinyl, 2-pyrazinyl, 4-pyrimidinyl, 3-pyridazinyl, 2-quinolinyl, 2-thiazolyl, 4-thiazolyl, 2-benzothiazolyl, 2-benzoxazolyl) were prepd. via substitution of Na pyrazolide with heteroarom. halides. Thus, pyrazole was treated with NaH in THF and then 2-chloropyrazine to give 72% I (R = 2-pyrazinyl).
IT **114833-95-3P**, 4-Chloro-6-(1-pyrazolyl)pyrimidine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenolysis of)
RN 114833-95-3 CAPLUS
CN Pyrimidine, 4-chloro-6-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



L6 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1989:231570 CAPLUS
 DN 110:231570
 TI Thermal conversion of fluorinated azo-compounds into indazoles: the case
 of 2,5,6-trifluoro-4-(2,4,6-trimethylphenylazo)pyrimidine
 AU Alty, Adam Charles; Banks, Ronald Eric
 CS Inst. Sci., Univ. Manchester, Manchester, M60 1QD, UK
 SO Journal of Fluorine Chemistry (1988), 41(3), 439-42
 CODEN: JFLCAR; ISSN: 0022-1139
 DT Journal
 LA English
 OS CASREACT 110:231570
 AB Thermal dehydrofluorination of 2,5,6-trifluoro-4-(2,4,6-
 trimethylphenylazo)pyrimidine in boiling xylene to 2,4-difluoro-7,9-
 dimethyl-5H-pyrimido[4,5-c]benzo[1,2]diazepine is accompanied by the
 formation, inter alia, of 5,7-dimethyl-2-(2,5,6-trifluoropyrimidin-4-yl)-
 2H-indazole. The latter is formed when tetrafluoropyrimidine is treated
 with 5,7-dimethylindazole.
 IT **120870-30-6P 120901-76-0P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 120870-30-6 CAPLUS
 CN 2H-Indazole, 5,7-dimethyl-2-(2,5,6-trifluoro-4-pyrimidinyl)- (9CI) (CA
 INDEX NAME)



RN 120901-76-0 CAPLUS
 CN 2H-Indazole, 2,2'-(2,5-difluoro-4,6-pyrimidinediyl)bis[5,7-dimethyl- (9CI)
 (CA INDEX NAME)



L6 ANSWER 44 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1989:8210 CAPLUS
 DN 110:8210
 TI Preparation of insecticidal 2-(nitroimino or cyanoimino)imidazolidine and
 -hexahydropyrimidine derivatives, process for their preparation, and their
 intermediates
 IN Shiokawa, Kozo; Tsuboi, Shinichi; Morie, Koichi; Shibuya, Katsuhiko
 PA Nihon Tokushu Noyaku Seizo K. K., Japan
 SO Jpn. Kokai Tokkyo Koho, 49 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-----------------------------------|------|----------|-----------------|----------|
| PI | JP 63156786 | A2 | 19880629 | JP 1986-301333 | 19861219 |
| | JP 07084461 | B4 | 19950913 | | |
| | EP 277317 | A1 | 19880810 | EP 1987-118054 | 19871207 |
| | EP 277317 | B1 | 19910403 | | |
| | R: BE, CH, DE, FR, GB, IT, LI, NL | | | | |
| | US 4880933 | A | 19891114 | US 1987-130376 | 19871208 |
| | IL 84843 | A1 | 19920621 | IL 1987-84843 | 19871216 |
| | CA 1320202 | A1 | 19930713 | CA 1987-554583 | 19871217 |
| | BR 8706927 | A | 19880726 | BR 1987-6927 | 19871218 |
| | HU 47085 | A2 | 19890130 | HU 1987-5872 | 19871218 |
| | HU 200753 | B | 19900828 | | |
| | JP 07278140 | A2 | 19951024 | JP 1994-291932 | 19941102 |
| | JP 3209649 | B2 | 20010917 | | |
| PRAI | IL 1986-77750 | A | 19860131 | | |
| | JP 1986-301333 | A | 19861219 | | |

OS CASREACT 110:8210; MARPAT 110:8210

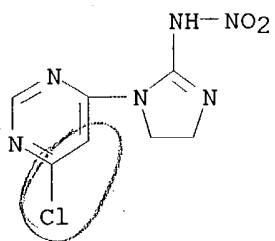
AB The title compds. [I; R = H, alkyl; W = 5- or 6-membered heterocyclyl
 contg. at least 1 N, O, S; Y = O₂N, cyano; A = (un)substituted (CH₂)₂₋₃; Z
 = (un)substituted alkyl, alkenyl, alkynyl, aryl, alkoxy, alkylthio,
 arylthio, or cycloalkyl, cyano, CHO, aryloxy, alkenyloxy, (un)substituted
 heterocyclyl contg. N, O, or S, (un)substituted (thio)carbamoyl, CO₂R₁,
 etc.; R₁ = Q, (un)substituted heterocyclyl contg. N, O, or S; T = S, S₂,
 (CO)₂, C(S), S(O)₂], useful as insecticides, were prepd. 60% NaH (0.4 g)
 was added at room temp. to a soln. of 3.2 g 1-[2-(3,5-dichloropyrid-2-
 yloxy)ethyl]-2-nitroiminoimidazolidine in DMF and the mixt. was stirred
 until evolution of H ceased. Then, 1.7 g 2-chloro-5-
 (chloromethyl)thiazole was added at room temp. and the mixt. was stirred
 at room temp. for 1 h and at 40.degree. for 30 min to give 2.7 g an
 imidazolidine deriv. II. I at .ltoreq.200 ppm exhibited excellent
 insecticidal activity against Nephrotettix cincticeps and Sogatella
 furcifera.

IT 117905-55-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as intermediate for insecticide)

RN 117905-55-2 CAPLUS

CN 1H-Imidazol-2-amine, 1-(6-chloro-4-pyrimidinyl)-4,5-dihydro-N-nitro- (9CI)
 (CA INDEX NAME)



L6 ANSWER 45 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1988:510453 CAPLUS
 DN 109:110453
 TI Preparation of N-(arylsulfonyl)-N'-(triazinyl)pyrimidinylureas as herbicides
 IN Eicken, Karl; Plath, Peter; Wuerzer, Bruno; Meyer, Norbert
 PA BASF A.-G., Fed. Rep. Ger.
 SO Ger. Offen., 13 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|------------|------|----------|-----------------|----------|
| PI | DE 3639563 | A1 | 19880601 | DE 1986-3639563 | 19861120 |
| | US 4808211 | A | 19890228 | US 1987-116902 | 19871105 |
| | EP 268295 | A2 | 19880525 | EP 1987-117076 | 19871119 |
| | EP 268295 | A3 | 19890809 | | |
| | EP 268295 | B1 | 19921007 | | |

R: DE, FR, GB, IT

PRAI DE 1986-3639563 19861120

OS CASREACT 109:110453; MARPAT 109:110453

AB The title compds. [I; R = Ph or thienyl moieties Q-Q2; R1 = H, C1-3 alkyl; R2 = C1-3 (halo)alkyl, C1-3 (halo)alkoxy, C1-3 alkylthio, halo; R3 = N-attached azolyl; R4 = H, C1-4 (halo)alkyl, C1-4 alkoxy, R7S(O)m, R8CO, halo, cyano, NO2, esterified SO3H; R5 = H, halo, Me, Et, MeO, EtO; R6 = H, MeO, halo, NO2; R7 = C1-4 alkyl; R8 = H, C1-4 alkyl, C3-5 alkenyloxy, C3-5 alkynyloxy, C1-5 alkylthio, PhO, PhCH2O, (un)substituted C1-5 alkoxy; X = O, S; Y = CH, N; m = 0-2] and their salts were prepd. as herbicides (no data). 1,2,4-Triazole (7.6 g) was treated with NaH in DMF followed by addn. of 14.4 g 2-amino-4-chloro-6-methylpyrimidine and stirring the mixt. 3 h at 100.degree. to give 11.8 g 2-amino-4-methyl-6-(1,2,4-triazol-1-yl)pyrimidine. The latter (6.3 g) was stirred at room temp. with 8.6 g 2-MeO2CC6H4SO2NCO in THF contg. diazabicyclooctane to give 12.6 g triazolylpyrimidinylurea II. Several I were tested preemergence at 0.06 kg/ha and postemergence at 0.0075-0.25 kg/ha, giving good control of weeds, esp. grasses, and being well tolerated by crop plants.

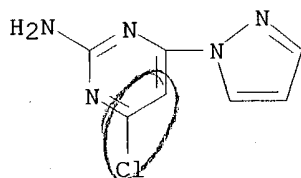
IT 115930-71-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and carbamoylation of, in prepn. of herbicides)

RN 115930-71-7 CAPLUS

CN 2-Pyrimidinamine, 4-chloro-6-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)

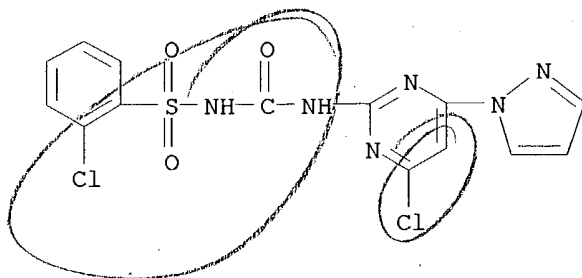


IT 115930-86-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide)

RN 115930-86-4 CAPLUS

CN Benzenesulfonamide, 2-chloro-N-[[[4-chloro-6-(1H-pyrazol-1-yl)-2-pyrimidinyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 46 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1988:406543 CAPLUS
 DN 109:6543
 TI Preparation, testing, and formulation of heterocyclylpyrimidines as ulcer inhibitors
 IN Ikeda, Masazumi; Okabe, Susumu
 PA Nissin Food Products Co., Ltd., Japan
 SO Eur. Pat. Appl., 28 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------------------|------|----------|-----------------|----------|
| PI | EP 257850 | A2 | 19880302 | EP 1987-306868 | 19870803 |
| | EP 257850 | A3 | 19890823 | | |
| | EP 257850 | B1 | 19931208 | | |
| | R: BE, CH, DE, FR, GB, IT, LI | | | | |
| | JP 63039875 | A2 | 19880220 | JP 1986-184484 | 19860805 |
| | JP 05024917 | B4 | 19930409 | | |
| | US 4849424 | A | 19890718 | US 1987-82056 | 19870805 |
| | CA 1291757 | A1 | 19911105 | CA 1987-543812 | 19870805 |
| PRAI | JP 1986-184484 | | 19860805 | | |

OS CASREACT 109:6543; MARPAT 109:6543

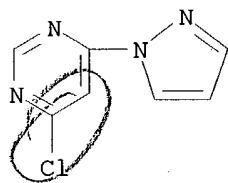
AB The title compds. (I; R1 = pyrazolyl, imidazolyl, triazolyl; R2 = H, alkyl; R3 = halo, amino, alkoxy, R1, piperidinyl, aryloxy; one of X, Y = N, the other = CH) were prepd. as ulcer inhibitors. Pyrazole was stirred with NaH in THF under cooling. 4,6-Dichloropyrimidine in THF was then added under cooling and the mixt. was stirred at room temp. for 12 h to give 4,6-bis(1-pyrazolyl)pyrimidine (II). At 10 mg/kg orally in rats II gave 95.6% inhibition of HCl-EtOH induced ulcer.

IT **114833-95-3P 114834-02-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of, as ulcer inhibitor)

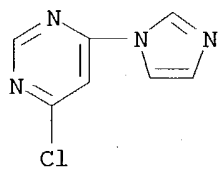
RN 114833-95-3 CAPLUS

CN Pyrimidine, 4-chloro-6-(1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



RN 114834-02-5 CAPLUS

CN Pyrimidine, 4-chloro-6-(1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)



L6 ANSWER 47 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1986:553057 CAPLUS

DN 105:153057

TI 1-Heteroaryl-4-arylpyrazolin-5-ones for use as pharmaceuticals

IN Sasse, Klaus; Hammond, Michael; Seuter, Friedel; Perzborn, Elisabeth;
Pelster, Bernhard; Sturton, Graham; Abram, Trevor

PA Bayer A.-G. , Fed. Rep. Ger.

SO Ger. Offen., 72 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|----------|
| PI | DE 3443308 | A1 | 19860528 | DE 1984-3443308 | 19841128 |
| | EP 183159 | A2 | 19860604 | EP 1985-114618 | 19851118 |
| | EP 183159 | A3 | 19870114 | | |
| | R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE | | | | |
| | US 4698344 | A | 19871006 | US 1985-800485 | 19851121 |
| | ES 549299 | A1 | 19871216 | ES 1985-549299 | 19851126 |
| | JP 61137878 | A2 | 19860625 | JP 1985-265156 | 19851127 |
| | ZA 8509065 | A | 19860730 | ZA 1985-9065 | 19851127 |

PRAI DE 1984-3443308 19841128

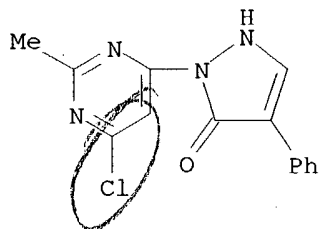
AB The title compds. [I; A = halo, OH, alkyl, fluoroalkyl, fused carbocyclic or heterocyclic residue, (substituted) alkoxy, phenoxy, alkylthio, alkylsulfonyl; M = H, halo, alkoxy, alkylthio, alkyl, haloalkyl, NO₂, cyano, CONH₂, fused benzo ring; R = H, alkyl; X, Y, Z = N, CH, CM; m = 0-5; n = 0-4] were prepd. as lipoxygenase inhibitors useful for treatment of respiratory, circulatory, and inflammatory disorders, dermatosis, and metastases, and for cytoprotection in the gastrointestinal tract. For example, Et (4-chlorophenyl)acetate was treated with DMF di-Me acetal. The resulting Et [(dimethylamino)methylene] (4-chlorophenyl)acetate reacted with 2-hydrazinopyrimidine to give I (A = 4-Cl; M = R = H; X = Z = N; Y = CH; m = 1). Selected I inhibited human lipoxygenase 85-100% at 1-10 .mu.g/mL.

IT 101770-71-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, for cardiovascular, inflammatory and respiratory disease treatment)

RN 101770-71-2 CAPLUS

CN 3H-Pyrazol-3-one, 2-(6-chloro-2-methyl-4-pyrimidinyl)-1,2-dihydro-4-phenyl-
(9CI) (CA INDEX NAME)

L6 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1986:406504 CAPLUS
 DN 105:6504
 TI 1-Heteroaryl-4-arylpyrazolin-5-ones
 IN Sasse, Klaus; Brandes, Wilhelm; Haenssler, Gerd; Reinecke, Paul
 PA Bayer A.-G. , Fed. Rep. Ger.
 SO Ger. Offen., 60 pp.
 CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---------------------------------------|------|----------|-----------------|----------|
| PI | DE 3419127 | A1 | 19851128 | DE 1984-3419127 | 19840523 |
| | US 4663327 | A | 19870505 | US 1985-733450 | 19850510 |
| | EP 165448 | A2 | 19851227 | EP 1985-105794 | 19850511 |
| | EP 165448 | A3 | 19880907 | | |
| | EP 165448 | B1 | 19911016 | | |
| | R: AT, BE, CH, DE, FR, GB, IT, LI, NL | | | | |
| | AT 68493 | E | 19911115 | AT 1985-105794 | 19850511 |
| | AU 8542565 | A1 | 19851128 | AU 1985-42565 | 19850516 |
| | AU 571971 | B2 | 19880428 | | |
| | IL 75240 | A1 | 19880731 | IL 1985-75240 | 19850520 |
| | BR 8502395 | A | 19860121 | BR 1985-2395 | 19850521 |
| | CA 1261331 | A1 | 19890926 | CA 1985-481883 | 19850521 |
| | DK 8502283 | A | 19851124 | DK 1985-2283 | 19850522 |
| | JP 60255788 | A2 | 19851217 | JP 1985-108473 | 19850522 |
| | JP 06070033 | B4 | 19940907 | | |
| | ZA 8503876 | A | 19860129 | ZA 1985-3876 | 19850522 |
| | ES 543386 | A1 | 19860516 | ES 1985-543386 | 19850522 |
| | HU 38502 | A2 | 19860630 | HU 1985-1957 | 19850523 |
| | HU 196691 | B | 19890130 | | |
| PRAI | DE 1984-3419127 | | 19840523 | | |
| | DE 1984-3430433 | | 19840818 | | |
| | EP 1985-105794 | | 19850511 | | |

OS CASREACT 105:6504

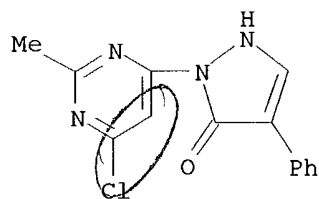
AB The title compds. [I; R = H, alkyl; R1 = halo, OH, NO2, R3S(O)p, condensed carbocycle or heterocycle, (un)substituted alkyl, alkoxy, amino, PhO; R2 = alkoxy, alkylthio, halo, NO2, cyano, CONH2, condensed carbocycle, (un)substituted alkyl; R3 = (un)substituted alkyl; X, Y, Z = N, CH, CR2; m = 0-5; n = 0-4; p = 0-2] were prepd. Thus, HOCH:CPhCO2Et and 2-hydrazinopyrimidine were cyclocondensed by refluxing 3 h in EtOH to give 63% pyrimidinylpyrazolinone II.

IT 101770-71-2P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as agricultural fungicide)

RN 101770-71-2 CAPLUS

CN 3H-Pyrazol-3-one, 2-(6-chloro-2-methyl-4-pyrimidinyl)-1,2-dihydro-4-phenyl- (9CI) (CA INDEX NAME)



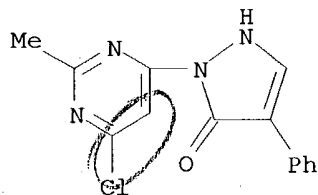
L6 ANSWER 49 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1986:186404 CAPLUS
 DN 104:186404
 TI 2-Heteroaryl-4-aryl-4-pyrazolin-3-ones
 IN Sasse, Klaus; Brandes, Wilhelm; Haenssler, Gerd; Reinecke, Paul; Schmitt, Hans Georg; Paulus, Wilfried
 PA Bayer A.-G. , Fed. Rep. Ger.
 SO Eur. Pat. Appl., 66 pp.
 CODEN: EPXXDW

DT Patent

LA German

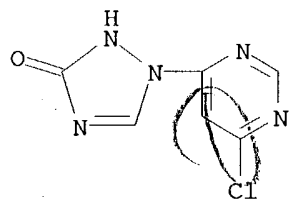
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | EP 165448 | A2 | 19851227 | EP 1985-105794 | 19850511 |
| | EP 165448 | A3 | 19880907 | | |
| | EP 165448 | B1 | 19911016 | | |
| | R: AT, BE, CH, DE, FR, GB, IT, LI, NL | | | | |
| | DE 3419127 | A1 | 19851128 | DE 1984-3419127 | 19840523 |
| | DE 3430433 | A1 | 19860227 | DE 1984-3430433 | 19840818 |
| | AT 68493 | E | 19911115 | AT 1985-105794 | 19850511 |
| PRAI | DE 1984-3419127 | | 19840523 | | |
| | DE 1984-3430433 | | 19840818 | | |
| | EP 1985-105794 | | 19850511 | | |
| AB | Fungicidal title compds. [I; R = H, alkyl; R1 = halo, OH, NO2, R3S(O)p, amino, (un)substituted alkyl, alkoxy, condensed carbocycle, heterocycle; R2 = alkoxy, alkylthio, halo, cyano, NO2, CONH2, (un)substituted alkyl, condensed carbocyclo; R3 = (un)substituted alkyl; X, Y, Z = N, CH, CR2; m = 0-5; n = 0-4; p = 0-2] were prep'd. Thus, HOCH:CPhCO2Et and 2-hydrazinopyrimidine were refluxed in EtOH followed by addn. of aq. NaOH and further refluxing to give 63% I (R = H, X = Z = N, Y = CH, m = n = 0). I are more effective fungicides against, e.g., Phytophthora infestans on tomato plants than known agricultural fungicides. | | | | |
| IT | 101770-71-2P RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as agricultural fungicide) | | | | |
| RN | 101770-71-2 CAPLUS | | | | |
| CN | 3H-Pyrazol-3-one, 2-(6-chloro-2-methyl-4-pyrimidinyl)-1,2-dihydro-4-phenyl-(9CI) (CA INDEX NAME) | | | | |



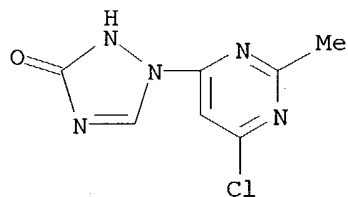
L6 ANSWER 50 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1982:85744 CAPLUS
 DN 96:85744
 TI Heterocyclic substituted triazolyl phosphorus compounds and their use as insecticides
 IN Pawloski, Chester E.
 PA Dow Chemical Co., USA
 SO U.S., 30 pp. Cont.-in-part of U.S. Ser. No. 951,923, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|----------|
| PI | US 4298602 | A | 19811103 | US 1979-84697 | 19791015 |
| | AU 7951573 | A1 | 19800417 | AU 1979-51573 | 19791008 |
| | AU 529628 | B2 | 19830616 | | |
| | DK 7904269 | A | 19800414 | DK 1979-4269 | 19791010 |
| | CA 1100967 | A1 | 19810512 | CA 1979-337298 | 19791010 |
| | IL 58427 | A1 | 19831031 | IL 1979-58427 | 19791010 |
| | ZA 7905431 | A | 19800924 | ZA 1979-5431 | 19791011 |
| | HU 25772 | O | 19830829 | HU 1979-DO437 | 19791011 |
| | HU 182946 | B | 19840328 | | |
| | EP 10891 | A1 | 19800514 | EP 1979-302206 | 19791012 |
| | EP 10891 | B1 | 19820901 | | |
| | R: BE, CH, DE, FR, IT, LU, NL, SE | | | | |
| | GB 2035325 | A | 19800618 | GB 1979-35448 | 19791012 |
| | GB 2035325 | B2 | 19830302 | | |
| | BR 7906567 | A | 19800715 | BR 1979-6567 | 19791012 |
| | IN 151525 | A | 19830514 | IN 1979-CA1069 | 19791012 |
| | US 4357327 | A | 19821102 | US 1981-260533 | 19810504 |
| | US 4357328 | A | 19821102 | US 1981-260550 | 19810504 |
| | US 4400516 | A | 19830823 | US 1981-260527 | 19810504 |
| | US 4433148 | A | 19840221 | US 1982-440933 | 19821112 |
| | US 4451653 | A | 19840529 | US 1982-440934 | 19821112 |
| PRAI | US 1978-951923 | | 19781013 | | |
| | US 1979-84697 | | 19791015 | | |
| | US 1981-260527 | | 19810504 | | |
| OS | CASREACT 96:85744 | | | | |
| AB | Approx. 100 insecticidal and acaricidal title compds. [I; R1 = H, halo, C1-4 alkyl, C3-6 cycloalkyl, Ph, PhS, C1-4 alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, SCN, CF3, CCl3, amino; R2 = heterocyclic radical, R3 = Me, Et, Pr, Me2CHCH2; R4 = MeO, EtO, PrO, Et, amino, C1-4 alkylthio, Ph; Z = O, S] were prep'd. by esterification of hydroxytriazoles II with XP(Z)(OR3)R4 (X = halo). Thus, 0.05 mol II (R1 = H, R2 = 6-fluoro-2-pyridinyl) and 0.05 mol ClP(S)(OEt)2 gave 84% I (R1 = H, R2 = 6-fluoro-2-pyridinyl, R3 = Et, R4 = OEt, Z = S) (III). At <1.5 ppm, III gave 100% kill of Western spotted cucumber beetle larvae. | | | | |
| IT | 80713-87-7P 80713-89-9P | | | | |
| | RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) | | | | |
| | (prepn. and esterification of, with phosphorus acid halides) | | | | |
| RN | 80713-87-7 CAPLUS | | | | |
| CN | 3H-1,2,4-Triazol-3-one, 1-(6-chloro-4-pyrimidinyl)-1,2-dihydro- (9CI) (CA INDEX NAME) | | | | |

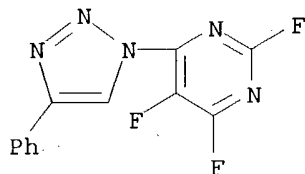


RN 80713-89-9 CAPLUS

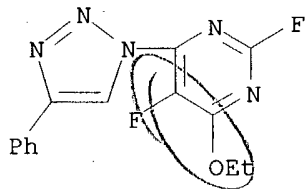
CN 3H-1,2,4-Triazol-3-one, 1-(6-chloro-2-methyl-4-pyrimidinyl)-1,2-dihydro-
(9CI) (CA INDEX NAME)



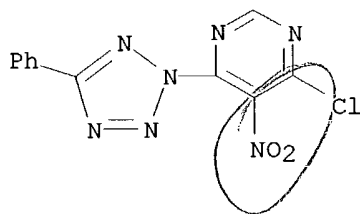
L6 ANSWER 51 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1981:65612 CAPLUS
 DN 94:65612
 TI Studies in azide chemistry. Part IX. Investigations involving
 fluorinated azidopyrimidines and 4-azido-3-chloro-2,5,6-trifluoropyridine
 AU Banks, R. E.; Prakash, A.; Venayak, N. D.
 CS Inst. Sci. Technol., Univ. Manchester, Manchester, M60 1QD, UK
 SO Journal of Fluorine Chemistry (1980), 16(4), 325-38
 CODEN: JFLCAR; ISSN: 0022-1139
 DT Journal
 LA English
 OS CASREACT 94:65612
 AB 4-Azido-2,5,6-trifluoro- and 4,6-diazido-2,5-difluoropyrimidine were
 obtained by treating tetrafluoropyrimidine with NaN₃ in MeCN. A similar
 azidation of 5-chlorotrifluoropyrimidine gave 4-azido-5-chloro-2,6-
 difluoro- and 4,6-diazido-5-chloro-2-fluoro-pyrimidine. Each monoazide
 reacted with Ph₃P to yield the corresponding iminophosphorane (Staudinger
 reaction), and the trifluoro compd. gave 4-phenyl-1-(2,5,6-trifluoro-4-
 pyrimidinyl)-1,2,3-triazole when heated with PhC.tplbond.CH and
 2-cyano-1-(2,5,6-trifluoro-4-pyrimidinyl)aziridine when treated with
 H₂C:CHCN. Attack on the trifluoro-azide by the sodium salt of
 pentafluoroaniline produced 4-azido-2,5-difluoro-6-
 (pentafluorophenylamino)pyrimidine and bis(4-azido-2,5-difluoro-6-
 pyrimidinyl)(pentafluorophenyl)amine. Attempts to intercept nitrenes
 during thermal decompn. of both monoazides failed. Thermolysis of
 4-azido-3-chloro-2,5,6-trifluoropyridine in the presence of Me₂SO,
 cyclohexane, or pentafluoroaniline gave products RN:S(O)Me₂, RNHC₆H₁₁, and
 RN:NC₆F₅ (R = 3-chlorotrifluoro-4-pyridyl), resp., compatible with release
 of the corresponding nitrene.
 IT **76411-51-3P 76411-52-4P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 76411-51-3 CAPLUS
 CN Pyrimidine, 2,4,5-trifluoro-6-(4-phenyl-1H-1,2,3-triazol-1-yl)- (9CI) (CA
 INDEX NAME)



RN 76411-52-4 CAPLUS
 CN Pyrimidine, 4-ethoxy-2,5-difluoro-6-(4-phenyl-1H-1,2,3-triazol-1-yl)-
 (9CI) (CA INDEX NAME)



L6 ANSWER 52 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1978:579924 CAPLUS
 DN 89:179924
 TI N-hetaryltetrazoles. III. Competitive intramolecular 1,x-dipolar cyclizations. Thermally generated nitrilimines
 AU Koennecke, Andreas; Doerre, Ronald; Lippmann, Eberhard
 CS Sek. Chem., Karl Marx Univ., Leipzig, Ger. Dem. Rep.
 SO Tetrahedron Letters (1978), (24), 2071-4
 CODEN: TELEAY; ISSN: 0040-4039
 DT Journal
 LA German
 OS CASREACT 89:179924
 AB RC.tplbond.N+N-R1 (I, R, R1 = aryl) undergo preferential 1,5-dipolar intramol. cyclizations. E.g., I (R = C₆H₄NO₂-2, R1 = C₆H₅ and II), formed by reaction of tetrazole III with PhCOCl and 7-chloro-5-methyltetrazolo[1,5-a]pyrimidine and thermolysis of the resulting tetrazoles IV, gave 92% oxadiazole V and 97% pyrimidine deriv. VI by 1,5-cyclizations.
 IT **68028-54-6P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and thermolysis of, benzonitrilimine deriv. by)
 RN 68028-54-6 CAPLUS
 CN Pyrimidine, 4-chloro-5-nitro-6-(5-phenyl-2H-tetrazol-2-yl)- (9CI) (CA INDEX NAME)



L6 ANSWER 53 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1976:494306 CAPLUS

DN 85:94306

TI Pyrimidines. VI. Synthesis of 2-methylthio-5-bromopyrimidine-4-carboxylic acid thiosemicarbazides, 3-pyrimidyl-1,2,4-4H-triazoles and 2-arylamino-1,3,4-thiadiazoles

AU Bennur, S. C.; Jigajinni, V. B.; Badiger, V. V.

CS Dep. Chem., Karnatak Univ., Dharwar, India

SO Revue Roumaine de Chimie (1976), 21(5), 757-62

CODEN: RRCHAX; ISSN: 0035-3930

DT Journal

LA English

OS CASREACT 85:94306

AB Me 2-methylthio-5-bromo-4-pyrimidinecarboxylate on reaction with NH₂NH₂.H₂O gave the corresponding hydrazide, which was heated with p-RC₆H₄NCS (R = H, Br, Cl, Me, OMe, OEt) in EtOH at reflux to give thiosemicarbazides I, which (1) on cyclization with 5% aq. NaOH soln. at reflux gave triazoles II, and (2) on cyclization with H₃PO₄ at 120.degree. gave thiadiazoles III. The compds. prepd. were evaluated for antimicrobial and antitubercular activity; I did not show any antitubercular activity but some I showed antibacterial and antifungal activity; II and III also showed antibacterial activity but less than that of I.

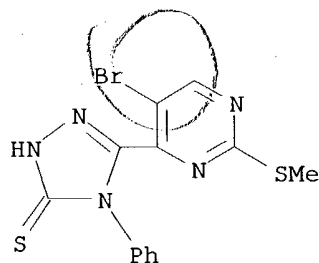
IT 60137-57-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antibacterial activity of)

RN 60137-57-7 CAPLUS

CN 3H-1,2,4-Triazole-3-thione, 5-[5-bromo-2-(methylthio)-4-pyrimidinyl]-2,4-dihydro-4-phenyl- (9CI) (CA INDEX NAME)



IT 60137-58-8P 60137-59-9P 60137-60-2P

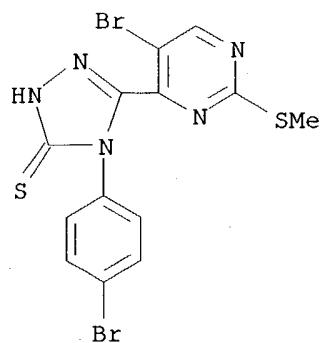
60137-61-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

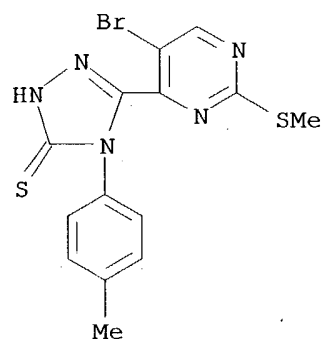
RN 60137-58-8 CAPLUS

CN 3H-1,2,4-Triazole-3-thione, 5-[5-bromo-2-(methylthio)-4-pyrimidinyl]-4-(4-bromophenyl)-2,4-dihydro- (9CI) (CA INDEX NAME)



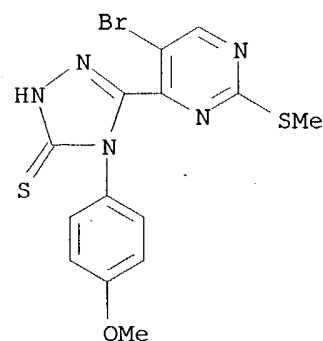
RN 60137-59-9 CAPLUS

CN 3H-1,2,4-Triazole-3-thione, 5-[5-bromo-2-(methylthio)-4-pyrimidinyl]-2,4-dihydro-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)



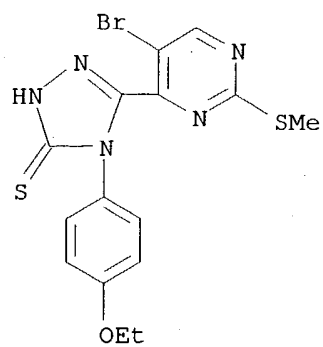
RN 60137-60-2 CAPLUS

CN 3H-1,2,4-Triazole-3-thione, 5-[5-bromo-2-(methylthio)-4-pyrimidinyl]-2,4-dihydro-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

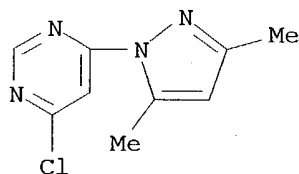


RN 60137-61-3 CAPLUS

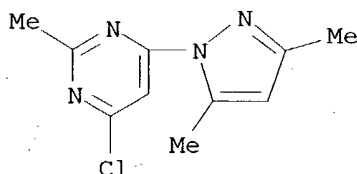
CN 3H-1,2,4-Triazole-3-thione, 5-[5-bromo-2-(methylthio)-4-pyrimidinyl]-4-(4-ethoxyphenyl)-2,4-dihydro- (9CI) (CA INDEX NAME)



L6 ANSWER 54 OF 54 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1974:403864 CAPLUS
 DN 81:3864
 TI Anticancer agents. IX. Derivatives of pyridine, pyridazine, and phthalazine
 AU Twomey, Dermot
 CS Lab. Med. Res. Counc. Ireland, Trinity Coll., Dublin, Ire.
 SO Proceedings of the Royal Irish Academy, Section B: Biological, Geological and Chemical Science (1974), 74(4), 37-52
 CODEN: PRIBAN; ISSN: 0035-8983
 DT Journal
 LA English
 AB Six 0- or p-(4-methylthiosemicarbazido)pyridines (I, R = NH₂, NO₂, NHC(S)NHMe) were prepd. by treating the corresponding hydrazinopyridine with SCNMe. Pyridazine derivs. (II, R = Cl, Me; R1 = NHNHC(S)NHMe, 3,5-dimethylpyrazol-1-yl) were obtained by reaction of II (R = Cl, Me; R1 = NHHN₂) with SCNMe or MeCOCH₂COMe. Addnl., 6 III (R = Cl, R1 = N₃, NHHNC(S)NHMe, NHHNCO₂Et, 3,5-dimethylpyrazol-1-yl, NHHNCHO, NHN:CHOEt) were prepd. by treating III (R = Cl, R1 = NHHN₂) with HNO₂, SCNMe, ClCO₂Et, MeCOCH₂COMe, HCO₂H, and HC(OEt)₃, resp. Analogously, phthalazine derivs. (IV, R, R1 = Cl, NHHNC(S)NHMe, 3,5-dimethylpyrazol-1-yl, OH; V, R = Cl, 3,5-dimethylpyrazol-1-yl) were prepd.
 IT **52476-65-0P 52551-21-0P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 52476-65-0 CAPLUS
 CN Pyrimidine, 4-chloro-6-(3,5-dimethyl-1H-pyrazol-1-yl)- (9CI) (CA INDEX NAME)



RN 52551-21-0 CAPLUS
 CN Pyrimidine, 4-chloro-6-(3,5-dimethyl-1H-pyrazol-1-yl)-2-methyl- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 17:09:49 ON 26 FEB 2004)

FILE 'REGISTRY' ENTERED AT 17:10:11 ON 26 FEB 2004

L1 STRUCTURE UPLOADED
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L3 STRUCTURE UPLOADED
L4 0 S L3 SSS SAM
L5 155 S L3 SSS FUL

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L6 54 S L5

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